09/935,767 Page 1

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NEWS 3 Apr 09
                BEILSTEIN: Reload and Implementation of a New Subject Area
NEWS 4 Apr 09
                ZDB will be removed from STN
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NEWS 6 Apr 22
                Records from IP.com available in CAPLUS, HCAPLUS, and ZCAPLUS
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NEWS 8 Apr 22 Federal Research in Progress (FEDRIP) now available
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        Jun 03
                New e-mail delivery for search results now available
        Jun 10 MEDLINE Reload
NEWS 10
        Jun 10 PCTFULL has been reloaded
NEWS 11
                FOREGE no longer contains STANDARDS file segment
NEWS 12
        Jul 02
        Jul 22 USAN to be reloaded July 28, 2002;
NEWS 13
                saved answer sets no longer valid
                Enhanced polymer searching in REGISTRY
        Jul 29
NEWS 14
        Jul 30
                NETFIRST to be removed from STN
NEWS 15
        Aug 08 CANCERLIT reload
NEWS 16
NEWS 17
        Aug 08 PHARMAMarketLetter(PHARMAML) - new on STN
NEWS 18 Aug 08
                NTIS has been reloaded and enhanced
                Aquatic Toxicity Information Retrieval (AQUIRE)
NEWS 19
        Aug 19
                now available on STN
                IFIPAT, IFICDB, and IFIUDB have been reloaded
NEWS 20
        Aug 19
NEWS 21 Aug 19
                The MEDLINE file segment of TOXCENTER has been reloaded
NEWS 22 Aug 26
                Sequence searching in REGISTRY enhanced
                JAPIO has been reloaded and enhanced
NEWS 23 Sep 03
        Sep 16
                Experimental properties added to the REGISTRY file
NEWS 24
NEWS 25 Sep 16 Indexing added to some pre-1967 records in CA/CAPLUS
        Sep 16 CA Section Thesaurus available in CAPLUS and CA
NEWS 26
NEWS 27 Oct 01 CASREACT Enriched with Reactions from 1907 to 1985
NEWS 28 Oct 21 EVENTLINE has been reloaded
NEWS 29 Oct 24 BEILSTEIN adds new search fields
NEWS 30 Oct 24 Nutraceuticals International (NUTRACEUT) now available on STN
NEWS 31 Oct 25 MEDLINE SDI run of October 8, 2002
NEWS EXPRESS October 14 CURRENT WINDOWS VERSION IS V6.01,
             CURRENT MACINTOSH VERSION IS V6.0a(ENG) AND V6.0Ja(JP),
             AND CURRENT DISCOVER FILE IS DATED 01 OCTOBER 2002
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=> fil reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL

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ENTRY SESSION 0.21 0.21

FILE 'REGISTRY' ENTERED AT 15:47:15 ON 31 OCT 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 American Chemical Society (ACS)

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STRUCTURE FILE UPDATES: 30 OCT 2002 HIGHEST RN 468053-85-2 DICTIONARY FILE UPDATES: 30 OCT 2002 HIGHEST RN 468053-85-2

TSCA INFORMATION NOW CURRENT THROUGH MAY 20, 2002

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

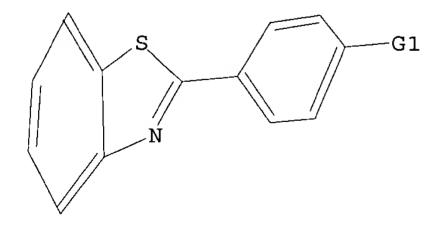
Experimental and calculated property data are now available. PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details: http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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STRUCTURE UPLOADED L1

=> dL1 HAS NO ANSWERS

L1STR



G1 O, S, N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:47:31 FILE 'REGISTRY' SAMPLE SCREEN SEARCH COMPLETED - 348 TO ITERATE 100.0% PROCESSED 348 ITERATIONS INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED) SEARCH TIME: 00.00.01

50 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*

BATCH \*\*COMPLETE\*\*

PROJECTED ITERATIONS: 5841 TO 8079
PROJECTED ANSWERS: 3367 TO 5113

3367 TO 5113

L250 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 15:47:37 FILE 'REGISTRY' FULL SCREEN SEARCH COMPLETED - 7155 TO ITERATE

100.0% PROCESSED 7155 ITERATIONS

4501 ANSWERS

SEARCH TIME: 00.00.02

L3 4501 SEA SSS FUL L1

=> fil .search

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 141.42 141.63

FULL ESTIMATED COST

FILE 'MEDLINE' ENTERED AT 15:49:27 ON 31 OCT 2002

FILE 'CAPLUS' ENTERED AT 15:49:27 ON 31 OCT 2002 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2002 AMERICAN CHEMICAL SOCIETY (ACS)

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=> s 13

1 FILES SEARCHED...

2387 L3 L4

=> s 14 and (chelat? or ligand?)

111 L4 AND (CHELAT? OR LIGAND?) L5

=> dup rem 15

PROCESSING COMPLETED FOR L5

100 DUP REM L5 (11 DUPLICATES REMOVED)

=> s 16 and amyloid?

L7 26 L6 AND AMYLOID?

=> dup rem 17

PROCESSING COMPLETED FOR L7

26 DUP REM L7 (0 DUPLICATES REMOVED) L8

=> d ibib ab hitstr 1-

YOU HAVE REQUESTED DATA FROM 26 ANSWERS - CONTINUE? Y/(N):y

09/935,767 Page 4

```
L8 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER:
                         2002:157747 CAPLUS
DOCUMENT NUMBER:
                         136:200178
TITLE:
                         Preparation of thioflavin derivatives for use in
                         antemortem diagnosis of Alzheimer's disease and in
                         vivo imaging and prevention of amyloid
                         Klunk, William E.; Mathis, Chester A., Jr.; Wang,
INVENTOR(S):
                         Yanming
PATENT ASSIGNEE(S):
                         University of Pittsburgh, USA
                         PCT Int. Appl., 111 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                      KIND DATE
                                           APPLICATION NO. DATE
     WO 2002016333
                           20020228
                                           WO 2001 US26427 20010824
     WO 2002016333
                      A3 20020530
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL,
             PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG,
             US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
             DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
                                          AU 2001-86702 20010824
     AU 2001086702
                     A5 20020304
                                           US 2001-935767 20010824
     US 2002133019
                      A1 20020919
PRIORITY APPLN. INFO.:
                                        US 2000 227601P P 20000824
                                        WO 2001-US26427 W 20010824
OTHER SOURCE(S):
                        MARPAT 136:200178
    This invention relates to novel thioflavin derivs., methods of using the
     derivs. in, for example, in vivo imaging of patients having neuritic
     plaques, pharmaceutical compns. comprising the thioflavin derivs. and
     method of synthesizing the compds. The above amyloid binding
     thioflavin derive, are represented by 10 formulas, e.g. benzothiazole
     derivs. [I, II, and III; Z = S, NR, CR; wherein R = H, lower alkyl, Q
     (wherein R' = H, lower alkyl); Y = NR1R2, OR2, SR2, Q, Q1 (wherein R' =
Η,
     lower alkyl); R1, R2 = H, lower alkyl, (CH2) nOR' (wherein n = 1, 2, or 3;
     R' = H, lower alkyl), CF3, CH2CH2X, CH2CH2CH2X (wherein X = F, Cl, Br,
     iodo), COR', Rph, and (CH2)mRph (wherein m = 1, 2, 3, or 4; Rph = an
     unsubstituted or substituted phenyl); R3 - R14 = H, F, Cl, Br, iodo,
     alkyl, (CH2)nOR' (wherein n = 1, 2, 3), CF3, CH2CH2X, OCH2CH2X,
     CH2CH2CH2X, OCH2CH2CH2X (wherein X = F, Cl, Br, iodo), cyano, COR',
     N(R')2, NO2, CON(R')2, O(CO)R', OR', SR', CO2R', Rph, CR':CR'-Rph,
     C(R')2C(R')2-Rph (wherein Rph = unsubstituted or substituted Ph group; R'
     = H, lower alkyl group), trialkyltin, chelating group). The
     compds. find particular use in the diagnosis and treatment of patients
     having diseases where accumulation of neuritic plaques are prevalent.
     above diseases include familial Alzheimer's Disease, Down's Syndrome, and
```

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

43036-17-5 CAPLUS

Benzenamine, 4-(6-methoxy-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

401813-29-4

RL: BSU (Biological study, unclassified); BIOL (Biological study) (major component of thioflavin S, tissue staining study by; prepn. of thioflavin derivs. for use in antemortem diagnosis of Alzheimer's disease and in vivo imaging and prevention of amyloid deposition)

401813-29-4 CAPLUS

2,6'-Bibenzothiazolium, 2'-(4-(dimethylamino)phenyl]-3,3',6-trimethyl-7sulfo-, inner salt, chloride (9CI) (CA INDEX NAME)

C1 ⁻

95-22-7P 10205-56-8P, 2-(4-Dimethylaminophenyl)benzothia zole 10205-71-7P, 6-Methoxy-2-(4-dimethylaminophenyl)benzothiazo le 17200-79-2P 370099-48-2P 401813-34-1P. 6-Methoxy-2-(4-methylaminophenyl)benzothiazole 401813-35-2P 401813-36-3P 401813-37-4P 401813-38-5P 401813-39-6P RL: DGN (Diagnostic use); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of thioflavin derivs, for use in antemortem diagnosis of Alzheimer's disease and in vivo imaging and prevention of amyloid deposition)

95-22-7 CAPLUS Benzenamine, 4-(6-methyl[2,6'-bibenzothiazol]-2'-yl)- (9CI) (CA INDEX

NAME)

L8 ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued) homozygotes for the apolipoprotein E4 allele. Thus, amidation of 4-nitrobenzoyl chloride with p anisidine in pyridine at room temp. for 16 h gave 4-methoxy-4' nitrobenzanilide which was treated with Lawesson's reagent in chlorobenzene under reflux for 4 h to give 77.4% 4 methoxy:4'-nitrothiobenzanilide. The latter compd. was treated with ethanol/aq. NaOH and added portionwise to aq. potassium ferricyanide at 80.90.degree, with stirring and the refluxed for 0.5 h to give 26% 6 methoxy 2 (4 nitrophenyl)benzothiazole which was reduced by SnCl2.2H2O in boiling ethanol for 4 h to 6-methoxy-2-(4-aminophenyl)benzothiazole (97% yield) and methylated by Me iodide and K2CO3 in DMSO at 100.degree. for 16 h to give 13.3% 6 methoxy 2 (4-methylaminophenyl)benzothiazole

and 40% 6 methoxy-2-(4 methylaminophenyl)benzothiazole (V). Five different 11C-labeled benzothiazole derivs, including IV and V were studied for in vitro .beta. amyloid binding property, log P values, and in vivo brain uptake and retention properties in mice. Other studies included in vivo PET imaging expts, using the 11C labeled benzothiazole derivs. in baboons and staining amyloid deposits in postmortem Alzheimer's disease and Tg mice.

IT 6278-73-5P, 2 (4 Aminophenyl) benzothiazole 22868-34-4P, 2 (4 Nitrophenyl)benzothiazole 43036-14-2P, 6 Methoxy-2 (4 nitrophenyl)benzothiazole 43036-17-5P, 6-Methoxy 2-(4 aminophenyl)benzothiazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; prepn. of thioflavin derivs, for use in antemortem diagnosis of Alzheimer's disease and in vivo imaging and prevention of amyloid deposition) 6278 73 5 CAPLUS

Benzenamine, 4-(2 benzothiazolyl) (9CI) (CA INDEX NAME)

22868-34-4 CAPLUS

Benzothiazole, 2 (4-nitrophenyl) (9CI) (CA INDEX NAME)

43036 · 14 - 2 CAPLUS

Benzothiazole, 6 methoxy 2 (4 nitrophenyl) - (9CI) (CA INDEX NAME)

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 10205-56-8 CAPLUS

Benzenamine, 4-(2-benzothiazoly1)-N,N-dimethyl- (9CI) (CA INDEX NAME)

10205-71-7 CAPLUS Benzenamine, 4-(6-methoxy-2-benzothiazolyl)-N,N-dimethyl- (9CI) (CA INDEX

17200-79-2 CAPLUS RN

NAME)

CN Benzenamine, 4,4' [6,6'-bibenzothiazole]-2,2'-diylbis- (9CI) (CA INDEX NAME)

370099-48 2 CAPLUS

Benzenamine, N-(methyl 11C)-4 (6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

401813-34-1 CAPLUS

Benzenamine, 4-(6-methoxy-2-benzothiazoly1)-N-methy1- (9CI) (CA INDEX NAME)

401813 35-2 CAPLUS

Benzenamine, N-methyl N (methyl-11C)-4 (6 methyl-2-benzothiazolyl) (9CI) (CA INDEX NAME)

401813-36-3 CAPLUS

Benzenamine, 4-[6-(methoxy-11C)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

401813-37-4 CAPLUS

CN Benzenamine, 4-(6-methoxy-2-benzothiazolyl)-N-(methyl-11C)- (9CI) (CA INDEX NAME)

401813-38-5 CAPLUS

CN Benzenamine, 4-(6-methoxy-2-benzothiazolyl)-N-methyl-N-(methyl-11C)-(9CI)

(CA INDEX NAME)

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS

2390-54-7 CAPLUS

Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride (9CI)

(CA INDEX NAME)

RN 10205-62-6 CAPLUS

Benzenamine, N,N-dimethyl-4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX

ANSWER 1 OF 26 CAPLUS COPYRIGHT 2002 ACS

401813-39-6 CAPLUS

Benzenamine, 4 (2 benzothiazolyl) -N (methyl-11C) (9CI) (CA INDEX NAME)

92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole 2390-54-7 Thioflavin T 2390-54-7D, Thioflavin T, 14C-labeled

10205-62-6, 2-(4-Dimethylaminophenyl)-6-methylbenzothiazole RL: BSU (Biological study, unclassified); BIOL (Biological study) (tissue staining study; prepn. of thioflavin derivs. for use in antemortem diagnosis of Alzheimer's disease and in vivo imaging and

prevention of amyloid deposition)

92-36 4 CAPLUS Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

2390-54-7 CAPLUS

Benzothiazolium, 2-[4-(dimethylamino)phenyl)-3,6-dimethyl-, chloride (9CI)

(CA INDEX NAME)

ANSWER 2 OF 26 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:142739 CAPLUS DOCUMENT NUMBER 136:196592

TITLE: Methods and uses of .alpha.7 nicotinic receptor peptides as ligands for .beta.

amyloid peptides

INVENTOR (S): Lee, Daniel H. S.; Reitz, Allen B.; Plata-Salaman,

Carlos; Wang, Hoau-Yan PATENT ASSIGNEE(S):

Ortho-McNeil Pharmaceutical, Inc., USA SOURCE: PCT Int. Appl., 39 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1 PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WO 2002014351 A2 20020221 WO 2001-US25410 20010814 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG AU 2001081268 A5 20020225 AU 2001-81268 20010814 PRIORITY APPLN. INFO.: US 2000-225048P P 20000814

WO 2001-US25410 W 20010814 The present invention describes native and degenerate peptides derived from human .alpha.7 nicotinic receptor useful as minimized ligands for .beta. amyloid peptides. These peptides are useful to discover compds. that inhibit the interaction with .beta. amyloid peptides with the .alpha.7 nicotinic receptor, and are also useful in

assays to measure .beta. amyloid. 2390-54-7, Thioflavin T

RL: ARU (Analytical role, unclassified); ANST (Analytical study) (methods and uses of .alpha.7 nicotinic receptor peptides as

ligands for .bets. amyloid peptides)

2390-54-7 CAPLUS Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride (9CI)

(CA INDEX NAME)

2002:89879 CAPLUS

Migneault, David

CODEN: PIXXD2

Patent

English

KIND DATE

A2 20020131

A1 20020822

MARPAT 136:139864 Amyloid-targeting imaging agents such as radiolabeled amyloid targeting mole. and amyloid targeting mol. chelator conjugates for imaging, e.g., amyloid plaques

Neurochem Inc., Can.

PCT Int. Appl., 57 pp.

Amyloid targeting imaging agents

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US,

UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

Gervais, Francine; Kong, Xianqi; Chalifour, Robert;

APPLICATION NO. DATE

WO 2001-CA1071 20010725

US 2001-915092 20010724

US 2000-220808P P 20000725

US 2001-915092 A 20010724

136:139864

LB ANSWER 3 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: DOCUMENT NUMBER:

PATENT ASSIGNEE(S):

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

WO 2002007781

US 2002115717

22868-34-4 USPATFULL

Benzothiazole, 2-(4-nitrophenyl) - (9CI) (CA INDEX NAME)

PRIORITY APPLN. INFO.:

OTHER SOURCE(S):

PATENT NO.

TITLE:

SOURCE:

LANGUAGE:

INVENTOR(S):

DOCUMENT TYPE:

ANSWER 2 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

```
ANSWER 3 OF 26 CAPLUS COPYRIGHT 2002 ACS
                       2 Na
    2390-54-7 CAPLUS
    Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride
CN
(9CI)
       (CA INDEX NAME)
```

```
in vivo, and/or for the treatment of amyloidosis disorders are
    described. The invention provides emyloid-targeting imaging
     agents that are useful for imaging sites of amyloid disease.
     The imaging agents are capable of binding specifically to amyloid
     plaques, as an aid in diagnosis and/or early treatment of
     amyloidosis disorders.
    1829-00-1D, Thiazol yellow g, radiolabeled conjugates
     2390-54-7D, Thioflavin t, radiolabeled conjugates
     RL: DGN (Diagnostic use); THU (Therapeutic use); BIOL (Biological study);
     USES (Uses)
        (amyloid targeting imaging agents)
     1829-00-1 CAPLUS
     7-Benzothiazolesulfonic acid, 2,2'-(1-triazene-1,3-diyldi-4,1-
     phenylene)bis[6-methyl-, disodium salt (9CI) (CA INDEX NAME)
L8 ANSWER 4 OF 26 USPATFULL
                        2002:243825 USPATFULL
ACCESSION NUMBER:
TITLE:
                        Thioflavin derivatives for use in antemortem diagnosis
                        of alzheimer's disease and vivo imaging and prevention
                        of amyloid deposition
INVENTOR (S):
                        Klunk, William E., Pittsburgh, PA, UNITED STATES
                        Mathis, Chester A., JR., Pittsburgh, PA, UNITED STATES
                        Wang, Yanming, Imperial, PA, UNITED STATES
                                                  DATE
                            NUMBER
                                          KIND
                        -----
                                         . . . . . . . . . . . . . . . .
PATENT INFORMATION:
                        US 2002133019
                                           A1 20020919
APPLICATION INFO .:
                        US 2001-935767
                                           A1
                                                20010824
                               NUMBER
                                             DATE
PRIORITY INFORMATION:
                        US 2000-227601P 20000824 (60)
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        APPLICATION
LEGAL REPRESENTATIVE:
                        Stephen A. Bent, FOLEY & LARDNER, Washington Harbour,
                        3000 K Street, N.W., Suite 500, Washington, DC,
                        20007-5109
NUMBER OF CLAIMS:
                        43
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        8 Drawing Page(s)
LINE COUNT:
                        1956
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      This invention relates to novel thioflavin derivatives, methods of
using
       the derivatives in, for example, in vivo imaging of patients having
       neuritic plaques, pharmaceutical compositions comprising the thioflavin
       derivatives and method of synthesizing the compounds. The compounds
find
       particular use in the diagnosis and treatment of patients having
       diseases where accumulation of neuritic plaques are prevalent. The
       disease states or maladies include but are not limited to Alzheimer's
       Disease, familial Alzheimer's Disease, Down's Syndrome and homozygotes
       for the apolipoprotein E4 allele.
IT 6278-73-5P, 2-(4-Aminophenyl)benzothiazole 22868-36-4P,
      2-(4-Nitrophenyl)benzothiazole 43036-14-2P,
      6-Methoxy-2-(4-nitrophenyl)benzothiazole 43036-17-5P,
      6-Methoxy-2-(4-aminophenyl)benzothiazole
        (intermediate; prepn. of thioflavin derivs. for use in antemortem
        diagnosis of Alzheimer's disease and in vivo imaging and prevention of
        amyloid deposition)
    6278-73-5 USPATFULL
    Benzenamine, 4-(2-benzothiazolyl)- (9CI) (CA INDEX NAME)
```

L8 ANSWER 4 OF 26 USPATFULL (Continued)

RN 43036-14-2 USPATFULL

CN Benzothiazole, 6-methoxy 2-(4-nitrophenyl)- (9CI) (CA INDEX NAME)

RN 43036-17-5 USPATFULL

CN Benzenamine, 4-(6-methoxy 2-benzothiazolyl)- (9CI) (CA INDEX NAME)

IT 401813-29-4

(major component of thioflavin S, tissue staining study by; prepn. of thioflavin derivs. for use in antemortem diagnosis of Alzheimer's disease and in vivo imaging and prevention of amyloid deposition)

RN 401813-29-4 USPATFULL, CN 2,6'-Bibenzothiazolium, 2'-[4-(dimethylamino)phenyl]-3,3',6-trimethyl-7sulfo-, inner salt, chloride (9CI) (CA INDEX NAME)

● c1 °

IT 95-22-7P 10205-56-8P, 2-(4-Dimethylaminophenyl)benzothiazole 10205-71-7P, 6-Methoxy-2-(4-dimethylaminophenyl)benzothiazole 17200-79-2P 370099-48-2P 401813-34-1P, 6-Methoxy-2-(4-methylaminophenyl)benzothiazole 401813-35-2P 401813-36-3P 401813-37-4P 401813-38-5P 401813-39-6P

L8 ANSWER 4 OF 26 USPATFULL (Continued)
CN Benzenamine, N-(methyl-11C)-4-(6-methyl-2-benzothiazolyl)- (9CI) (CA

RN 401813-34-1 USPATFULL

CN Benzenamine, 4-(6-methoxy-2-benzothiazolyl)-N-methyl- (9CI) (CA INDEX NAME)

RN 401813-35-2 USPATFULL

CN Benzenamine, N-methyl-N-(methyl-11C)-4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

RN 401813-36-3 USPATFULL

CN Benzenamine, 4-[6-(methoxy-11C)-2-benzothiazolyl]- (9CI) (CA INDEX NAME)

RN 401813-37-4 USPATFULL

CN Benzenamine, 4-(6-methoxy-2-benzothiazolyl)-N-(methyl-11C)- (9CI) (CA INDEX NAME)

L8 ANSWER 4 OF 26 USPATFULL (Continued)
(prepn. of thioflavin derivs, for use in antemortem diagnosis of
Alzheimer's disease and in vivo imaging and prevention of amyloid
deposition)

RN 95-22-7 USPATFULL

N Benzenamine, 4-(6-methyl[2,6'-bibenzothiazol]-2'-yl)- (9CI) (CA INDEX NAME)

RN 10205-56-8 USPATFULL

CN Benzenamine, 4-(2-benzothiazolyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

RN 10205-71-7 USPATFULL

CN Benzenamine, 4-(6-methoxy-2-benzothiazolyl)-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 17200-79-2 USPATFULL

CN Benzenamine, 4,4'-[6,6'-bibenzothiazole]-2,2'-diylbis- (9CI) (CA INDEX NAME)

RN 370099-48-2 USPATFULL

L8 ANSWER 4 OF 26 USPATFULL (Continued)

RN 401813-38-5 USPATFULL

CN Benzenamine, 4-(6-methoxy-2-benzothiazolyl)-N-methyl-N-(methyl-11C)-(9CI)

(CA INDEX NAME)

RN 401813-39-6 USPATFULL

CN Benzenamine, 4-(2-benzothiazolyl)-N-(methyl-11C)- (9CI) (CA INDEX NAME)

IT 92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole
2390-54-7, Thioflavin T 2390-54-7D, Thioflavin T,

14C-labeled 10205-62-6, 2-(4-Dimethylaminophenyl)-6-

methylbenzothiazole (tissue staining study; prepn. of thioflavin derivs. for use in

antemortem diagnosis of Alzheimer's disease and in vivo imaging and prevention of amyloid deposition)

RN 92-36-4 USPATFULL CN Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

RN 2390-54-7 USPATFULL

CN Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride (9CI)

(CA INDEX NAME)

09/935,767 Page 9

ANSWER 4 OF 26 USPATFULL (Continued)

2390-54-7 USPATFULL Benzothiazolium, 2 [4-(dimethylamino)phenyl]-3,6 dimethyl-, chloride (9CI) (CA INDEX NAME)

● C1 ^

10205-62-6 USPATFULL Benzenamine, N,N-dimethyl 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX

ANSWER 5 OF 26 USPATFULL (Continued) (CA INDEX NAME)

• c1 -

LB ANSWER 5 OF 26 USPATFULL ACCESSION NUMBER: 2002:214328 USPATFULL

TITLE: Amyloid targeting imaging agents and uses INVENTOR (S): Gervais, Francine, Ile Bizard, CANADA

Kong, Xianqi, Dollard-des-Ormeaux, CANADA Chalifour, Robert, Ile Bizard, CANADA Migneault, David, Laval, CANADA

NUMBER KIND DATE PATENT INFORMATION: US 2002115717 A1 20020822 APPLICATION INFO.: US 2001 915092 A1 20010724 (9)

> NUMBER DATE

PRIORITY INFORMATION: US 2000-220808P 20000725 (60)

DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: LAHIVE & COCKFIELD, 28 STATE STREET, BOSTON, MA, 02109 NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

LINE COUNT: 2210

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Amyloid-targeting imaging agents such as radiolabeled amyloid targeting molecules and amyloid targeting molecule-chelator conjugates for imaging, e.g., amyloid plaques in vivo, and/or for the treatment of amyloidosis disorders. The invention provides amyloid

-targeting imaging agents that are useful for imaging sites of amyloid disease. Imaging agents of the invention are capable of binding specifically to amyloid plaques, as an aid in diagnosis and/or early treatment of amyloidosis disorders.

IT 1829-00-1D, Thiazol yellow g, radiolabeled conjugates 2390-54-7D, Thioflavin t, radiolabeled conjugates (amyloid targeting imaging agents)

1829 00-1 USPATFULL

7-Benzothiazolesulfonic acid, 2,2'-(1-triazene-1,3-diyldi-4,1phenylene)bis[6-methyl-, disodium salt (9CI) (CA INDEX NAME)

•2 Na

RN 2390-54-7 USPATFULL

Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride (9CI)

L8 ANSWER 6 OF 26 USPATFULL

ACCESSION NUMBER: 2002:37902 USPATFULL

TITLE: Thiazole, imidazole and oxazole compounds and treatments of disorders associated with protein aging

INVENTOR(S): Wagle, Dilip, New York, NY, UNITED STATES Vasan, Sara, New York, NY, UNITED STATES

Egan, John J., New York, NY, UNITED STATES

NUMBER KIND DATE US 2002022622 20020221 A1

PATENT INFORMATION: APPLICATION INFO.: US 2001-766547 A1 20010119 (9)

PRIORITY INFORMATION: US 2000-176995P 20000119 (60) US 2000-183274P 20000217 (60)

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

DECHERT, P. O. Box 5218, Princeton, NJ, 08543 LEGAL REPRESENTATIVE:

NUMBER

NUMBER OF CLAIMS: 25

EXEMPLARY CLAIM:

LINE COUNT: 2507 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Provided are, among other things, compounds of formula I or IA, ##STR1##

. Also provided are methods of treatment with such compounds.

IT 289491-05-0P (prepn. of thiazole, imidazole, and oxazole compds. for treatment of

disorders assocd. with protein aging) 289491-05-0 USPATFULL

2-Furancarboxamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

IT 92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole

(prepn. of thiszole, imidazole, and oxazole compds. for treatment of

disorders assocd, with protein aging) 92-36-4 USPATFULL

Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L8 ANSWER 7 OF 26 USPATFULL

ACCESSION NUMBER: 2002:152685 USPATFULL TITLE:

Compositions and methods for advanced glycosylation endproduct mediated modulation of amyloidosis

INVENTOR(S): Vitek, Michael P., 205 Park Knoll La., Apex, NC, United

States 27502

Cerami, Anthony, Ram Island Dr., Shelter Island, NY, United States 11964

Bucala, Richard J., 504 E. 63rd St. Apt. 33 0, New York, NY, United States 10021

Ulrich, Peter C., 148 DeWolf Rd., Old Tappan, NJ,

United States 07675 Vlassara, Helen, Ram Island Dr., Shelter Island, NY,

United States 11964 Zhang, Xini, 150 Fairhaven Dr. Apt. D1, Jericho, NY,

United States 11753(4)

NUMBER KIND DATE

PATENT INFORMATION: US 6410598 20020625 APPLICATION INFO.: US 1995-477364

19950607 (8) RELATED APPLN. INFO.: Continuation in part of Ser. No. US 1995 457169, filed

> on 1 Jun 1995 Continuation in part of Ser. No. WO 1995 US1380, filed on 2 Feb 1995 Continuation in part of Ser. No. US 1994-311768, filed on 23 Sep 1994, now

abandoned Continuation of Ser. No. US 1994 191579, filed on 3 Feb 1994, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

PRIMARY EXAMINER: Duffy, Patricia A.

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 12 Drawing Figure(s); 8 Drawing Page(s) 2202

LINE COUNT:

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates generally to the non enzymatic

glycosylation of amyloidogenic proteins and the consequent formation of advanced glycosylation endproducts (AGEs). It has been found that formation of AGE amyloidogenic proteins can enhance

amyloidosis. The invention further relates to compositions and methods for the prevention and treatment of amyloidosis

associated with amyloid diseases, particularly neurodegenerative disease and Type II diabetes, and more particularly

Alzheimer's disease. In a specific example, aggregation of an

amyloidogenic peptide, .beta.AP, is enhanced by the glycosylation reaction of .beta.AP to form AGE-.beta.AP as defined herein. Accordingly, the invention extends to a method for modulating the in vivo aggregation of amyloid polypeptides and associated

amyloidosis by controlling the formation and presence of AGE amyloid polypeptide. A corresponding diagnostic utility comprises the measurement of the course and extent of amyloidosis by a measurement of the presence and amount of AGEs and particularly, AGE-amyloid. An assay is included that may

use the AGE-amyloid polypeptide of the present invention to identify disease states characterized by the presence of AGE amyloid. Additionally, such an assay can be utilized to monitor

ANSWER 7 OF 26 USPATFULL (Continued) Absolute stereochemistry

● HCl

IT 169553-13-3P 169553-14-4P 169553-16-6P 169553-18-8P 169553-20-2P 438457-78-4P

(prepn. and reaction; advanced glycosylation endproduct-mediated

modulation of amyloidosis) 169553-13-3 USPATFULL

Urea, N-(6-aminohexyl) N-(4-(6-methyl-2-benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

NH- (CH2)6-NH2

169553 14 4 USPATFULL

CN .beta. D.Fructopyranose, 1-deoxy-1-[[6:[[[4:(6-methyl-2

benzothiazolyl)phenyllamino]carbonyllamino]hexyllamino] 2,3:4,5 bis 0 (1 methylethylidene) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 7 OF 26 USPATFULL (Continued)

therapy and thus adjust a dosage regimen for a given disease state characterized by the presence of AGE amyloid.

IT 169553-21-3P

(advanced glycosylation endproduct mediated modulation of amyloidosis)

169553 21 3 USPATFULL

.beta. D Fructopyranose, 1 deoxy 1 [dimethyl[4 [[4 (6 methyl 2 benzothiazolyl)phenyl]amino]butyl]ammonio]., chloride (9CI) (CA INDEX

Absolute stereochemistry.

● c1 ·

IT 169553-15-5P 169553-19-9P

(advanced glycosylation endproduct mediated modulation of amyloidosis)

169553 15 5 USPATFULL

.beta. D.Fructopyranose, 1.deoxy-1 [[6:[[[4:(6-methyl-2 benzothiazolyl)phenyl]amino]carbonyl]amino]hexyl]amino] (9CI) (CA

Absolute stereochemistry.

169553 · 19 · 9 USPATFULL

.beta. D Fructopyranose, 1-deoxy-1-[[4-[4-(6-methyl-2benzothiazolyl)phenyl]amino]butyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

ANSWER 7 OF 26 USPATFULL (Continued)

RN 169553-16-6 USPATFULL

1H-Isoindole-1,3(2H)-dione, 2-[4-[[4-(6-methyl-2benzothiazolyl)phenyl]amino]butyl] - (9CI) (CA INDEX NAME)

RN 169553-18-8 USPATFULL

.beta.-D Fructopyranose, 1 deoxy-1-[[4-[[4-[6-methyl-2benzothiazolyl)phenyl]amino]butyl]amino]-2,3:4,5-bis-O-(1methylethylidene) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169553-20-2 USPATFULL

.beta.-D.Fructopyranose, 1.deoxy-1.[dimethyl[4-[[4-(6-methyl-2benzothiazolyl)phenyl]amino]butyl]ammonio] -2,3:4,5-bis-0-(1methylethylidene) -, iodide (9CI) (CA INDEX NAME)

09/935,767

Page 11

(Continued) ANSWER 7 OF 26 USPATFULL Absolute stereochemistry

438457-78-4 USPATFULL

.beta.-D-Fructopyranose, 1-deoxy-1-[[6-[[[4-(6-methyl-2 benzothiazolyl)phenyl]amino]carbonyl]amino]hexyl]amino]-2,3-0 (1methylethylidene) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 92-36-4 67229-93-0

(reaction; advanced glycosylation endproduct mediated modulation of amyloidosis)

92-36-4 USPATFULL

Benzenamine, 4 (6-methyl-2-benzothiazolyl) - (9CI) (CA INDEX NAME)

ANSWER 8 OF 26 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V

ACCESSION NUMBER: 2002353985 EMBASE

Pathological peptide folding in Alzheimer's disease and TITLE:

other conformational disorders. AUTHOR

Mager P.P.; Penke B.; Walter R.; Harkany T.; Hartig W. CORPORATE SOURCE: P.P. Mager, Research Group of Pharmacochemistry, Institute

of Pharmacology/Toxicology, University of Leipzig,

Hartelstr. 16-18, D-04107 Leipzig Saxony, Germany.

magp@medizin.uni-leipzig.de Current Medicinal Chemistry, (2002) 9/19 (1763-1780).

SOURCE: Refs: 200 ISSN: 0929-8673 CODEN: CMCHE7

COUNTRY: Netherlands DOCUMENT TYPE Journal; General Review

FILE SEGMENT: 005

General Pathology and Pathological Anatomy 800 Neurology and Neurosurgery

Pharmacology

037 Drug Literature Index LANGUAGE:

English SUMMARY LANGUAGE: English

Main neuropathological hallmarks of Alzheimer's disease (AD) and other neurodegenerative disorders are the deposition of neurofibrillary tangles consisting of abnormally phosphorylated protein tau and of senile plaques largely containing insoluble .beta.-amyloid peptides (A.beta.), containing up to 43 amino acid residues derived from the .beta.-

amyloid precursor protein. Such A.beta.-sheets become visible by using suitable histochemical methods. Molecular simulation showed that the

central, .alpha.-helical, lipophilic, antigenic folding domain of the A.beta.-peptide loop is a promising molecular target of .beta.-sheet breakers that thus prevent the polymerization of A.beta. into aggregates. It seems that di- and tetramers of A.beta.-peptides have a .beta.-barrellike structure. In the present review, an optimized neural network analysis was applied to recognize possible structure-activity relationships of peptidomimetic .beta.-sheet breakers. The anti aggregatory potency of .beta.-sheet breakers largely depends upon their total, electrostatic, and hydration energy as derived from their geometry-optimized conformations using the hybrid Gasterger-molecular mechanics approach. Moreover, we also summarize peptide misfolding in several disorders with distinct clinical symptoms, including prion diseases and a broad variety of systemic amyloidoses, as the common pathogenic step driving these disorders. In particular, conversion of nontoxic .alpha.-helix/random-coils to .beta.-sheet conformation was recognized as being critical in producing highly pathogenic peptide assemblies. Whereas conventional pharmacotherapy of AD is mainly focused on restoring cholinergic activity and diminishing inflammatory responses as a consequence of amyloid accumulation, we here survey potential approaches aimed at preventing or reserving the transition of neurotoxic peptide species from .alpha.-helical/random coil to .beta.-sheet conformation and thus abrogating their effects in a broad variety of disorders.

ANSWER 7 OF 26 USPATFULL (Continued)

67229-93-0 USPATFULL

Benzothiazole, 2-(4-isocyanatophenyl)-6-methyl- (9CI) (CA INDEX NAME)

ANSWER 9 OF 26 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

ACCESSION NUMBER: 2002098419 EMBASE

Aggregation of .alpha.-synuclein induced by the TITLE Cu, Zn-superoxide dismutase and hydrogen peroxide system.

Kyung S.K.; Soo Y.C.; Hyeok Y.K.; Moo H.W.; Tae-Cheon K.; AUTHOR:

Jung H.K.

CORPORATE SOURCE: H.K. Jung, Chongju University, Division of Natural Sciences, Department of Genetic Engineering, Chongju

360-764, Korea, Republic of. jhkang@chongju.ac.kr SOURCE: Free Radical Biology and Medicine, (15 Mar 2002) 32/6

(544-550).

Refs: 50 ISSN: 0891-5849 CODEN: FRBMEH

PUBLISHER IDENT .: S 0891-5849(02)00741-4

COUNTRY: United States

DOCUMENT TYPE: Journal; Article FILE SEGMENT: General Pathology and Pathological Anatomy 005

008 Neurology and Neurosurgery

Pharmacology Drug Literature Index

037 LANGUAGE: English

SUMMARY LANGUAGE: English Alpha-synuclein is a major component of the abnormal protein aggregation in Lewy bodies of Parkinson's disease (PD) and senile plaques of Alzheimer's disease (AD). Previous studies have shown that the

aggregation of .alpha.-synuclein was induced by copper (II) and H(2)O(2) system. Since

copper ions could be released from oxidatively damaged Cu, Zn-superoxide dismutase (SOD), we investigated the role of Cu, Zn-SOD in the aggregation of .alpha.-synuclein. When .alpha.-synuclein was incubated with both Cu, 2n-SOD and H(2)O(2), .alpha.-synuclein was induced to be aggregated. This process was inhibited by radical scavengers and spin trapping agents such as 5.5'-dimethyl 1-pyrolline N-oxide and tert-butyl-.alpha.phenylnitrone. Copper chelators, diethyldithiocarbamate and penicillamine, also inhibited the Cu, Zn-SOD/H(2)O(2) system-induced .alpha.-synuclein aggregation. These results suggest that the aggregation of .alpha.-synuclein is mediated by the Cu,Zn-SOD/H(2)O(2) system via the generation of hydroxyl radical by the free radical-generating function of the enzyme. The Cu, Zn-SOD/H(2)O(2)-induced .alpha.-synuclein aggregates displayed strong thioflavin-S reactivity, reminiscent of amyloid

. These results suggest that the Cu, Zn-SOD/H(2)O(2) system might be related to abnormal aggregation of .alpha.-synuclein, which may be involved in the pathogenesis of PD and related disorders. .COPYRGT. 2002 Elsevier Science Inc.

L8 ANSWER 10 OF 26 MEDLINE

ACCESSION NUMBER: 2001376260 MEDLINE

21316499 PubMed ID: 11313335 DOCUMENT NUMBER:

TITLE: Thioflavin T is a fluorescent probe of the

acetylcholinesterase peripheral site that reveals conformational interactions between the peripheral and

acylation sites.

AUTHOR: De Ferrari G V; Mallender W D; Inestrosa N C; Rosenberry T

CORPORATE SOURCE: Department of Pharmacology and Program in Neurosciences, Mayo Foundation for Medical Education and Research, Mayo

Clinic Jacksonville, Jacksonville, Florida 32224, USA. CONTRACT NUMBER: NS-16577 (NINDS)

JOURNAL OF BIOLOGICAL CHEMISTRY, (2001 Jun 29) 276 (26) SOURCE:

23282-7

Journal code: 2985121R. ISSN: 0021-9258. PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English FILE SEGMENT:

Priority Journals ENTRY MONTH: 200108

ENTRY DATE:

Entered STN: 20010820 Last Updated on STN: 20010820 Entered Medline: 20010816

Three-dimensional structures of acetylcholinesterase (AChE) reveal a narrow and deep active site gorge with two sites of ligand

binding, an acylation site at the base of the gorge, and a peripheral site

near the gorge entrance. Recent studies have shown that the peripheral site contributes to catalytic efficiency by transiently binding

on their way to the acylation site, but the question of whether the peripheral site makes other contributions to the catalytic process remains open. A possible role for ligand binding to the peripheral site

that has long been considered is the initiation of a conformational change

that is transmitted allosterically to the acylation site to alter catalysis. However, evidence for conformational interactions between these

sites has been difficult to obtain. Here we report that thioflavin T, a fluorophore widely used to detect amyloid structure in proteins, binds selectively to the AChE peripheral site with an equilibrium dissociation constant of 1.0 microm. The fluorescence of the bound thioflavin T is increased more than 1000-fold over that of unbound thioflavin T, the greatest enhancement of fluorescence for the binding of a fluorophore to AChE yet observed. Furthermore, when the acylation site ligands edrophonium or m-{N, N,N-trimethylammonio}trifluoroacetoph enone form ternary complexes with AChE and thioflavin T, the fluorescence is quenched by factors of 2.7-4.2. The observation of this partial quenching of thioflavin T fluorescence is a major advance in the study of AChE for two reasons. First, it allows thioflavin T to be used as a reporter for ligand reactions at the acylation site. Second, it indicates that ligand binding to the acylation site initiates a change in the local AChE conformation at the peripheral site that quenches

the fluorescence of bound thioflavin T. The data provide strong evidence

L8 ANSWER 11 OF 26 CAPLUS COPYRIGHT 2002 ACS 2001:843336 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER: 136:115023 TITLE: Novel stilbenes as probes for amyloid

plaques

AUTHOR (S): Kung, Hank F.; Lee, Chi-Wan; Zhuang, Zhi-Ping; Kung,

Mei-Ping; Hou, Catherine; Ploessl, Karl CORPORATE SOURCE:

Departments of Radiology and Pharmacology, University of Pennsylvania, Philadelphia, PA, 19104, USA Journal of the American Chemical Society (2001), SOURCE:

123 (50), 12740-12741

CODEN: JACSAT; ISSN: 0002-7863 PUBLISHER: American Chemical Society

DOCUMENT TYPE Journal LANGUAGE: English

Alzheimer's disease (AD) is a neurodegenerative disease of the brain characterized by dementia, cognitive impairment, and memory loss. Formation and accumulation of aggregates of .beta.-amyloid (A.beta.) peptides in the brain are crit. factors in the development and progression of AD. The fibrillar aggregates of amyloid peptides, A.beta.1-40 and A.beta.1-42, are major metabolic peptides derived from amyloid precursor protein found in senile plaques and cerebrovascular amyloid deposits in AD patients. Our lab. has reported two types of iodinated probes, styrylbenzenes (IMSB) and thioflavins (benzothiazole, TZDM), for binding to A.beta. aggregates. In vitro binding studies of these ligands showed excellent binding affinities with Kd values of 0.13 and 0.06 nM for aggregates of A.beta.1-40 and 0.73 and 0.14 nM for aggregates of A.beta.1-42, resp. More importantly, under a competitive-binding assaying condition, two different and distinctive binding sites on A.beta.1-40 and A.beta.1-42 aggregates, which are mutually exclusive, were obsd. for styrylbenzenes (SB) and thioflavins (benzothiazole, TZ). Significantly, (1251) TZDM crossed intact blood-brain barrier and localized in the brain of normal

mice after an i.v. injection. For in vivo imaging of A.beta. aggregates to succeed, it will be necessary to develop agents which show good brain uptake in vivo. Brain penetration, a key factor for consideration, is usually related to the mol. size, neutrality, and lipophilicity. Further refinements of these probes are necessary to improve the brain uptake and washout from the normal brain regions and to achieve a high retention in the regions rich in A.beta. plaques.

10205-62-6

RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)

(stilbenes as probes for amyloid plaques) 10205-62-6 CAPLUS

Benzenamine, N,N-dimethyl-4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX

REFERENCE COUNT:

THERE ARE 33 CITED REFERENCES AVAILABLE FOR

FORMAT

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RECORD. ALL CITATIONS AVAILABLE IN THE RE

MEDLINE (Continued) L8 ANSWER 10 OF 26 in support of a conformational interaction between the two AChE sites

LB ANSWER 12 OF 26 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC. ACCESSION NUMBER: 2001:439765 BIOSIS

DOCUMENT NUMBER: PREV200100439765

TITLE: Amphoterin includes a sequence motif which is homologous to

> the Alzheimer's beta-amyloid peptide (Abeta), forms amyloid fibrils in vitro, and binds avidly

to Abeta

AUTHOR (S): Kallijarvi, Jukka; Haltia, Matti; Baumann, Marc H. (1) CORPORATE SOURCE:

(1) Protein Chemistry Unit, Institute of Biomedicine, Biomedicum Helsinki, University of Helsinki, FIN-00014,

Helsinki: Marc.Baumann@helsinki.fi Finland Biochemistry, (August 28, 2001) Vol. 40, No.

10032-10037. print. ISSN: 0006-2960.

DOCUMENT TYPE: Article LANGUAGE: English SUMMARY LANGUAGE: English

SOURCE:

Many of the proteins associated with amyloidoses have been found to share structural and sequence similarities, which are believed to be responsible for their capability to form amyloid fibrils. Interestingly, some proteins seem to be able to form amyloid -like fibrils although they are not associated with amyloidoses. This indicates that the ability to form amyloid fibrils may be a general property of a greater number of proteins not associated with

diseases. In the present work, we have searched for amyloidogenic consensus sequences in two current protein/peptide databases and show that

many proteins share structures which can be predicted to form amyloid. One of these potentially amyloidogenic proteins is amphoterin (also known as HMG-1), involved in neuronal development and a ligand for the receptor for advanced glycation end products (RAGE). It contains an amyloidogenic peptide fragment which is highly homologous to the Alzheimer's amyloid beta-peptide. If enzymatically released from the native protein, it forms amyloid -like fibrils which are visible in electron microscopy, exhibit apple green birefringence under polarized light after Congo red staining, and increases thioflavin T fluorescence. This fragment also shows high affinity to Abeta as a free peptide or while part of the native protein. Our results support the hypothesis that the potential to form amyloid is a common characteristic of a number of proteins, independent of their relation to amyloidoses, and that this potential can be predicted based on the physicochemical properties of these proteins.

09/935,767

L8 ANSWER 13 OF 26 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2001:315921 CAPLUS

DOCUMENT NUMBER: 135:73471

Radioiodinated Styrylbenzenes and Thioflavins as TITLE:

Probes for Amyloid Aggregates AUTHOR (5): Zhuang, Z.-P.; Kung, M. P.; Hou, C.; Skovronsky, D.

M.; Gur, T. L.; Ploessl, K.; Trojanowski, J. Q.; Lee, V. M. Y.; Kung, H. F.

CORPORATE SOURCE: Departments of Radiology Pathology and Laboratory

Medicine and Pharmacology, University of Pennsylvania,

Philadelphia, PA, 19104, USA SOURCE:

Journal of Medicinal Chemistry (2001), 44(12),

1905-1914

CODEN: JMCMAR; ISSN: 0022 2623 PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal LANGUAGE:

English We report for the first time that small mol. -based radioiodinated ligands, showing selective binding to A.beta. aggregates, cross the intact blood-brain barrier by simple diffusion. Four novel

ligands showing preferential labeling of amyloid aggregates of A.beta.(1 40) and A.beta.(1 42) peptides, commonly assocd. with plaques in the brain of people with Alzheimer's disease (AD), were developed. Two 125I labeled styrylbenzenes, (E,E) 1-iodo 2,5-bis(3 hydroxycarbonyl-4-hydroxy)styrylbenzene, I (ISB), and (E,E)-1-10do 2,5 bis(3-hydroxycarbonyl 4-methoxy)styrylbenzene, II (IMSB), and two 1251-labeled thioflaving, 2-[4'-(dimethylamino)phenyl)-6iodobenzothiazole, III (TZDM), and

2 [4'-(4''-methylpiperazin-1-yl)phenyl]-6-iodobenzothiazole, IV (TZPI), were prepd. at a high specific activity (2200 Ci/mmol). In vitro binding studies of these ligands showed excellent binding affinities with Kd values of 0.08, 0.13, 0.06, and 0.13 nM for aggregates of A.beta. (1-40) and 0.15, 0.73, 0.14, and

0.15 nM for aggregates of A.beta.(1-42), resp. Interestingly, under a competitive-binding assaying condition, different binding sites on A.beta.(1-40) and A.beta.(1-42) aggregates, which are mutually exclusive, were obsd. for styrylbenzenes and thioflavins. Autoradiog. studies of postmortem brain sections of a patient with Down's syndrome known to contain primarily A.beta. (1-42) aggregates in the brain showed that both [1251] III and [1251]-IV labeled these brain sections, but [1251]-II, selective for A.beta.(1-40) aggregates, exhibited very low labeling of

comparable brain section. Biodistribution studies in normal mice after

an iv injection showed that [1251]-III and [1251]-IV exhibited excellent brain uptake and retention, the levels of which were much higher than those of [1251] I and [1251] II. These findings strongly suggest that

the new radiolodinated ligands may be useful as blomarkers for studying A.beta.(1-40) as well as A.beta.(1-42) aggregates of amyloidogenesis in AD patients.

346691-88-1P

RL: BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)

ANSWER 13 OF 26 CAPLUS COPYRIGHT 2002 ACS

346691 · 92 · 7 CAPLUS

Benzenamine, N,N-dimethyl-4-[6-(tributylstannyl)-2-benzothiazolyl] (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

THERE ARE 52 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

ANSWER 13 OF 26 CAPLUS COPYRIGHT 2002 ACS (prepn. of radiologinated styrylbenzenes and thioflavins for amyloid aggregate imaging)

346691 88 1 CAPLUS

Benzenamine, 4 (6 bromo 2-benzothiazolyl) N,N dimethyl (9CI) (CA INDEX

Page 13

346691-94-9P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation);

(Process)

(prepn. of radioiodinated styrylbenzenes and thioflavins for amyloid aggregate imaging)

346691-94-9 CAPLUS

Benzenamine, 4-(6-iodo-2-benzothiazolyl) N,N-dimethyl (9CI) (CA INDEX

346691-96-1P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)

(prepn. of radioiodinated styrylbenzenes and thioflavins for amyloid aggregate imaging)

346691-96-1 CAPLUS

Benzenamine, 4-[6-(iodo-1251)-2-benzothiazolyl] N,N-dimethyl- (9CI) (CA INDEX NAME)

346691-92-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. of radiolodinated styrylbenzenes and thioflavins for amyloid aggregate imaging)

L8 ANSWER 14 OF 26

ACCESSION NUMBER: 2001365964 MEDLINE

21306236 PubMed ID: 11413227 DOCUMENT NUMBER:

TITLE: The relationship between the aggregational state of the amyloid-beta peptides and free radical generation

by the peptides.

AUTHOR: Monji A; Utsumi H; Ueda T; Imoto T; Yoshida I; Hashioka S; Tashiro K; Tashiro N

Department of Neuropsychiatry, Graduate School of Medical CORPORATE SOURCE: Sciences, Graduate School of Pharmaceutical Sciences,

Kyushu University, Fukuoka, Japan.. amonji@hf.rim.or.jp SOURCE: JOURNAL OF NEUROCHEMISTRY, (2001 Jun) 77 (6) 1425-32.

Journal code: 2985190R. ISSN: 0022-3042.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) LANGUAGE: English

FILE SEGMENT: Priority Journals ENTRY MONTH: 200107 ENTRY DATE: Entered STN: 20010723 Last Updated on STN: 20010723 Entered Medline: 20010719

In the present study, we investigated whether or not the amyloid -beta protein (Abeta) peptide itself spontaneously generates free

using electron spin resonance (ESR) spectroscopy while also monitoring the

aggregational state of Abeta and Abeta-induced cytotoxicity. The present results demonstrated a four-line spectrum in the presence of both Abeta40 and Abeta42 with Ntert-butyl-alpha phenylnitrone (PBN), but not in the presence of PBN alone in phosphate-buffered saline (PBS). The fact that the four-line spectrum obtained for the Abeta/PBN in PBS was completely abolished in the presence of the iron-chelating agent Desferal demonstrated the observed four-line spectrum to be iron-dependent. The present study also revealed that either Abeta40 or Abeta42 with PBN in phosphate buffer (PB) did not produce any definite four-line spectrum. Both a thioflavine T (Th-T) fluorometric assay and circular dichroism

spectroscopy showed the amyloid fibril formation of Abeta in PBS to be much higher than that of Abeta in PB. Moreover, Abeta-induced cytotoxicity assays showed Abeta incubated in PBS to be more cytotoxic than that incubated in PB. These results thus suggest that Abeta-associated free radical generation is strongly influenced by the aggregational state of the peptides.

L8 ANSWER 15 OF 26 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC. ACCESSION NUMBER: 2001:547100 BIOSIS DOCUMENT NUMBER: PREV200100547100 TITLE: In vivo detection of beta amyloid plaques in AD with iodinated thioflavin derivatives. Kung, M. P. (1); Hou, C. (1); Zhuang, Z. P. (1); AUTHOR(S): Skovronsky, D.; Gur, T. L.; Zhang, B.; Trojanowski, J. Q.; Lee, V. M. Y.; Kung, H. F. (1) (1) Radiology, Univ Pennsylvania, Philadelphia, PA USA CORPORATE SOURCE: Society for Neuroscience Abstracts, (2001) Vol. 27, No. 1, SOURCE: pp. 1217. print. Meeting Info.: 31st Annual Meeting of the Society for Neuroscience San Diego, California, USA November 10 15, ISSN: 0190-5295. DOCUMENT TYPE: Conference English LANGUAGE: SUMMARY LANGUAGE: English Accumulation of amyloid plaques in the brain is considered one of the most significant factors in Alzheimer's disease (AD). Thus, development of small molecule-based probes for in vivo plaque detection will be useful for early diagnosis as well as in assisting drug development for treatment of AD. Based on the structure of thioflavin, a commonly used gold standard for fluorescent staining of plaques and tangles, we prepared a series of neutral iodinated derivatives for mapping Abeta aggregates in AD brains. Two radioiodinated probes, (1251)TZDM and (1251) IBOX, showed exquisitely high binding affinities to synthetic aggregates of Abeta40 and Abeta42 (Kd values in sub nM ranges). In post-mortem brain sections of AD patients containing abundant amyloid plaques, both (1251) TZDM and (1251) IBOX displayed distinct labeling of plaques by film autoradiography. The labeling of Abeta by these two ligands was consistent with the thioflavin S staining visualized by fluorescent microscopic imaging. In vivo biodistribution studies in normal mice showed that both (1251)TZDM and (1251) IBOX exhibited excellent peak brain uptakes (1.5-2.0% ID). Initial studies of (1251)TZDM in transgenic mice engineered to produce excess Abeta aggregates clearly indicated in vivo plaque labeling. These new iodinated thioflavin derivatives may provide better candidates for further development of the in vivo mapping agents critically important for evaluation of AD.

TITLE: IBOX (2-(4'-dimethylaminophenyl)-6-iodobenzoxazole): ligand for imaging amyloid plaques in the brain AUTHOR(S): Zhuang, Zhi-Ping; Kung, Mei-Ping; Hou, Catherine; Plossl, Karl; Skovronsky, Daniel; Gur, Tamar L.; Trojanowski, John Q.; Lee, Virginia M.-Y.; Kung, Hank CORPORATE SOURCE: Department of Radiology, University of Pennsylvania, Philadelphia, PA, 19104, USA SOURCE: Nuclear Medicine and Biology (2001), 28(8), 887-894 CODEN: NMBIEO; ISSN: 0969-8051 PUBLISHER: Elsevier Science Inc. DOCUMENT TYPE: Journal LANGUAGE: English AB It is well known that overprodn, and accumulation of .beta.amyloid (A.beta.) plaques in the brain is a key event in the pathogenesis of Alzheimer's disease (AD). Previously it was demonstrated that [1251]TZDM, 2-(4'-dimethylaminophenyl)-6-iodobenzothiazole, a thioflavin deriv., was an effective ligand with good in vitro and in vivo binding characteristics. To further improve the initial uptake and washout rate from the brain, important properties for in vivo imaging agents, a novel radiologinated ligand, 2-(4'-dimethylaminophenyl)-6-iodobenzoxazole ([1251]IBOX), for detecting A.beta. plaques in the brain, was synthesized and evaluated. The new iodinated ligand, IBOX, is based on an isosteric replacement of a sulfur atom of TZDM by an oxygen, by which the mol. wt. is reduced while the lipophilicity of the iodinated ligand is increased. Partition coeffs. (P.C.) of these two ligands were 70 and 124 for TZDM and IBOX, resp. In vitro binding study indicated that the isosteric displacement yielded a new ligand with equal binding potency to A beta (1-40) aggregates (Ki = 1.9 and 0.8 nM for TZDM and IBOX, resp.). Autoradiog. of postmortem brain sections of a confirmed AD patient by [1251]IBOX showed excellent labeling of plaques similar to that obsd. with [1251]TZDM. More importantly, in vivo biodistribution of [1251] IBOX in normal mice displayed superior peak brain uptake (2.08% at 30 min vs 1.57% at 60 min dose/brain for [1251] IBOX and [1251] TZDM, resp.). In addn., the washout from the brain was much faster for [1251] IBOX as compared to [1251] T2DM. Based on the data presented for [1251] IBOX, it is predicted that the brain trapping of this new radioiodinated ligand in the A.beta. contg. regions will be more favorable than that of the parent compd., [1251]TZDM. Further evaluation of [1251]IBOX is warranted to confirm the A.beta. plaque labeling properties in vivo. 346691-96-1 RL: DGN (Diagnostic use); PKT (Pharmacokinetics); BIOL (Biological

(radioiodinated (dimethylaminophenyl)iodobenzoxazole for imaging

Benzenamine, 4-{6-(iodo-1251)-2-benzothiazolyl]-N,N-dimethyl- (9CI) (CA

amyloid plaques in brain: comparison with [1251] TZDM)

L8 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2002 ACS

2001:827673 CAPLUS

137:59572

ACCESSION NUMBER:

DOCUMENT NUMBER:

study);

USES (Uses)

INDEX NAME)

346691-96-1 CAPLUS

sheet structures in postmortem human neurodegenerative disease brains. Schmidt M.L.; Schuck T.; Sheridan S.; Kungt M.-P.; Kung AUTHOR: H . : Zhuang Z.-P.; Bergeron C.; Lamarche J.S.; Skovronsky D.; Giasson B.I.; Lee V.M. Y.; Trojanowski J.Q. CORPORATE SOURCE: Dr. J.Q. Trojanowski, Ctr. for Neurodegenerative Dis. Res., Department of Pathology, University of Pennsylvania, 36th and Spruce Streets, Philadelphia, PA 19104-4283, United States. trojanow#mail.med.upenn.edu SOURCE: American Journal of Pathology, (2001) 159/3 (937-943). Refs: 22 ISSN: 0002-9440 CODEN: AJPAA4 COUNTRY: United States DOCUMENT TYPE: Journal; Article FILE SEGMENT: 005 General Pathology and Pathological Anatomy Neurology and Neurosurgery 008 029 Clinical Biochemistry LANGUAGE: English SUMMARY LANGUAGE: English A novel Congo red-derived fluorescent probe (trans, trans), -1-bromo-2,5-bis-(3-hydroxycarbonyl-4-hydroxy)styrylbenzene (BSB) that binds to amyloid plaques of postmortem Alzheimer's disease brains and in transgenic mouse brains in vivo was designed as a prototype imaging agent for Alzheimer's disease. In the current study, we used BSB to probe postmortem tissues from patients with various neurodegenerative diseases with diagnostic lesions characterized by fibrillar intra- or extracellular lesions and compared these results with standard histochemical dyes such as thioflavin S and immunohistochemical stains specific for the same lesions. These data show that BSB binds not only to extracellular amyloid .beta. protein, but also many intracellular lesions composed of abnormal tau and synuclein proteins and suggests that radioiodinated BSB derivatives or related ligands may be useful imaging agents to monitor diverse amyloids in

The fluorescent Congo red derivative, (trans, trans)-1-bromo 2,5-bis-(3-hydroxycarbonyl-4-

Hydroxy)styrylbenzene (bsb), labels diverse beta pleated

L8 ANSWER 16 OF 26 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

ACCESSION NUMBER: 2001322946 EMBASE

TITLE:

8 ANSWER 17 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT 2390-54-7, Thioflavin T 346691-94-9

RL: BSU (Biological study, unclassified); BIOL (Biological study) (radioiodinated (dimethylaminophenyl)iodobenzoxazole for imaging amyloid plaques in brain: effect of thioflavins on [1251]TZDM binding)

N 2390-54-7 CAPLUS

CN Benzothiazolium, 2-{4-(dimethylamino)phenyl}-3,6-dimethyl-, chloride (9CI)

(CA INDEX NAME)

• c1

RN 346691-94-9 CAPLUS CN Benzenamine, 4-(6-iodo-2-benzothiazolyl)-N,N-dimethyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

09/935,767 Page 15

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2002 ACS

L8 ANSWER 18 OF 26 MEDLINE

ACCESSION NUMBER: 2001406053

MEDLINE 21349725 PubMed ID: 11457435 DOCUMENT NUMBER:

TITLE: Multiple ligand interaction of alpha-synuclein produced various forms of protein aggregates in the

presence of Abeta25-35, copper, and eosin. AUTHOR Kim Y S; Lee D; Lee E K; Sung J Y; Chung K C; Kim J; Paik

CORPORATE SOURCE: Department of Pathology, Korea University Ansan Hospital,

Gojan-Dong, 425-020, Ansan, South Korea. SOURCE: BRAIN RESEARCH, (2001 Jul 20) 908 (1) 93 8.

Journal code: 0045503. ISSN: 0006-8993. PUB. COUNTRY: Netherlands

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English FILE SEGMENT: ENTRY MONTH:

Priority Journals 200109

ENTRY DATE: Entered STN: 20010924 Last Updated on STN: 20010924 Entered Medline: 20010920

AB Various protein aggregates of alpha synuclein developed by way of the common protein self-oligomerization in the presence of Abeta25-35, copper,

and eosin were examined. All the aggregates exhibited congo red birefringence although the actual amounts of the aggregates were varied

determined by thioflavin T binding fluorescence. When their morphologies were analyzed in relation to in vitro cytotoxicity, the smallest granular aggregates obtained with copper exhibited the highest cytotoxicity, while the fibrous structures by eosin did not affect the cell.

L8 ANSWER 19 OF 26 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2000:665323 CAPLUS DOCUMENT NUMBER: 134:130 Affinity capillary electrophoresis is a powerful tool TITLE: to identify transthyretin binding drugs for potential therapeutic use in amyloidosis AUTHOR(S): De Lorenzi, Ermilia; Galbusera, Chiara; Bellotti, Vittorio; Mangione, Palma; Massolini, Gabriella; Tabolotti, Elena; Andreola, Alessia; Caccialanza, Gabriele CORPORATE SOURCE: Department of Pharmaceutical Chemistry, Faculty of Pharmacy, University of Pavia, Pavia, 27100, Italy Electrophoresis (2000), 21(15), 3280-3289 SOURCE: CODEN: ELCTDN; ISSN: 0173-0835 PUBLISHER Wiley-VCH Verlag GmbH DOCUMENT TYPE: Journal LANGUAGE: English In this work we used affinity capillary electrophoresis (ACE) to investigate the extent of interaction between a pool of drugs and wild-type transthyretin. After qual, preliminary screening, attention was focused on the most promising mols., flufenamic acid and flurbiprofen, which underwent a further stage of investigation, the detn. of the binding consts., and, when possible, the assessment of the no. of binding sites ACE, frontal anal. (FA) capillary electrophoresis (CE) and parallel ultrafiltration (UF) expts. Furthermore, our data demonstrate that FA CE is a suitable technique for identifying fibril ligands. This represents a novel CE application of pharmaceutical interest. 2390-54-7, Thioflavin T RL: ANT (Analyte); ANST (Analytical study) (affinity capillary electrophoresis is a powerful tool to identify transthyretin binding drugs for potential therapeutic use in amyloidosis) 2390-54-7 CAPLUS

Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride

(CA INDEX NAME)

c1

REFERENCE COUNT:

THERE ARE 41 CITED REFERENCES AVAILABLE FOR

THIS

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L8 ANSWER 20 OF 26 USPATFULL

ACCESSION NUMBER: 1999:92643 USPATEULL

TITLE: Compositions and methods for stimulating

amyloid removal in amyloidogenic diseases using advanced glycosylation endproducts

INVENTOR(S): Vitek, Michael P., East Norwich, NY, United States Cerami, Anthony, Shelter Island, NY, United States Bucala, Richard J., New York, NY, United States

Ulrich, Peter C., Old Tappan, NJ, United States Vlassara, Helen, Shelter Island, NJ, United States Zhang, Xini, Jericho, NJ, United States

PATENT ASSIGNEE(S): The Picower Institute For Medical Research, Manhasset, NY, United States (U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: US 5935927 19990810 WO 9520979 19950810 APPLICATION INFO .: 19960810 (8) US 1996-501127 WO 1995-US1380 19950202 19960810 PCT 371 date

19960810 PCT 102(e) date RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-311768, filed

on 23 Sep 1994, now abandoned which is a continuation-in-part of Ser. No. US 1994-191579, filed

on 3 Feb 1994, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Duffy, Patricia A.

LEGAL REPRESENTATIVE: Klauber & Jackson

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS:

12 Drawing Figure(s); 8 Drawing Page(s) LINE COUNT: 2154

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates generally to methods and compositions for treating amyloidogenic diseases such as Alzheimer's disease and the development of type II diabetes, in which deposition of amyloid in organs such as the brain and pancreas interfere with

neurological function and insulin release, respectively. The methods

compositions are directed toward increasing the activity of scavenger cells within the body at recognizing and removing amyloid deposits from affected tissues and organs. Scavenger cells may be targeted to amyloid deposits by means of spontaneouslyoccurring chemical modifications called advanced glycosylation endproducts (AGEs). Compositions are described which increase scavenger cell activity towards AGE-modified amyloid. Amyloid removal may also be enhanced by increasing AGE levels in amyloid deposits within the body by administering AGE-modified amyloid targeting agents, which after becoming situated at sites containing amyloid, subsequently attract scavenger cells to degrade attendant amyloid. These methods and associated compositions result in a decrease in the extent of amyloid deposits in

tissues, reducing the attendant pathology.

IT 2390-54-7D, Thioflavin, advanced glycosylation end-product conjugates 169553-19-9 169553-21-3

(advanced glycosylation end-products for amyloid removal stimulation

amyloidogenic diseases)

2390-54-7 USPATFULL

L8 ANSWER 20 OF 26 USPATFULL (Continued)
CN Benzothiazolium, 2 [4-(dimethylamino)phenyl] 3,6 dimethyl-, chloride
(9CI)
(CA INDEX NAME)

• c1

RN 169553-19 9 USPATFULL CN .beta.-D-Fructopyranose, 1-deoxy-1 [[4 [[4 (6-methyl-2-

benzothiazolyl)phenyl]amino]butyl]amino]., monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 169553·21-3 USPATFULL

N. beta. D-Fructopyranose, 1-deoxy-1-(dimethyl[4 [[4·(6 methyl-2 benzothiazolyl)phenyl]amino]butyl]ammonio]-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 20 OF 26 USPATFULL (Continued)

RN 169553-16-6 USPATFULL

N 1H-Isoindole-1,3(2H)-dione, 2-{4-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino}butyl]- (9CI) (CA INDEX NAME)

RN 169553-17-7 USPATFULL

CN 1,4-Butanediamine, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9C1) (CA INDEX NAME)

RN 169553-18-8 USPATFULL

CN .beta.-D-Fructopyranose, 1-deoxy-1-[[4-[[4-(6-methyl 2-benzothiazolyl)phenyl]amino]butyl]amino]-2,3:4,5-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 20 OF 26 USPATFULL (Continued)

• c1 -

IT 67229-93-0P 169553-13-3P 169553-14-4P 169553-16-6P 169553-17-7P 169553-18-8P

(prepn. and reaction; advanced glycosylation end-products for amyloid removal stimulation in amyloidogenic diseases)

RN 67229-93-0 USPATFULL

CN Benzothiazole, 2-(4-isocyanatophenyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 169553-13-3 USPATFULL

RN 169553-14-4 USPATFULL

CN .beta.-D-Fructopyranose, 1-deoxy-1-[[6-[[[4-(6-methyl-2-

benzothiazolyl)phenyl]amino)carbonyl]amino]hexyl]amino]-2,3:4,5-bis-O-(1methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 20 OF 26 USPATFULL (Continued)

RN 169553-20-2 USPATFULL

.beta.-D-Fructopyranose, 1-deoxy-1-{dimethyl{4-[{4-(6-methyl-2-benzothiazolyl)phenyl]amino]butyl]ammonio]-2,3:4,5-bis-O-(1-methylethylidene)-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• 1

IT 92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole
 (reaction; advanced glycosylation end-products for amyloid removal

(reaction; advanced glycosylation end-products for amyloid stimulation in amyloidogenic diseases)

SCIMULATION IN AMYLO RN 92-36-4 USPATFULL

CN Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

09/935,767

L8 ANSWER 22 OF 26

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L8 ANSWER 21 OF 26 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.
ACCESSION NUMBER: 1999:50505 BIOSIS
DOCUMENT NUMBER:
                   PREV199900050505
                   Inhibition of amyloid Abeta42-mediated seeding by
TITLE:
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metal chelators. AUTHOR (S):

Huang, X. (1); Atwood, C. S.; Hartshorn, M. A.; Cuajungco, M. P.; Goldstein, L. E.; Saunders, A. J.; Scarpa, R. C.; Leski, M. L.; Lim, J.; Moir, R. D.; Tani, R. E.; Bush, A.

(1) Genet. and Aging Unit, Harv. Med. Sch., Mass. Gen. CORPORATE SOURCE: Hosp., Charlestown, MA 02129 USA

SOURCE: Society for Neuroscience Abstracts, (1998) Vol. 24, No. 1 2, pp. 508. Meeting Info.: 28th Annual Meeting of the Society for

Neuroscience, Part 1 Los Angeles, California, USA November 7 12, 1998 Society for Neuroscience

. ISSN: 0190-5295.

DOCUMENT TYPE: Conference LANGUAGE: English

L8 ANSWER 23 OF 26 MEDLINE ACCESSION NUMBER: 96196768 MEDLINE DOCUMENT NUMBER: PubMed ID: 8608006 96196768 TITLE: Acetylcholinesterase accelerates assembly of amyloid-beta-peptides into Alzheimer's fibrils: possible role of the peripheral site of the enzyme. AUTHOR: Inestrosa N C; Alvarez A; Perez C A; Moreno R D; Vicente М; Linker C; Casanueva O I; Soto C; Garrido J Departamento de Biologia Celular y Molecular Facultad de CORPORATE SOURCE: Ciencias Biologicas Pontificia Universidad Catolica de Chile, Santiago, Chile. SOURCE: NEURON, (1996 Apr) 16 (4) 881-91.

Journal code: 8809320. ISSN: 0896-6273.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199605 ENTRY DATE:

Entered STN: 19960605 Last Updated on STN: 19980206 Entered Medline: 19960528

Acetylcholinesterase (AChE), an important component of cholinergic synapses, colocalizes with amyloid-beta peptide (A beta) deposits of Alzheimer's brain. We report here that bovine brain AChE, as well as the human and mouse recombinant enzyme, accelerates amyloid formation from wild-type A beta and a mutant A beta peptide, which alone produces few amyloid-like fibrils. The action of AChE was independent of the subunit array of the enzyme, was

affected by edrophonium, an active site inhibitor, but it was affected by propidium, a peripheral anionic binding site ligand. Butyrylcholinesterase, an enzyme that lacks the peripheral site, did not affect amyloid formation. Furthermore, AChE is a potent amyloid-promoting factor when compared with other A beta-associated proteins. Thus, in addition to its role in cholinergic synapses, AChE may function by accelerating A beta formation and could play a role during amyloid deposition in Alzheimer's brain.

ACCESSION NUMBER: 97330033 DOCUMENT NUMBER: 97330033 PubMed ID: 9186492 Stopped flow kinetics reveal multiple phases of thioflavin TITLE: T binding to Alzheimer beta (1-40) amyloid fibrile. AUTHOR: LeVine H 3rd CORPORATE SOURCE: Neurodegenerative Diseases, Parke Davis Pharmaceutical Research Division, Warner-Lambert Company, Ann Arbor, Michigan 48105 1047, USA.. LEVINEH@aa.wl.com ARCHIVES OF BIOCHEMISTRY AND BIOPHYSICS, (1997 Jun 15) 342 SOURCE: (2) 306-16. Journal code: 0372430. ISSN: 0003-9861. PUB. COUNTRY: United States DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE) LANGUAGE: English FILE SEGMENT: Priority Journals ENTRY MONTH: 199707 ENTRY DATE: Entered STN: 19970721 Last Updated on STN: 19980206 Entered Medline: 19970710 The benzothiazole dye thioflavin T (ThT) is a classical amyloid stain for semile plaques containing beta/A4 peptide in Alzheimer's disease brain. ThT also binds rapidly and specifically to the anti-parallel beta sheet fibrils formed from synthetic beta (1.40) peptide, but does bind to monomer or oligomeric intermediates. The fibrillar beta-sheet-bound dye species undergoes a characteristic 120 nm red shift of its excitation spectrum that may be selectively excited at 450 nm, resulting in a fluorescence signal at 482 nm. Mixing of preformed beta (1-40) amyloid fibrils with ThT in a stopped-flow spectrophotometer, monitoring fluorescence emission at > 475 nm while exciting at 450 nm, distinguished multiple kinetic phases of roughly equivalent amplitude with tau's in the ranges of 0.007, 0.05, 0.75, and 10-20 s. The fastest reaction appears to reflect a bimolecular dye event while the remaining reactions are rate-limited by protein tertiary or quaternary conformational changes. The high activation energies of the three slower reactions support this interpretation. The ThT concentration dependence of the reaction rates at different ratios of ThT/beta (1-40) amyloid fibrils rules out a rate-limiting conformational change occurring prior to ligand binding. ThT is a useful probe for the aggregated fibrillar state of beta (1-40) amyloid fibrils as the amyloid specific fluorescence reports only fibrillar species. The binding of ThT does not interfere with the aggregation of this peptide into amyloid fibrils. The putative conformational changes detected by the ThT fluorescence suggest that small pharmacologic ligands can perturb and possibly dissociate A beta amyloid

MEDLINE

Page 17

L8 ANSWER 24 OF 26 EMBASE COPYRIGHT 2002 ELSEVIER SCI. B.V.

ACCESSION NUMBER: 96253619 EMBASE

DOCUMENT NUMBER: 1996253619

fibrils.

TITLE: Synthesis and characterization of a solid vanadyl(IV) complex of D- glucuronic acid.

AUTHOR: Etcheverry S.B.; Williams P.A.M.; Baran E.J.

CORPORATE SOURCE: Quimica Inorganica, Facultad de Ciencias Exactas, UNLP, C.

Correo 962,1900-La Plata, Argentina Journal of Inorganic Biochemistry, (1996) 63/4 (285-289). SOURCE:

ISSN: 0162-0134 CODEN: JIBIDJ

COUNTRY: United States DOCUMENT TYPE: Journal; Article

Clinical Biochemistry FILE SEGMENT: 029

LANGUAGE: English SUMMARY LANGUAGE: English

It was possible to develop a synthetic procedure which enables the preparation of microcrystalline samples of the sodium salt of the complex union bis (D-glucuronato)oxovanadium(IV), a new example of a solid VO2+/carbohydrate complex, in which the oxocation is chelated by pairs of adjacent diol groups. The compound was characterized by chemical analysis, electronic (reflectance), and infrared spectroscopy.

L8 ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1995:887989 CAPLUS

DOCUMENT NUMBER: TITLE:

123:276079 Compositions and methods for advanced glycosylation endproduct-mediated modulation of amyloidosis

INVENTOR(S):

Vitek, Michael P.; Cerami, Anthony; Bucala, Richard J.; Ulrich, Peter C.; Vlassara, Helen; Zhang, Xini Picower Institute for Medical Research, USA

PATENT ASSIGNEE(S): SOURCE:

PCT Int. Appl., 88 pp. CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE WQ 9520979 A1 19950810 WO 1995 US1380 19950202 W: AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, JP, KE, KG. KP, KR, KZ, LK, LR, LT, LV, MD, MG, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SI, SK, TJ, TT, UA, US, UZ, VN RW: KE, MW, SD, SZ, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD. TG CA 2182731 19950810 CA 1995-2182731 19950202 AU 9518701 19950821 AU 1995-18701 19950202 AU 692237 **B**2 19980604 EP 1995-910911 19950202 EP 802797 A1 19971029 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT JP 09511492 T2 19971118 JP 1995-520751 US 6410598 20020625 81 US 1995-477364 19950607 US 5935927 US 1996-501127 19960810 19990810 US 1994-191579 A 19940203 PRIORITY APPLN. INFO.: A 19940923 US 1994-311768 WO 1995-US1380 W 19950202

US 1995-457169 A2 19950601 OTHER SOURCE(S): MARPAT 123:276079

The present invention relates generally to the nonenzymic glycosylation

οf amyloidogenic proteins and the consequent formation of advanced glycosylation endproducts (AGEs). It has been found that formation of AGE-amyloidogenic proteins can enhance amyloidosis. The invention further relates to compne, and methods for the prevention

and treatment of amyloidosis assocd. with amyloid diseases, particularly neurodegenerative disease and Type II diabetes,

and more particularly Alzheimer's disease. In a specific example,

aggregation of an amyloidogenic peptide, .beta.-AP, is enhanced by the glycosylation reaction of .beta.-AP to form AGE-.beta.-AP as defined herein. Accordingly, the invention extends to a method for modulating

in vivo aggregation of amyloid polypeptides and assocd. amyloidosis by controlling the formation and presence of AGEamyloid polypeptide. A corresponding diagnostic utility comprises the measurement of the course and extent of amyloidosis by a

ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued) 169553-16-6P 169553-17-7P 169553-18-8P

169553-20-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(advanced glycosylation endproduct-mediated modulation of

amyloidosis) 67229-93-0 CAPLUS

Benzothiazole, 2-(4-isocyanatophenyl)-6-methyl- (9CI) (CA INDEX NAME)

169553-13-3 CAPLUS

Urea, N-(6-aminohexyl)-N'-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

NH- (CH2)6-NH2

169553-14-4 CAPLUS

.beta.-D-Fructopyranose, 1-deoxy-1-[[6-[[[4-(6-methyl-2benzothiazolyl)phenyl]amino]carbonyl]amino]hexyl]amino]-2,3:4,5-bis-0-(1methylethylidene) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Me Me Me Me 
$$(CH_2)_6$$
  $H$   $(CH_2)_6$   $(CH_2)_6$ 

169553-16-6 CAPLUS

1H-Isoindole-1,3(2H)-dione, 2-[4-[4-(6-methyl-2benzothiazolyl)phenyl]amino|butyl] - (9C1) (CA INDEX NAME)

(Continued) ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS measurement of the presence and amt. of AGEs and particularly, AGEamyloid. An assay is included that may use the AGEamyloid polypeptide of the present invention to identify disease states characterized by the presence of AGE-amyloid. Addnl. such an assay can be utilized to monitor therapy and thus adjust a dosage regimen for a given disease state characterized by the presence of AGEamyloid. Prepn. of AGE-thioflavins is also described. Binding to amyloid of a thioflavin T-amadori product was demonstrated. 169553-21-3P

RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation);

(Process)

(advanced glycosylation endproduct-mediated modulation of amyloidosis)

169553 21-3 CAPLUS

.beta.-D-Fructopyranose, 1-deoxy-1-[dimethyl[4-[[4-[6-methyl-2benzothiazolyl)phenyl]amino]butyl]ammonio]-, chloride (9CI) (CA INDEX

Absolute stereochemistry.

92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole RL: RCT (Reactant); RACT (Reactant or reagent) (advanced glycosylation endproduct-mediated modulation of amyloidosis)

92-36-4 CAPLUS

Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

67229-93-0P 169553-13-3P 169553-14-4P

ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

169553-17-7 CAPLUS RN

1,4-Butanediamine, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA CN INDEX NAME)

169553-18-8 CAPLUS

.beta.-D-Fructopyranose, 1-deoxy-1-[[4-{[4-(6-methyl-2benzothiazolyl)phenyl]amino]butyl]amino]-2,3:4,5-bis-0-(1methylethylidene) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

169553-20-2 CAPLUS

.beta.-D-Fructopyranose, 1-deoxy-1-[dimethyl[4-[[4-(6-methyl-2benzothiazolyl)phenyl]amino]butyl]ammonio]-2,3:4,5-bis-0-(1methylethylidene) -, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS (Continued)

169553-15-5P 169553-19-9P RL: SPN (Synthetic preparation); PREP (Preparation)

(advanced glycosylation endproduct-mediated modulation of amyloidosis)

169553-15-5 CAPLUS

.beta.-D-Fructopyranose, 1-deoxy-1-[[6-[[[4-(6-methyl-2

benzothiazolyl)phenyl]amino]carbonyl]amino]hexyl]amino]- (9CI) (CA INDEX

Absolute stereochemistry.

169553-19-9 CAPLUS

.beta.-D-Fructopyranose, 1-deoxy-1-[[4-[4-[4-(6-methyl-2benzothiazolyl)phenyl]amino]butyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L8 ANSWER 26 OF 26 MEDLINE

ACCESSION NUMBER: MEDLINE 88258085

DOCUMENT NUMBER: 88258085 PubMed ID: 2455001

TITLE: Amyloid P component binds to keratin bodies in

human skin and to isolated keratin filament aggregates in vitro.

Hintner H; Booker J; Ashworth J; Aubock J; Pepys M B; AUTHOR:

Breathnach S M

CORPORATE SOURCE: Department of Medicine, (Dermatology), Charing Cross and

Westminister Medical School, London, U.K. SOURCE: JOURNAL OF INVESTIGATIVE DERMATOLOGY, (1988 Jul) 91 (1)

22-8. Journal code: 0426720. ISSN: 0022-202X.

PUB. COUNTRY: United States DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English FILE SEGMENT:

Priority Journals ENTRY MONTH: 198808

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ENTRY DATE: Entered STN: 19900308 Last Updated on STN: 19960129

Entered Medline: 19880802

Dermal keratin bodies, consisting mainly of keratin intermediate filament aggregates (KIFA) coated with IgM anti-KIF autoantibodies, are present in normal human skin and occur in increased quantities in certain skin diseases. Keratin bodies are normally rapidly removed, but in primary localized cutaneous amyloidosis (PLCA) they are converted by an unknown mechanism to amyloid. Amyloid P component (AP), a glycoprotein identical to, and derived from, the normal plasma protein serum amyloid P component (SAP), is present in all forms of amyloid including PLCA. We investigated the interaction between SAP, keratin bodies, and KIFA. Immunofluorescence staining of

normal skin using fluoresceinated anti-SAP and rhodamine-conjugated anti-IgM, or AE-1/AE-3 anti-keratin antibodies followed by Texas Red-conjugated anti-mouse immunoglobulin, showed that 52% +/- 4 (mean +/sem, n = 6) of keratin bodies bound anti-SAP. Similar findings were present in a biopsy from a patient with lichen planus. Isolated KIFA, prepared by 8M urea extraction of normal human epidermis or cultured keratinocytes, were preincubated with normal human serum as a source of SAP and then stained with fluoresceinated anti-SAP. Bright fluorescence seen when the incubation medium contained Ca++ was absent in the presence of ethylenediamine tetraacetic acid. Specific Ca++-dependent binding of SAP to KIFA was confirmed using immunoblotting. Binding of SAP to KIFA

not prevent their degradation following exposure to trypsin or alpha-chymotrypsin. Similarly, partial enzymatic digestion of KIFA did not

abrogate their ability to bind SAP. Our findings, that SAP is associated With keratin bodies in skin and exhibits Ca++-dependent binding to KIFA

vitro, identify keratin filaments as a newly recognized ligand for SAP.

ANSWER 25 OF 26 CAPLUS COPYRIGHT 2002 ACS

● HCl

2390-54-7, Thioflavin

RL: BAC (Biological activity or effector, except adverse); BSU

study, unclassified); BIOL (Biological study) (modified; advanced glycosylation endproduct-mediated modulation of amyloidosis)

2390-54-7 CAPLUS

Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride (9CI)

(CA INDEX NAME)

C1 :

09/935,767 Page 20

=> s 16 not 17 L9 74 L6 NOT L7

=> dup rem 19
PROCESSING COMPLETED FOR L9
L10 74 DUP REM L9 (0 DUPLICATES REMOVED)

=> d ibib ab hitstr 1-YOU HAVE REQUESTED DATA FROM 74 ANSWERS - CONTINUE? Y/(N):y

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L10 ANSWER 1 OF 74 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER:
                        2002:736495 CAPLUS
DOCUMENT NUMBER:
                        137:244284
                        Neurofibrillary labels
TITLE:
INVENTOR(S):
                         Wischik, Claude Michel; Harrington, Charles Robert;
                        Rickard, Janet Elizabeth; Horsley, David
PATENT ASSIGNEE(S):
                        University of Aberdeen, UK
SOURCE:
                         PCT Int. Appl., 160 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                                          APPLICATION NO. DATE
    PATENT NO.
                     KIND DATE
                                           . . . . . . . . . . . . .
     _ . . . . . . . . . . . . . . .
                      A2 20020926
                                          WO 2002-GB1318 20020320
    WO 2002075318
        W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
            CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
            GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
            UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
            TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
            CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                       GB 2001-6953 A 20010320
    Disclosed are methods for detg. the stage of neurofibrillary degeneration
    assord, with a tauopathy in a subject believed to suffer from the
disease,
     which methods comprise the steps of: (i) introducing into the subject a
    ligand capable of labeling aggregated paired helical filament
     (PHF) tau protein, (ii) detg. the presence and/or amt. of ligand
    bound to extracellular aggregated PHF tau in the medial temporal lobe of
    the brain of the subject, (iii) correlating the result of the detn. made
    in (ii) with the extent of neurofibrillary degeneration in the subject.
    The methods can be used for pre-mortem diagnosis and staging of
    tauopathies such as Alzheimer's Disease. Preferred ligands
     include sulfonated-benzothiazole-like compds, and diaminophenothiazines.
     Novel ligands (e.g. sulfonated-benzothiazole-like compds.) are
    also provided. The method may also include the use of "blocking
    ligands" to block competing binding sites. In other aspects the
     invention provides in vitro methods for identifying ligands
     capable of labeling aggregated PHF tau protein, the methods comprising
the
    steps of: (i) providing a first agent suspected of being capable of
    labeling aggregated PHF tau protein, (ii) contacting (a) a tau protein or
     a deriv, thereof contg, the tau core fragment bound to a solid phase so
     to expose a high affinity tau capture site, with (b) a liq. phase tau
    protein or deriv, thereof capable of binding to the solid phase tau
    protein or deriv., and (c) said selected first agent and (d) a second
     agent known to be tau-tau binding inhibitor, (iii) selecting first agent
     which fully or partially relieves the inhibition of binding of the liq.
    phase tau protein or deriv. of (b) to the solid phase tau protein or
     deriv. of (a) by the inhibitor (d). Ligands may also be tested
L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS
                         2002:504768 CAPLUS
ACCESSION NUMBER:
                         137:78945
DOCUMENT NUMBER:
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INVENTOR(S):
                         Barlaam, Bernard; Bernstein, Peter; Dantzman, Cathy;
                         Warwick, Paul
PATENT ASSIGNEE(S):
                         Astrazeneca AB, Swed.
                         PCT Int. Appl., 71 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
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                           ------
                                           . . . . . . . . . . . . . . . .
    WO 2002051821
                      A1 20020704
                                          WO 2001-SE2855 20011219
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
             CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
             GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR.
             LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
             PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU,
             TJ, TM
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,
             CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR,
             BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:
                                       SE 2000-4825
                                                        A 20001222
                                        SE 2000-4826
                                                         A 20001222
OTHER SOURCE(5):
                         MARPAT 137:78945
    This invention discloses the prepn. of title compds. I and their
    pharmaceutically acceptable salts and solvates, via cyclication of key
    intermediate II [wherein: X = O, S; Z = OL, SL, NH2, H; L = H or leaving
    group; Y = NHCOR1, OCOR1, N:CHR1, NHCSR1; R1 = (un) substituted alkyl, Ph,
    benzyl, heterocyclic ring contg. 1-3 heteroatoms (e.g., O, N or S)
    possessing 0-1 oxo groups and 0-1 fused benzo rings; R3 - (un)substituted
    alkyl, halo, CN, NO2, etc.; R4, R5, R6 = halo, CN, NO2, etc.]. For
    example, potassium ferricyanide mediated ring-closure of
    N-(3-cyano-5-methoxyphenyl)-4-methoxythiobenzamide provided thiobenzamide
    III (49\%), followed by deprotection provided claimed benzothiazole I [X =
    S; R1 = 4-HOC6H4; R5 = OH; R3 = CN; R4, R6 = H] in 39% yield. In human
    estrogen receptor binding assays, I demonstrated Ki values for .beta.-ER
    in the range of 0.017-1000 (nM) and selectivity (ER-.beta./ER-.alpha.) of
    1.8-363. Compds. of the present invention are shown to have high
    selectivity for human ER-.beta. over ER-.alpha. and may possess agonist
    activity on EB-.beta. without undesired uterine effects. As selective
    ER-.beta. ligands, I are useful in the treatment or prophylaxis
    of Alzheimer's disease, anxiety disorders, depressive disorders,
    osteoporosis, cardiovascular disease, rheumatoid arthritis or prostate
    103200-48-2P, 6-Bromo-2-(4-hydroxyphenyl)benzothiazole
    142648-17-7P, 2-(4-Hydroxyphenyl)-6-hydroxybenzothiazole
    178804-18-7P, 2-(4-Aminophenyl)-6-hydroxybenzothiazole
    440122-94-1P, 4-Methyl-6-hydroxy-2-(4-hydroxyphenyl)benzothiazole
    440122-97-4P, 4-Cyanomethyl-6-hydroxy-2-(4-
    hydroxyphenyl)benzothiazole 440123-00-2P, 4-Acetylene-6-hydroxy-
    2-(4-hydroxyphenyl)benzothiazole 440123-03-5P,
    4-Carboxy-6-hydroxy-2-(4-hydroxyphenyl)benzothiazole 440123-12-6P
    , 4-Cyano-6-hydroxy-2-(4-hydroxyphenyl)benzothiazole 440123-13-7P
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Preparation of benzoxazoles and benzothiazoles as

selective ligands for human .beta.-estrogen

receptor

TITLE:

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L10 ANSWER 1 OF 74 CAPLUS COPYRIGHT 2002 ACS
                                                 (Continued)
    to confirm that they are not themselves inhibitors.
    461001-23-0
     RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses)
       (neurofibrillary labels)
RN 461001-23 0 CAPLUS
CN 4 Benzothiazolesulfonic acid,
2-[4-[(2-hydroxyphenyl)azo]phenyl]-5-methyl-
     , monosodium salt (9CI) (CA INDEX NAME)
L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS
     , 4-Bromo-6-hydroxy-2-(4-hydroxyphenyl)benzothiazole 440123-14-8P
     , 4-Iodo-6-hydroxy-2-(4-hydroxyphenyl)benzothiazol 440123-15-9P,
     4-Chloro-6-hydroxy-2-(4-hydroxyphenyl)benzothiazole 440123-16-0P
     440123-17-1P, 7-Chloro-6-hydroxy-2-(4-hydroxyphenyl)benzothiazole
     440123-18-2P, 7-Cyano-5-hydroxy-2-(4-hydroxyphenyl)benzothiazole
     440123-34-2P 440123-36-4P
     RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
     (Uses)
       (drug candidate; prepn. of benzoxazoles and benzothiazoles as
       ligands for human .beta.-estrogen receptor (ER-.beta.))
    103200-48-2 CAPLUS
    Phenol, 4-(6-bromo-2-benzothiazolyl)- (9CI) (CA INDEX NAME)
   142648-17-7 CAPLUS
    6-Benzothiazolol, 2-(4-hydroxyphenyl) - (9CI) (CA INDEX NAME)
    178804-18-7 CAPLUS
    6-Benzothiazolol, 2-(4-aminophenyl)- (9CI) (CA INDEX NAME)
    440122-94-1 CAPLUS
    6-Benzothiazolol, 2-(4-hydroxyphenyl)-4-methyl- (9CI) (CA INDEX NAME)
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440122-97-4 CAPLUS

4-Benzothiazoleacetonitrile, 6-hydroxy-2-(4-hydroxyphenyl)- (9CI) (CA

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) INDEX NAME)

440123 00-2 CAPLUS

6-Benzothiazolol, 4 ethynyl-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

RN 440123-03-5 CAPLUS

4-Benzothiazolecarboxylic acid, 6-hydroxy-2 (4-hydroxyphenyl) (9CI) (CA INDEX NAME)

440123-12-6 CAPLUS

4-Benzothiazolecarbonitrile, 6-hydroxy-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

440123-17-1 CAPLUS

6-Benzothiazolol, 7-chloro-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

440123-18-2 CAPLUS

CN 7-Benzothiazolecarbonitrile, 5-hydroxy-2-(4-hydroxyphenyl)- (9C1) (CA

440123-34-2 CAPLUS

4-Benzothiazolecarboxamide, 6-hydroxy-2-{4-hydroxyphenyl}-N-methyl- (9CI) CN (CA INDEX NAME)

440123-36-4 CAPLUS

Ü

4-Benzothiazolecarboxamide, 6-hydroxy-2-(4-hydroxyphenyl)- (9CI) (CA

INDEX NAME)

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

440123-13-7 CAPLUS

6 Benzothiazolol, 4-bromo-2 (4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

440123 14 8 CAPLUS

6-Benzothiazolol, 2-(4 hydroxyphenyl) 4 iodo- (9CI) (CA INDEX NAME)

440123-15-9 CAPLUS

6-Benzothiazolol, 4-chloro-2-(4-hydroxyphenyl)- (9CI) (CA INDEX NAME)

440123-16-0 CAPLUS

6-Benzothiazolol, 2-(4-hydroxyphenyl)-4-(trifluoromethyl)- (9CI) (CA

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

IT 10205-70-6P, 6-Methoxy-2-(4-methoxyphenyl)benzothiazole 43036-17-5P, 4-(6-Methoxybenzothiazol-2-yl)phenylamine

154558-92-6P, 6-Bromo-2-(4-methoxyphenyl)benzothiazole

440122-93-0P, 4-Methyl-6-methoxy-2-(4-methoxyphenyl)benzothiazole 440122-95-2P, 4-Bromomethyl-6-methoxy-2-(4-

methoxyphenyl) benzothiazole 440122-96-3P, 4-Cyanomethyl-6-

methoxy-2-(4-methoxyphenyl)benzothiazole 440122-98-5P 440122-99-6P, 4-Acetylene-6-methoxy-2-(4-

methoxyphenyl)benzothiazole 440123-01-3P, 4-Methoxycarbonyl-6methoxy-2-(4-methoxyphenyl)benzothiazole 440123-02-4P,

4-Carboxy-6-methoxy-2-(4-methoxyphenyl)benzothiazole 440123-33-1P 440123-35-3P 440123-37-5P 440123-44-4P,

4-Cyano-6-methoxy-2-(4-Methoxyphenyl)benzothiazole 440123-45-5P,

4-Iodo-6-methoxy-2-(4-Methoxyphenyl)benzothiazole 440123-46-6P.

4-Chloro-6-methoxy-2-(4-Methoxyphenyl)benzothiazole 440123-47-7P , 6-Methoxy-2-(4-Methoxyphenyl)-4-Trifluoromethyl-1-benzothiazole

440123-49-9P, 7-Bromo-6-methoxy-2-(4-methoxyphenyl)benzothiazole 440123-50-2P, 5-Methoxy-2-(4-hydroxyphenyl)benzothiazole-7-

carbonitrile RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent) (intermediate; prepn. of benzoxazoles and benzothiazoles as selective

ligands for human .beta.-estrogen receptor (ER-.beta.))

10205-70-6 CAPLUS Benzothiazole, 6-methoxy-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

43036-17-5 CAPLUS RN

CN Benzenamine, 4-(6-methoxy-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

154558-92-6 CAPLUS

Benzothiazole, 6-bromo-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued

RN 440122 93 0 CAPLUS

EN Benzothiazole, 6-methoxy 2 (4 methoxyphenyl) 4 methyl (9CI) (CA INDEX NAME)

RN 440122-95-2 CAPLUS

CN Benzothiazole, 4 (bromomethyl) 6 methoxy-2 (4 methoxyphenyl) (9CI) (CA INDEX NAME)

RN 440122-96 3 CAPLUS

N 4-Benzothíazoleacetonitrile, 6 methoxy 2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 440122-98-5 CAPLUS

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 440123-33-1 CAPLUS

CN 4-Benzothiazolecarboxamide, 6-methoxy-2-(4-methoxyphenyl)-N,N-dimethyl-(9CI) (CA INDEX NAME)

RN 440123-35-3 CAPLUS

CN 4-Benzothiazolecarboxamide, 6-methoxy-2-(4-methoxyphenyl) N-methyl- (9CI)
(CA INDEX NAME)

RN 440123-37-5 CAPLUS

CN 4-Benzothiazolecarboxamide, 6-methoxy-2-(4-methoxyphenyl)- (9CI) (CA

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

MeO 
$$\sim$$
 N OMe  $\sim$  N  $\sim$ 

N 440122 99 6 CAPLUS

CN Benzothiazole, 4 ethynyl 6 methoxy 2 (4 methoxyphenyl) (9CI) (CA INDEX NAME)

RN 440123 · 01 3 CAPLUS

CN 4 Benzothiazolecarboxylic acid, 6 methoxy-2 (4-methoxyphenyl), methyl ester (9CI) (CA INDEX NAME)

RN 440123-02-4 CAPLUS

CN 4-Benzothiazolecarboxylic acid, 6-methoxy-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 440123-44-4 CAPLUS

CN 4-Benzothiazolecarbonitrile, 6-methoxy-2-(4-methoxyphenyl) (9CI) (CA

RN 440123-45-5 CAPLUS

CN Benzothiazole, 4-iodo-6-methoxy-2-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

440123-46-6 CAPLUS

CN Benzothiazole, 4-chloro-6-methoxy-2-(4-methoxyphenyl)- (9CI) (CA INDEX

MeO S N

RN 440123-47-7 CAPLUS

RN 440123-47-7 CAPLUS
CN Benzothiazole, 6-methoxy-2-(4-methoxyphenyl)-4-(trifluoromethyl)- (9CI)

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) (CA INDEX NAME)

440123 49 9 CAPLUS

Benzothiazole, 7 bromo 6 methoxy 2 (4 methoxyphenyl) (9CI) (CA INDEX

440123 50 2 CAPLUS

7 Benzothiazolecarbonitrile, 2 (4 hydroxyphenyl) 5 methoxy (9CI) (CA

440123-32-0, 4 Bromo 6 methoxy 2 (4 methoxyphenyl)benzothiazole

RL: RCT (Reactant); RACT (Reactant or reagent)

(reactant; prepn. of benzoxazoles and benzothiazoles as selective ligands for human .beta. estrogen receptor (ER .beta.))

440123 32 0 CAPLUS

Benzothiazole, 4 bromo 6 methoxy 2 (4 methoxyphenyl) (9CI) (CA INDEX

L10 ANSWER 3 OF 74 USPATFULL

ACCESSION NUMBER:

2002:266456 USPATFULL TITLE:

5 cyano 2 aminopyrimidine derivatives INVENTOR (S): Batchelor, Mark James, Watlington, UNITED KINGDOM

Moffat, David Festus Charles, Maidenhead, UNITED

Davis, Jeremy Martin, Wokingham, UNITED KINGDOM Hutchings, Martin Clive, Wokingham, UNITED KINGDOM

NUMBER KIND DATE

PATENT INFORMATION: US 2002147339 20021010 20020520 (10) APPLICATION INFO.: US 2002 151518

RELATED APPLN. INFO.: Continuation of Ser. No. US 2000 596952, filed on 16

Jun 2000, PENDING

NUMBER DATE

PRIORITY INFORMATION: GB 1999 14258 19990618 DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION

WOODCOCK WASHBURN LLP, ONE LIBERTY PLACE, 46TH FLOOR, LEGAL REPRESENTATIVE:

1650 MARKET STREET, PHILADELPHIA, PA, 19103 NUMBER OF CLAIMS: 11

EXEMPLARY CLAIM: LINE COUNT: 3033

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Pyrimidines of formula (1) are described ##STR1##

wherein Ar is an optionally substituted aromatic or heteroaromatic group;

R.sup.1 is a hydrogen atom or a straight or branched chain alkyl group;

R.sup.2 is a X.sup.1 R.sup.3 group where X.sup.1 is a direct bond or a linker atom or group, and

R.sup.3 is an optionally substituted aliphatic, cycloaliphatic, heteroaliphatic, heterocycloaliphatic, aromatic or heteroaromatic group;

and the salts, solvates, hydrates and N-oxides thereof.

The compounds are selective KDR Kinase and/or FGFr Kinase inhibitors

and are of use in the prophylaxis and treatment of disease states

associated

with anglogenesis. IT 314269-40-4P

(prepn. of 2 arylamino 5 cyanopyrimidines as inhibitors of KDR kinase and/or FGFr kinase)

314269 40 4 USPATFULL

CN 5 Pyrimidinecarbonitrile,

2 [[4 (6 methyl 2 benzothiazolyl)phenyl]amino] 4

phenyl (9CI) (CA INDEX NAME)

L10 ANSWER 2 OF 74 CAPLUS COPYRIGHT 2002 ACS

REFERENCE COUNT

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 3 OF 74 USPATFULL (Continued)

L10 ANSWER 4 OF 74 USPATFULL ACCESSION NUMBER: 2002:221827 USPATFULL TITLE: Method for treating glaucoma IIB Wagle, Dilip, New York, NY, UNITED STATES INVENTOR(S): Gall, Martin, Morristown, NJ, UNITED STATES Bell, Stanley C., Narberth, PA, UNITED STATES LaVoie, Edmond J., Princeton Junction, NJ, UNITED STATES NUMBER DATE KIND . . . . . . . US 2002119970 PATENT INFORMATION: 20020829 A 1 US 2001 36856 APPLICATION INFO.: 20011231 (10) NUMBER DATE PRIORITY INFORMATION: US 2001 296258P 20010606 (60) US 2000 259428P 20001229 (60) DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: ALLEN BLOOM, C/O DECHERT, PRINCETON PIKE CORPORATION CENTER, P.O. BOX 5218, PRINCETON, NJ, 08543 5218 NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 1262 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Provided is a method of decreasing intraocular pressure or improving ocular accommodation in an animal, including a human, comprising administering an intraocular pressure decreasing amount or ocular accommodation improving amount of a compound of the formula I or IA, ##STR1## wherein J is oxygen, sulfur, or N -R.sup.d. IT 289491-05-0P (antiglaucoma agents; prepn. of thiazole derivs. as antiglaucoma agents)

2 Furancarboxamide, N-[4-(6-methyl-2 benzothiazolyl)phenyl] (9CI) (CA

289491-05-0 USPATFULL

INDEX NAME)

IT 92-36-4, 2 (4 Aminophenyl) - 6 methylbenzothiazole (reactant; prepn. of thiazole derivs. as antiglaucoma agents) 92-36-4 USPATFULL Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 5 OF 74 USPATFULL ACCESSION NUMBER: 2002:126093 USPATFULL

TITLE: Ink, ink-jet recording method using the same, and

photopolymerization initiator INVENTOR (S): Noguchi, Hiromichi, Tokyo, JAPAN

NUMBER KIND DATE PATENT INFORMATION: US 2002064603 A1 20020530

APPLICATION INFO.: US 2001 978104 A1 20011017 (9) Division of Ser. No. US 1999-294333, filed on 20 Apr RELATED APPLN. INFO.:

1999, UNKNOWN

NUMBER DATE JP 1998-119358 PRIORITY INFORMATION: 19980428 JP 1998-295452 19981016 19990409 JP 1999-103352 DOCUMENT TYPE: Utility

FILE SEGMENT: APPLICATION FITZPATRICK CELLA HARPER & SCINTO, 30 ROCKEFELLER LEGAL REPRESENTATIVE:

PLAZA, NEW YORK, NY, 10112 NUMBER OF CLAIMS: 81

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 8 Drawing Page(s) LINE COUNT: 1639

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

An ink for ink-jet recording contains a coloring agent, a polymerizable oligomer, water, and a photopolymerization initiator having a solubility

in water of 3 percent by weight or more. Another ink for ink-jet recording contains a coloring agent, a polymerizable oligomer having at least two acryloyl groups and a solubility in water of 10 percent by weight or more, a photopolymerization initiator, and water. The specified polymerizable oligomer or photopolymerization initiator

reduces bleeding of the ink on recording media. IT 2390-54-7, C.I.Basic Yellow 1

(ink-jet inks contg. photopolymn. initiators and recording method) 2390-54-7 USPATFULL Benzothiazolium, 2:[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride

(9CI) (CA INDEX NAME)

CN

LIO ANSWER 4 OF 74 USPATFULL (Continued)

L10 ANSWER 6 OF 74 USPATFULL

ACCESSION NUMBER:

TITLE:

INVENTOR (S): Kordik, Cheryl P., Lansdale, PA, UNITED STATES Lovenberg, Timothy W., San Diego, CA, UNITED STATES Reitz, Allen B., Lansdale, PA, UNITED STATES NUMBER KIND DATE PATENT INFORMATION: US 2002058816 A1 20020516 A1 20010703 (9) APPLICATION INFO.: US 2001-898420 RELATED APPLN. INFO.: Continuation of Ser. No. US 2000-563190, filed on 2 May 2000, GRANTED, Pat. No. US 6291476 NUMBER DATE PRIORITY INFORMATION: US 1999-133842P 19990512 (60) DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION LEGAL REPRESENTATIVE: AUDLEY A. CIAMPORCERO JR., JOHNSON & JOHNSON, ONE JOHNSON & JOHNSON PLAZA, NEW BRUNSWICK, NJ, 08933-7003 NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1 LINE COUNT: 1589 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Pyrazole carboxamide derivatives of the formula: ##STR1## which are ligands for the neuropeptide Y, subtype 5 receptor, and pharmaceutical compositions containing a pyrazole carboxamide derivative as the active ingredient are described. The pyrazole carboxamides are useful in the treatment of disorders and diseases associated with the NPY receptor subtype Y5. IT 308337-73-7P (prepn. of pyrazole carboxamides for the treatment of obesity and disorders) 308337 - 73 - 7 USPATFULL RN 1H-Pyrazole-3-carboxamide, 5-methyl-N-{4-(6-methyl-2benzothiazolyl)phenyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX

2002:113070 USPATFULL

obesity and other disorders

Pyrazole carboxamides useful for the treatment of

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L10 ANSWER 7 OF 74 USPATFULL
ACCESSION NUMBER:
                       2002:27519 USPATFULL
TITLE:
                       Nonpeptide insulin receptor agonists
INVENTOR(S):
                       Sportsman, Richard, Palo Alto, CA, UNITED STATES
                       Villar, Hugo O., Newark, CA, UNITED STATES
                       Kauvar, Lawrence M., San Francisco, CA, UNITED STATES
                       Satyam, Apparao, Fremont, CA, UNITED STATES
                            NUMBER
                                         KIND
                                               DATE
                       PATENT INFORMATION:
                       US 2002016367
                                         A1 20020207
APPLICATION INFO.:
                       US 2001-961179
                                         A1 20010921 (9)
RELATED APPLN.'INFO.:
                       Division of Ser. No. US 1997-916088, filed on 21 Aug
                       1997, PENDING Continuation of Ser. No. US 1997 785855,
                       filed on 20 Jan 1997, GRANTED, Pat. No. US 6073168
DOCUMENT TYPE:
                       Utility
FILE SEGMENT:
                       APPLICATION
LEGAL REPRESENTATIVE:
                       HELLER EHRMAN WHITE & MCAULIFFE LLP, 275 MIDDLEFIELD
                       ROAD, MENLO PARK, CA, 94025 3506
NUMBER OF CLAIMS:
                       43
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                       9 Drawing Page(s)
LINE COUNT:
                       827
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Modulation of the activity of the insulin receptor, enhancement of
       glucose uptake by cells, and other effects significant in the control
       and management of diabetes are accomplished using compounds of the
       formula ##STR1##
       wherein each A is independently a proton-accepting substituent;
       each R is independently a noninterfering substituent;
       m is 0 or 1;
       n is 0, 1, or 2; and
       each linker is independently an isostere of --N.dbd.N-- or of --NHCO--.
       Compounds in the genus of Formula (1) can also be used for structure
       activity studies to identify features responsible for the relevant
       activities.
IT 10190-68-8P, TER 3938
        (modulators of insulin receptor activity, screening, and therapeutic
   10190-68-8 USPATFULL
    7-Benzothiazolesulfonic acid.
2-[4-[[1-[[(2-methoxyphenyl)amino]carbonyl]-
       2-oxopropyl]azo]-3-sulfophenyl]-6-methyl-, disodium salt (9CI) (CA
       INDEX NAME)
```

L10 ANSWER 8 OF 74 USPATFULL ACCESSION NUMBER: 2002:22561 USPATFULL TITLE: Coloring resin composition and molded articles INVENTOR (S): Kawamura, Masayasu, Chuo-Ku, JAPAN Koide, Masashi, Chuo-Ku, JAPAN TOYO INK Mfg. Co., Ltd., Chuo-Ku, JAPAN (non-U.S PATENT ASSIGNEE(S): corporation) NUMBER KIND DATE -----PATENT INFORMATION: US 2002013397 A1 20020131 APPLICATION INFO.: US 2001-880936 A1 20010615 (9) NUMBER DATE PRIORITY INFORMATION: JP 2000-186413 20000621 DOCUMENT TYPE: Utility APPLICATION FILE SEGMENT: LEGAL REPRESENTATIVE: OBLON SPIVAK MCCLELLAND MAIER & NEUSTADT PC, FOURTH FLOOR, 1755 JEFFERSON DAVIS HIGHWAY, ARLINGTON, VA, 22202 NUMBER OF CLAIMS: 16 EXEMPLARY CLAIM: 1 LINE COUNT: 903 CAS INDEXING IS AVAILABLE FOR THIS PATENT. AΒ Disclosed is a coloring resin composition comprising a dispersing agent, a pigment and a thermoplastic resin, in which the dispersing agent is expressed by the following Formula 1 and the thermoplastic resin is metallocene polyolefin: C.sub.nH.sub.2n+1(OCH.sub.2CH.sub.2).sub.mOH Formula 1 wherein n is an integer of 1 to 100, and m is an integer of 1 to 100. The composition is useful in coloring molded articles of thermoplastic resin. Colored resin molded articles using the composition are also disclosed. IT 1829-00-1, Ferro 42-145A (Ferro Color 42-145A, pigment; colored polymer compns. with good pigment dispersibility for moldings and fibers) 1829-00-1 USPATFULL 7-Benzothiazolesulfonic acid, 2,2'-(1-triazene-1,3-diyldi-4,1phenylene)bis(6-methyl-, disodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 7 OF 74 USPATFULL (Continued)

●2 Na

L10 ANSWER 9 OF 74 USPATFULL

Absolute stereochemistry.

ACCESSION NUMBER:

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TITLE:
                        N-ureidoalkyl-piperidines as modulators of chemokine
                        receptor activity
INVENTOR(S):
                        Ko, Soo S., Hockessin, DE, United States
                        DeLucca, George V., Wilmington, DE, United States
                        Duncia, John V., Hockessin, DE, United States
                        Kim, Ui Tae, Wilmington, DE, United States
                        Santella, III, Joseph B., Springfield, PA, United
                        States
                        Wacker, Dean A., Chadds Ford, PA, United States
                        Brsitol-Myers Squibb Pharma Company, Princeton, NJ,
PATENT ASSIGNEE(S):
                        United States (U.S. corporation)
                             NUMBER
                                          KIND
                                                  DATE
PATENT INFORMATION:
                        US 6444686
                                           B1 20020903
APPLICATION INFO.:
                        US 1999-466442
                                                19991217 (9)
                               NUMBER
                                             DATE
PRIORITY INFORMATION:
                       US 1999-161221P 19991022 (60)
                                          19981218 (60)
                        US 1998-112717P
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        GRANTED
                        Chang, Ceila
PRIMARY EXAMINER:
LEGAL REPRESENTATIVE:
                        VanAtten, Mary K.
NUMBER OF CLAIMS:
                        49
EXEMPLARY CLAIM:
                        1
NUMBER OF DRAWINGS:
                        O Drawing Figure(s); O Drawing Page(s)
LINE COUNT:
                        8817
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      The present application describes modulators of CCR3 of formula (I):
       ##STR1##
       or pharmaceutically acceptable salt forms thereof, useful for the
       prevention of asthma and other allergic diseases.
IT 275813-75-7P
        (prepn. of N-ureidoalkyl-piperidines as modulators of chemokine
        receptor activity)
   275813-75-7 USPATFULL
    Urea, N-[(1R,2S)-2-[[(3S)-3-[(4-fluorophenyl)methyl]-1-
piperidinyl]methyl]cyclohexyl]-N'-[4-(6-methyl-2-benzothiazolyl)phenyl]-
       , mono(trifluoroacetate) (9CI) (CA INDEX NAME)
     CM 1
     CRN 275813-74-6
     CMF C34 H39 F N4 O S
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2002:224623 USPATFULL

09/935,767 Page 27

L10 ANSWER 9 OF 74 USPATFULL (Continued)

PAGE 1 B

CRN 76-05 1 CMF C2 H F3 O2

L10 ANSWER 10 OF 74 USPATFULL (Continued)

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L10 ANSWER 10 OF 74 USPATFULL
ACCESSION NUMBER:
                        2002:194618 USPATFULL
                        Ink, ink-jet recording method using the same, and
TITLE:
                        photopolymerization initiator
INVENTOR(S):
                        Noguchi, Hiromichi, Hachiohji, JAPAN
PATENT ASSIGNEE(S):
                        Canon Kabushiki Kaisha, Tokyo, JAPAN (non-U.S.
                        corporation)
                            NUMBER
                                         KIND
                                                DATE
                          . . . . . .
                                          B1 20020806
PATENT INFORMATION:
                        US 6428862
APPLICATION INFO.:
                        US 1999 294333
                                                19990420 (9)
                                            DATE
                              NUMBER
                       JP 1998-119358
PRIORITY INFORMATION:
                                           19980428
                        JP 1998 295452
                                           19981016
                        JP 1999-103352
                                          19990409
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        GRANTED
PRIMARY EXAMINER
                        Berman, Susan W.
LEGAL REPRESENTATIVE:
                        Fitzpatrick, Cella, Harper & Scinto
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        12 Drawing Figure(s); 8 Drawing Page(s)
LINE COUNT:
                        1660
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      An ink for ink jet recording contains a coloring agent, a polymerizable
      oligomer, water, and a photopolymerization initiator having a
solubility
      in water of 3 percent by weight or more. Another ink for ink-jet
       recording contains a coloring agent, a polymerizable oligomer having at
       least two acryloyl groups and a solubility in water of 10 percent by
       weight or more, a photopolymerization initiator, and water. The
       specified polymerizable oligomer or photopolymerization initiator
       reduces bleeding of the ink on recording media.
1T 2390-54-7, C.I.Basic Yellow 1
        (ink-jet inks contg. photopolymn. initiators and recording method)
RN 2390-54-7 USPATFULL
    Benzothiazolium, 2-{4-(dimethylamino)phenyl}-3,6-dimethyl-, chloride
(9C1)
         (CA INDEX NAME)
          • c1
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L10 ANSWER 11 OF 74 USPATFULL
ACCESSION NUMBER:
                        2002:160752 USPATFULL
TITLE:
                        Compositions and methods for treating bone deficit
                        conditions
INVENTOR(S):
                        Petrie, Charles, Woodinville, WA, United States
                        Craig, Mark V., Seattle, WA, United States
                        Baindur, Nand, Edmonds, WA, United States
                        Robbins, Kirk G., Renton, WA, United States
                        Harris, Scott M., Seattle, WA, United States
                        Kontoyianni, Maria, Seattle, WA, United States
                        Mundy, Gregory R., San Antonio, TX, United States
PATENT ASSIGNEE(S):
                        Osteoscreen, Inc., San Antonio, TX, United States
(U.S.
                        corporation)
                            NUMBER
                                         KIND
PATENT INFORMATION:
                       US 6413998
                                          B1 20020702
APPLICATION INFO .:
                       US 1999-453828
                                               19991202 (9)
RELATED APPLN. INFO.:
                       Division of Ser. No. US 1997-878868, filed on 19 Jun
                        1997, now patented, Pat. No. US 6008208 Continuation
                        Ser. No. US 1996-735875, filed on 23 Oct 1996, now
                        abandoned
                              NUMBER
                                            DATE
                        -----
                                          19951023 (60)
PRIORITY INFORMATION:
                       US 1995-5830P
DOCUMENT TYPE:
                       Utility
FILE SEGMENT:
                       GRANTED
PRIMARY EXAMINER:
                        Powers, Fiona T.
LEGAL REPRESENTATIVE:
                       Morrison & Foerster LLP
NUMBER OF CLAIMS:
                       23
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                       50 Drawing Figure(s); 50 Drawing Page(s)
LINE COUNT:
                       1488
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      Compounds containing two aromatic systems covalently linked through a
       linker containing one or more atoms, or "linker" defined as including a
       covalent bond per se so as to space the aromatic systems at a distance
       1.5-15 .ANG., are effective in treating conditions associated with bone
       deficits. The compounds can be administered to vertebrate subjects
alone
       or in combination with additional agents that promote bone growth or
       that inhibit bone resorption. They can be acreened for activity prior
to
       administration by assessing their ability to effect the transcription
      a reporter gene coupled to a promoter associated with a bone
      morphogenetic protein and/or their ability to stimulate calvarial
       in model animal systems.
IT 2390-54-7 10205-62-6 10360-31-3
     190436-44-3 190436-47-6 190436-58-9
     190436-62-5
        (prepn. of (hetero) arom. compds. for treating bone deficit conditions)
RN 2390-54-7 USPATFULL
    Benzothiazolium, 2:[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride
(9CI)
```

(CA INDEX NAME)

L10 ANSWER 11 OF 74 USPATFULL (Continued)

● C1

10205 62 6 USPATFULL

Benzenamine, N,N-dimethyl-4-(6 methyl-2-benzothiazolyl) (9C1) (CA INDEX

10360-31-3 USPATFULL

[2,6'-Bibenzothiazole]-7 sulfonic acid, 2'-(4-aminophenyl)-6-methyl-, monosodium salt (9CI) (CA INDEX NAME)

190436-44-3 USPATFULL

Butanamide, 2-(acetylamino)-3-methyl-N-[4-(6-methyl-2benzothiazolyl)phenyll- (9CI) (CA INDEX NAME)

L10 ANSWER 11 OF 74 USPATFULL (Continued) benzothiazolyl)phenyl) - (9CI) (CA INDEX NAME)

L10 ANSWER 11 OF 74 USPATFULL (Continued)

190436-47 6 USPATFULL

9H-Fluorene-9 acetamide, N [4-(6-methyl-2 benzothiazolyl)phenyl] - (9CI)

(CA INDEX NAME)

190436-58-9 USPATFULL

L-Galactonic acid,

6-deoxy-6-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]-6-oxo-, .gamma.-lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

190436-62-5 USPATFULL

Benzenepropanamide, .alpha.-(acetylamino)-4-methyl-N-{4-(6-methyl-2-

L10 ANSWER 12 OF 74 USPATFULL

ACCESSION NUMBER: 2002:19332 USPATFULL

TITLE: Compositions and methods for treating bone deficit

conditions Petrie, Charles, Woodinville, WA, United States

INVENTOR (S): Orme, Mark W., Seattle, WA, United States

Baindur, Nand, Edmonds, WA, United States Robbins, Kirk G., Renton, WA, United States Kontoyianni, Maria, Seattle, WA, United States

Mundy, Gregory R., San Antonio, TX, United States PATENT ASSIGNEE(S): ZymoGenetics, Inc., Seattle, WA, United States (U.S.

corporation)

Osteoscreen, Inc., San Antonio, TX, United States

(U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: US 6342514 B1 20020129 US 1997-808741 19970228 (8)

APPLICATION INFO. : Continuation of Ser. No. US 1996-735870, filed on 23 RELATED APPLN. INFO.:

Oct 1996, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED

Criares, Theodore J. PRIMARY EXAMINER:

LEGAL REPRESENTATIVE: Morrison & Foerster LLP

NUMBER OF CLAIMS: 11 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 91 Drawing Figure(s); 91 Drawing Page(s) LINE COUNT: 1015

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds containing two aromatic systems covalently linked through a linker containing one or more atoms, or "linker" defined as including a covalent bond per se so as to space the aromatic systems at a distance 1.5-15 .ANG., are effective in treating conditions associated with bone deficits. The compounds can be administered to vertebrate subjects

alone or in combination with additional agents that promote bone growth or that inhibit bone resorption. They can be screened for activity prior

to administration by assessing their ability to effect the transcription

οf a reporter gene coupled to a promoter associated with a bone

morphogenetic protein and/or their ability to stimulate calvarial

in model animal systems. IT 206983-13-3 206983-19-9 206983-20-2 206983-21-3 206983-23-5 206983-25-7 206983-27-9 206983-28-0 206983-29-1 206983-30-4 206983-31-5 206983-32-6

206983-33-7 206983-34-8 206983-35-9 (prepn. and/or use of linked arom. and heteroarom. compds. for

bone deficit conditions)

RN 206983-13-3 USPATFULL CN Benzoic acid,

2-[{[(4-(6-methyl-2-benzothiazolyl)phenyl}amino]carbonyl]ami

no]-, methyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 74 USPATFULL (Continued)

RN 206983 19 9 USPATFULL

CN 6 Octenamide, 3,7 dimethyl N [4 (6 methyl 2 benzothiazolyl)phenyl],

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 206983 20 2 USPATFULL

CN Carbonic acid, 2,6 dimethoxy 4 [[[4 (6 methyl 2 benzothiazolyl)phenyl]amino]carbonyl]phenyl ethyl ester (9CI) (CA

INDEX

RN 206983-21-3 USPATFULL

CN Benzamide,

2 · [(benzoyloxy)methyl] · N [4 (6 · methyl 2 benzothiazolyl)phenyl] · (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 74 USPATFULL (Continued)

RN 206983 28-0 USPATFULL

CN Gibb·3 ene-1-carboxylic acid, 2,4a,7-trihydroxy·1-methyl-10-[[[4-(6-methyl-

2-benzothiazolyl)phenyl]aminolcarbonyl]-8-methylene, .gamma.lactone, (1.alpha.,2.beta.,4a.alpha.,4b.beta.,10.beta.) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1 A

L10 ANSWER 12 OF 74 USPATFULL (Continued)

RN 206983 23 5 USPATFULL

EN Butanediamide, 2,3 bis(benzoyloxy) N,N dimethyl N' [4 (6 methyl 2 benzothiazolyl)phenyl], (2R,3S) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

N 206983 25 7 USPATFULL

CN 3 Pyridinecarboxamide, 2 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

RN 206983-27-9 USPATFULL

L10 ANSWER 12 OF 74 USPATFULL (Continued)

S N Me PAGE 2 A

RN 206983 29 1 USPATFULL

N 1,2-Benzenedicarboxamide, N-[4-[(acetylamino)sulfonyl]phenyl] N'-[4-(6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

O=S-NHAC NH C=O

1 206983:30-4 USPATFULL

CN Benzamide, 4-methyl N·[4 (6 methyl 2 benzothiazolyl)phenyl) 3,5-dinitro-(9CI) (CA INDEX NAME)

RN 206983-31-5 USPATFULL

CN Acetamide, 2-{2,3-dichloro-4-(2-methylene 1 oxobutyl)phenoxy}-N-[4-(6-methyl-2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 12 OF 74 USPATFULL (Continued)

RN 206983 32 6 USPATFULL
CN 1,8 Naphthyridine 3 carboxamide, 1 ethyl 1,4 dihydro 7 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 4 oxo (9CI) (CA INDEX NAME)

RN 206983 33 7 USPATFULL

CN 4 Thiazoleacetamide, 2 [(chloroacetyl)amino] alpha. (methoxyimino) N (4 (6 methyl 2 benzothiazolyl)phenyl], (.alpha.2) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 206983 34 8 USPATFULL

CN 2 Propenamide, 2 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 3 (2,4,5 trimethoxyphenyl), (2E) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 12 OF 74 USPATFULL (Continued)

RN 10205 62 6 USPATFULL

CN Benzenamine, N,N dimethyl 4 (6 methyl 2 benzothiazolyl) (9CI) (CA INDEX

RN 10360 31 3 USPATFULL

CN [2,6' Bibenzothiazole] 7 sulfonic acid, 2' (4 aminophenyl) 6 methyl , monosodium salt (9CI) (CA INDEX NAME)

• ма

RN 190436 44 3 USPATFULL

Butanamide, 2 (acetylamino) 3 methyl N [4 (6 methyl 2
benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

RN 190436 47 6 USPATFULL

CN 9H Fluorene 9 acetamide, N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME) L10 ANSWER 12 OF 74 USPATFULL (Continued)

N 206983 35 9 USPATFULL

CN Benzamide, 2 [bis(4 hydroxyphenyl)methyl] N [4 (6 methyl 2
benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9 190436-62-5

(prepn. of (hetero)arom, compds, for treating bone deficit conditions) RN 2390 54 7 USPATFULL

CN Benzothiazolium, 2 [4 (dimethylamino)phenyl) 3,6 dimethyl , chloride (9CI)

(CA INDEX NAME)

● c1

L10 ANSWER 12 OF 74 USPATFULL (Continued)

N 190436 58 9 USPATFULL

CN L Galactonic acid,

6 deoxy 6 [[4 (6 methyl 2 benzothiazolyl)phenyl]amino] 6 oxo , .gamma. lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190436 62 5 USPATFULL

CN Benzenepropanamide, .alpha. (acetylamino) 4 methyl N (4 (6 methyl 2 benzothiazolyl)phenyl) (9CI) (CA INDEX NAME)

Me NHAC NHAC CH-CH2

L10 ANSWER 13 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 2002:340189 CAPLUS DOCUMENT NUMBER: 137:13148 Excited state intramolecular proton transfer and TITLE: metal ion complexation of 2 (2' hydroxyphenyl)benzazoles in aqueous solution AUTHOR (S): Henary, Maged M.; Fahrni, Christoph J. CORPORATE SOURCE: School of Chemistry and Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30332, USA Journal of Physical Chemistry A (2002), 106(21), SOURCE: 5210-5220 CODEN: JPCAFH; ISSN: 1089-5639 American Chemical Society PUBLISHER: DOCUMENT TYPE: Journal LANGUAGE: English The excited state intramol, proton transfer (ESIPT) of a series of water sol. 2-(2'-hydroxyphenyl)benzazole derivs, has been studied under physiol. conditions using absorbance and steady-state emission spectroscopy. At neutral pH in the presence of 0.1 M ionic background, the fluorescence properties of these derivs. differ substantially to previously reported data in nonaq, solvents. The ESIPT process is disrupted, presumably due to intermol. hydrogen bonding with surrounding water mols, combined with increased stabilization of the trans-rotamer, which cannot undergo the ESIPT process. The emission spectrum of the benzimidazole deriv. depends significantly on the solvent polarity, as revealed by titrns. with Zn(II) in methanol, ethanol, and under physiol. conditions. Inhibition of ESIPT via metal coordination shows a significant wavelength shift together with a substantial ratio increase bу a factor of 13.7. Titrn. of the benzoxazole deriv. with Zn(II) yielded a 50-fold increased emission intensity. The fluorescence increase is specific for Zn(II), and with a logK of 3.93 (Kd = 117 .mu.M) the ligand would be suitable as a fluorescence probe in a biol. environment to gauge Zn(II) concns. in the range from 10 .mu.M to 1 mM. 433212-92-1P 433212-93-2P RL: ARU (Analytical role, unclassified); SPN (Synthetic preparation); ANST (Analytical study); PREP (Preparation) (excited state intramol, proton transfer and metal ion complexation of 2-(2'-hydroxyphenyl)benzazoles in aq. soln.)

Acetic acid, [4-(2-benzothiazolyl)-3-hydroxyphenoxy] , ethyl ester (9CI)

L10 ANSWER 14 OF 74 CAPLUS COPYRIGHT 2002 ACS

433212-92-1 CAPLUS

(CA INDEX NAME)

RN

ACCESSION NUMBER: 2002:390404 CAPLUS DOCUMENT NUMBER: 137:149197 TITLE: A chelate sorbent prepared by the modification of LiChroprep RP-8 with Titan Yellow and its application AUTHOR (S): Sowa, I.; Kocjan, R.; Swieboda, R. CORPORATE SOURCE: Department of Inorganic and Analytical Chemistry, Medical School, Lublin, 20-081, Pol. Hungarian Journal of Industrial Chemistry (2002), SOURCE: 30(1), 27-31 CODEN: HJICAI; ISSN: 0133-0276 PUBLISHER: Veszpremi Egyetem DOCUMENT TYPE Journal LANGUAGE: English AB The new chelating sorbent for metal ions was prepd. by impregnation of chem. modified SiO2 LiChroprep RP-8 with ion pairs composed of cation of Aliquat 336 and anion of Titan Yellow. The hypothetical mol. mechanism of binding this ion pair by the surface of the

applied carrier was presented. The sorbent was compared with analogous sorbent with plain SiO2 carrier contg. the same ion pairs. Higher stability of the new sorbent in comparison to that of the plain SiO2 chelsting sorbent was demonstrated. The sorbent obtained was applied for chromatog, sepns, of some chosen mixts, of metal ions and for addnl. purifn. of aq. solns. of alkali metals from trace amts. of heavy metals. IT 1829-00-1DP, Titan Yellow, reaction products with LiChroprep silica and Aliquat 336 RL: NUU (Other use, unclassified); SPN (Synthetic preparation); PREP

(Preparation); USES (Uses) (prepn. and sepn. of metal ions by modified LiChroprep silica) 1829-00-1 CAPLUS 7-Benzothiazolesulfonic acid, 2,2'-{1-triazene-1,3-diyldi-4,1-

phenylene)bis[6-methyl-, disodium salt (9CI) (CA INDEX NAME)

REFERENCE COUNT: THIS

THERE ARE 12 CITED REFERENCES AVAILABLE FOR

FORMAT

RECORD. ALL CITATIONS AVAILABLE IN THE RE

L10 ANSWER 13 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) 433212 93 2 CAPLUS Acetic acid, [4-(2-benzothiazolyl) 3 hydroxyphenoxy] (9CI) (CA INDEX

REFERENCE COUNT: THERE ARE 56 CITED REFERENCES AVAILABLE FOR

THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 15 OF 74 USPATFULL ACCESSION NUMBER:

2001:94936 USPATFULL TITLE: FLUORESCENT LIQUID CRYSTALLINE CHARGE TRANSFER

MATERIALS INVENTOR(S): HANNA, JUNICHI, YOKOHAMA-SHI, Japan

KOGO, KYOKO, SHINJUKU-KU, Japan

KAFUKU, KOMEI, LAS VEGAS, NV, United States

PATENT ASSIGNEE(S): Junichi Hanna

NUMBER KIND DATE -----------PATENT INFORMATION: US 2001004107 20010621 APPLICATION INFO.: US 1998-183947 19981102 A1

NUMBER DATE PRIORITY INFORMATION: JP 1997-316654 19971104 JP 1997-316656 19971104

DOCUMENT TYPE: Utility FILE SEGMENT: APPLICATION

LEGAL REPRESENTATIVE: PARKHURST & WENDEL, 1431 PRINCE STREET, SUITE 210,

ALEXANDRIA, VA. 223142805

NUMBER OF CLAIMS: 22 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 4 Drawing Page(s) LINE COUNT: 966

CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention relates to novel charge transfer materials which

have both the advantageous properties of amorphous materials such as structural flexibility and uniformity over large areas, and those of crystalline materials such as molecular orientation and which are excellent in charge transferability, thin-film formability, and durability of various types. The liquid crystalline charge transfer materials have the following structure (A) containing a fluorescent skeletal structure Y, and the core Z of a liquid crystal: ##STR1##

in which R.sub.1, which may directly be combined with Z without interposing X.sub.1, represents a saturated or unsaturated, and linear, branched or cyclic hydrocarbon group having 1 to 22 carbon atoms; and X.sub.1 and X.sub.2 represent oxygen atom, sulfur atom, or --CO--, --OCO--, --COO--, --N.dbd.CH--, --CONH--, --NH--, --NHCO-- or --CH.sub.2-- group; or ##STR2##

in which R.sub.1 and R.sub.2, which may directly be combined with Y without interposing X.sub.1 and X.sub.2, represents a saturated or unsaturated, and linear, branched or cyclic hydrocarbon group having 1 to 22 carbon atoms; and X.sub.1 and X.sub.2 represent oxygen atom, sulfur atom, or --CO--, --COO--, --N.dbd.CH--, --CONH--, --NH--, --NHCO-- or --CH.sub.2-- group.

IT 188754-25-8

(fluorescent liq. cryst. charge transfer materials and devices using

188754 · 25 - 8 USPATFULL

Benzothiazole, 6-dodecyl-2-[4-(heptyloxy)phenyl]- (9CI) (CA INDEX NAME)

09/935,767 Page 32

L10 ANSWER 16 OF 74 USPATFULL

Absolute stereochemistry.

L10 ANSWER 15 OF 74 USPATFULL (Continued)

$$Me^{-(CH_2)_{11}}$$

## L10 ANSWER 16 OF 74 USPATFULL (Continued)

PAGE 1-B

ACCESSION NUMBER: 2001:231281 USPATFULL TITLE: N ureidoalkyl-piperidines as modulators of chemokine receptor activity INVENTOR (S) : Ko, Soo S., 7 Aston Cir., Hockessin, DE, United States 19707 DeLucca, George V., 2703 Marklyn Dr., Wilmington, DE, United States 19810 Duncia, John V., 4 Markham Ct., Hockessin, DE, United States 19707 Santella, III, Joseph B., 250 Lewis Rd., Springfield, PA, United States 19064 Gardner, Daniel S., 104 Paladin Dr., Wilmington, DE, United States 19802 NUMBER KIND DATE .. ........ .... ..... PATENT INFORMATION: US 6331541 B1 20011218 APPLICATION INFO.: US 1999-465288 19991217 (9) NUMBER DATE PRIORITY INFORMATION: US 1999-161222P 19991022 (60) US 1998-112717P 19981218 (60) DOCUMENT TYPE: Utility FILE SEGMENT: GRANTED Raymond, Richard L. PRIMARY EXAMINER: ASSISTANT EXAMINER: Liu, Hong NUMBER OF CLAIMS: 42 EXEMPLARY CLAIM: LINE COUNT: 8449 CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present application describes modulators of CCR3 of formula (I): or pharmaceutically acceptable salt forms thereof, useful for the prevention of asthma and other allergic diseases. (prepn. of N-ureidoalkyl-piperidines as modulators of chemokine receptor activity) 275813-75-7 USPATFULL Urea, N-[(1R,2S)-2-[[(3S)-3-[(4-fluorophenyl)methyl]-1piperidinyl]methyl]cyclohexyl]-N'-[4-(6-methyl-2-benzothiazolyl)phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME) CM CRN 275813-74-6 CMF C34 H39 F N4 O S

L10 ANSWER 17 OF 74 USPATFULL ACCESSION NUMBER: 2001:226684 USPATFULL TITLE: Nonpeptide insulin receptor agonists INVENTOR(S): Sportsman, Richard, San Francisco, CA, United States Villar, Hugo O., Newark, CA, United States Kauvar, Lawrence M., San Francisco, CA, United States Satyam, Apparao, Freemont, CA, United States Telik, Inc., South San Francisco, CA, United States PATENT ASSIGNEE(S): (U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: US 6329431 20011211 Bl APPLICATION INFO.: US 1997-916088 19970821 (8) RELATED APPLN, INFO.: Continuation of Ser. No. US 1997-784855, filed on 15 Jan 1997 DOCUMENT TYPE: FILE SEGMENT: GRANTED PRIMARY EXAMINER: Jones, Dwayne C. LEGAL REPRESENTATIVE: Heller Ehrman White & McAuliffe LLP NUMBER OF CLAIMS: 25 EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 16 Drawing Figure(s); 9 Drawing Page(s) LINE COUNT: 763 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Modulation of the activity of the insulin receptor, enhancement of glucose uptake by cells, and other effects significant in the control and management of diabetes are accomplished using compounds of the formula ##STR1## wherein each A is independently a proton-accepting substituent; each R is independently a noninterfering substituent; m is 0 or 1; n is 0, 1, or 2; and each linker is independently --NHCNHNH--, --NHCOO--, OCOO--, --CH.dbd.CH--, --CH.dbd.N--, --CH.sub.2 CH.sub.2 --, --NHCH.8ub.2 --, --OCO-- or --COO--. Compounds in the genus of Formula (1) can also be used for structure activity studies to identify features responsible for the relevant activities. IT 10190-68-8P, TER 3938 (modulators of insulin receptor activity, screening, and therapeutic use) RN 10190-68-8 USPATFULL CN 7-Benzothiazolesulfonic acid, 2-[4-[[1-{[(2-methoxyphenyl)amino]carbonyl]-2-oxopropyl]azo]-3-sulfophenyl]-6-methyl-, disodium salt (9CI) (CA

L10 ANSWER 17 OF 74 USPATFULL (Continued)

•2 Na

```
Pyrazole carboxamides useful for the treatment of
TITLE:
                        obesity and other disorders
                        Kordik, Cheryl P., Lansdale, PA, United States
INVENTOR(S):
                        Lovenberg, Timothy W., San Diego, CA, United States
                        Reitz, Allen B., Lansdale, PA, United States
PATENT ASSIGNEE(S):
                        Ortho-Mcneil Pharmaceutical, Inc., Raritan, NJ, United
                        States (U.S. corporation)
                            NUMBER
                                          KIND
                                               DATE
PATENT INFORMATION:
                       US 6291476
                                           B1 20010918
APPLICATION INFO .:
                        US 2000-563190
                                                20000502 (9)
                              NUMBER
                                            DATE
PRIORITY INFORMATION:
                       US 1999-133842P 19990512 (60)
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        GRANTED
PRIMARY EXAMINER:
                        Davis, Zinna Northington
LEGAL REPRESENTATIVE:
                       Appollina, Mary
NUMBER OF CLAIMS:
                        16
EXEMPLARY CLAIM:
LINE COUNT:
                        1395
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Pyrazole carboxamide derivatives of the formula: ##STR1##
       which are ligands for the neuropeptide Y, subtype 5 receptor,
       and pharmaceutical compositions containing a pyrazole carboxamide
       derivative as the active ingredient are described. The pyrazole
       carboxamides are useful in the treatment of disorders and diseases
       associated with the NPY receptor subtype Y5.
IT 308337-73-7P
        (prepn. of pyrazole carboxamides for the treatment of obesity and
other
```

2001:158299 USPATFULL

1H-Pyrazole-3-carboxamide, 5-methyl-N-[4-(6-methyl-2-

benzothiazolyl)phenyl]-1-[3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX

308337-73-7 USPATFULL

CN

L10 ANSWER 18 OF 74 USPATFULL

ACCESSION NUMBER:

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L10 ANSWER 19 OF 74 USPATFULL
ACCESSION NUMBER:
                        2001:97923 USPATFULL
TITLE:
                        Compositions and methods for treating bone deficit
INVENTOR (S):
                        Petrie, Charles, Woodinville, WA, United States
                        Orme, Mark W., Seattle, WA, United States
                        Baindur, Nand, Edmonds, WA, United States
                        Robbins, Kirk G., Renton, WA, United States
                        Mundy, Gregory R., San Antonio, TX, United States
PATENT ASSIGNEE(S):
                        ZymoGenetics, Inc., Seattle, WA, United States (U.S.
                        corporation)
                             NUMBER
                                          KIND
                                                  DATE
PATENT INFORMATION:
                        US 6251901
                                           B1 20010626
APPLICATION INFO.:
                        US 1997-806769
                                               19970226 (8)
RELATED APPLN. INFO.:
                       Continuation of Ser. No. US 1996-736220, filed on 23
                        Oct 1996, now abandoned
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        GRANTED
PRIMARY EXAMINER:
                        Criares, Theodore J.
LEGAL REPRESENTATIVE:
                       Morrison & Foerster LLP
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        91 Drawing Figure(s); 91 Drawing Page(s)
LINE COUNT:
                       1108
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compounds containing two aromatic systems covalently linked through a
       linker containing one or more atoms, or "linker" defined as including a
      covalent bond per se so 29
      as to space the aromatic systems at a distance 1.5-15.ANG., are
      effective in treating conditions associated with bone deficits. The
      compounds can be administered to vertebrate subjects alone or in
       combination with additional agents that promote bone growth or that
       inhibit bone resorption. They can be screened for activity prior to
      administration by assessing their ability to effect the transcription
of
      a reporter gene coupled to a promoter associated with a bone
      morphogenetic protein and/or their ability to stimulate calvarial
growth
      in model animal systems.
IT 206983-13-3 206983-19-9 206983-20-2
      206983-21-3 206983-23-5 206983-25-7
      206983-27-9 206983-28-0 206983-29-1
      206983-30-4 206983-31-5 206983-32-6
      206983-33-7 206983-34-8 206983-35-9
        (prepn. and/or use of linked arom. and heteroarom. compds. for
treating
       bone deficit conditions)
    206983-13-3 USPATFULL
    Benzoic acid,
2-[[[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]carbonyl]ami
      no]-, methyl ester (9CI) (CA INDEX NAME)
```

RN 206983-19-9 USPATFULL CN 6-Octenamide, 3,7-dimethyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-, (3R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 206983-20-2 USPATFULL
CN Carbonic acid, 2,6-dimethoxy-4-[[[4-{6-methyl-2-benzothiazolyl}phenyl]amino]carbonyl]phenyl ethyl ester (9CI) (CA INDEX NAME)

RN 206983-21-3 USPATFULL
CN Benzamide,
2-[(benzoyloxy)methyl]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]{9CI} (CA INDEX NAME)

L10 ANSWER 19 OF 74 USPATFULL (Continued)

RN 206983 23 5 USPATFULL

CN

Butanediamide, 2,3-bis(benzoyloxy)-N,N-dimethyl N' [4 (6 methyl-2 benzothiazolyl)phenyl] , {2R,3S}- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 206983-25 7 USPATFULL

RN 206983-27-9 USPATFULL

CN 1 Naphthaleneacetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl} (QA 1NDEX NAME)

L10 ANSWER 19 OF 74 USPATFULL (Continued)

PAGE 2-A

N 206983-29-1 USPATFULL

CN 1,2-Benzenedicarboxamide, N-[4-[(acetylamino)sulfonyl]phenyl]-N'-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 206983-30-4 USPATFULL

CN Benzamide, 4-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3,5-dinitro-(9CI) (CA INDEX NAME)

RN 206983-31-5 USPATFULL

CN Acetamide, 2-[2,3-dichloro-4-(2-methylene-1-oxobutyl)phenoxy]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 19 OF 74 USPATFULL (Continued)

RN 206983 28 0 USPATFULL

CN Gibb·3 ene-1-carboxylic acid, 2,4a,7 trihydroxy-1-methyl 10 [[[4-(6 methyl-

2-benzothiazolyl)phenyl]amino]carbonyl] 8 methylene, .gamma. lactone, (1.alpha.,2.beta.,4a.alpha.,4b.beta.,10.beta.) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L10 ANSWER 19 OF 74 USPATFULL (Continued)

RN 206983-32-6 USPATFULL

CN 1,8-Naphthyridine-3-carboxamide, 1-ethyl-1,4-dihydro-7-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-4-oxo (9CI) (CA INDEX NAME)

RN 206983-33-7 USPATFULL

N 4-Thiazoleacetamide, 2-[(chloroacetyl)amino]-.alpha.-(methoxyimino)-N-{4-(6-methyl-2-benzothiazolyl)phenyl]-, (.alpha.Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 206983-34-8 USPATFULL

CN 2-Propenamide, 2-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl}-3-(2,4,5trimethoxyphenyl)-, (2E)- (9C1) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 19 OF 74 USPATFULL (Continued)

RN 206983 35 9 USPATFULL CN Benzamide, 2 [bis(4-hydroxyphenyl)methyl] N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9 190436-62-5

L10 ANSWER 19 OF 74 USPATFULL

• c1

RN 10205 62 6 USPATFULL

CN Benzenamine, N,N dimethyl 4 (6 methyl 2 benzothiazolyl) (9CI) (CA INDEX

(Continued)

RN 10360-31-3 USPATFULL CN [2,6' Bibenzothiazole]-7-sulfonic acid, 2'-(4-aminophenyl)-6-methyl-, monosodium salt (9CI) (CA INDEX NAME)

Né

RN 190436-44-3 USPATFULL

CN Butanamide, 2 (acetylamino) - 3 - methyl - N · [4 - (6 - methyl - 2 - benzothiazolyl) phenyl] - (9CI) (CA INDEX NAME)

L10 ANSWER 19 OF 74 USPATFULL (Continued)

RN 190436-47-6 USPATFULL

CN 9H-Fluorene-9-acetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 190436-58-9 USPATFULL

CN L-Galactonic acid,

6-deoxy-6-[[4-(6-methy1-2-benzothiazoly1)pheny1]amino]-6-oxo-, .gamma. lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190436-62-5 USPATFULL

CN Benzenepropanamide, .alpha.-(acetylamino)-4-methyl-N-[4-(6-methyl-2 benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 19 OF 74 USPATFULL (Continued)

L10 ANSWER 20 OF 74 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:112577 CAPLUS

DOCUMENT NUMBER: 136:150765

TITLE: Decoding products of diversity pathways from stock solutions derived from single polymeric macrobeads AUTHOR (S): Blackwell, Helen E.; Perez, Lucy; Schreiber, Stuart

CORPORATE SOURCE:

Howard Hughes Medical Institute, Harvard Institute of Chemistry and Cell Biology, Harvard University,

Angewandte Chemie, International Edition (2001),

Cambridge, MA, 02138, USA

40(18), 3421-3425

CODEN: ACIEFS; ISSN: 1433-7851 Wiley-VCH Verlag GmbH PUBLISHER:

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A combinatorial library of nonracemic dihydropyrancarboxamides such as I (prepd. on solid phase by the enantioselective Diels-Alder cycloaddn. of resin-bound vinyl ethers with allyl .beta.,.gamma.-unsatd.-.alpha. ketoesters in the presence of nonracemic bisoxazoline ligands and copper (II) triflate) using a novel tagging technique for the

labeling

SOURCE:

and identification of members of combinatorial libraries. Chloroarom. diazoketones II (n = 1, 7, 14; R = H, Cl) were used as tagging agents to identify the sequence of reactions to which a resin bead had been subjected; treatment of a resin bead with II in the presence of dirhodium tetrakis(triphenylacetate) yielded a polystyrene resin contg. a fraction of chloroaralkyl cycloheptatriene moieties (formed by ring expansion of the polystyrene Ph groups). Oxidative cleavage of the tags with ceric ammonium nitrate liberated the chloroarom, portion of the tags; treatment of the tags with N,O-bis(trimethylsilyl)acetamide and gas chromatog. yielded masses corresponding to the sequence of reactions to which beads were subjected and thus their identities. The tags could be decoded either directly from a bead before compd. cleavage, from a bead after compd. cleavage, or from compd. stock solns. (generated by compd.

cleavage

and dissoln. of a fraction of the liberated compds. in THF/H2O).

Decoding

compd. stock solns, was the most effective method of identifying library members; compds. were identified by tag cleavage of solns. contg. 1 or 5% of the compd. cleaved from a single bead. Stock solns, were decoded most effectively because a fraction of the library member on a given bead was tagged with the chloroarom. diazoketone in addn. to the polystyrene resin (due to the high-loading resin used) and because oxidative cleavage of

tags with CAN proceeded more readily in soln, than on solid support. A sublibrary of 108 beads chosen from the larger combinatorial library was decoded by this procedure; of the 108 compds., 107 were successfully decoded. Four different synthetic pathways were found to be compatible with the diazoketone tagging methodol. (no data). The use of stock

solns.

for the decoding and deconvolution of combinatorial libraries is amenable to robotic methods for combinatorial library synthesis and testing, minimizes the storage requirements for combinatorial libraries, and allows

for simpler and faster compd. identification.

394253-01-1P 394253-02-2P 394253-51-1P

L10 ANSWER 20 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) Absolute stereochemistry.

394253-52-2 CAPLUS

2H-Pyran-6-carboxamide,

3,4-dihydro-2-[[4-(hydroxymethyl)phenyl]methoxy]-N-

[4-(6-methyl-2-benzothiazolyl)phenyl]-4-(1-methylethyl)-, (2S,4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L10 ANSWER 20 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) 394253-52-2P

RL: CPN (Combinatorial preparation); CMBI (Combinatorial study); PREP (Preparation)

(chloroarom, diazoketone tags and stock solns, in prepn. and decoding and deconvolution of combinatorial libraries on macrobeads and use in prepn. of nonracemic dihydropyrancarboxamide combinatorial library)

394253-01-1 CAPLUS

2H Pyran-6-carboxamide, 4-(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1H $pyrazol \cdot 4 \cdot yl\} -3, 4 - dihydro - 2 \cdot [2 - (2 hydroxyethoxy) + choxy] - N - [4 - (5 - methyl - 2 + choxyethoxy)] - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxy)) - (4 - (5 - methyl - 2 + choxyethoxyethoxy)) - (4 - (5 - methyl - 2 + choxyeth$ benzothiazolyl)phenyl]-, (2R,4R) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

394253-02-2 CAPLUS

2H-Pyran-6-carboxamide, 4-(2,3-dihydro-1,5-dimethyl-3-oxo-2-phenyl-1Hpyrazol-4-yl)-2-ethoxy-3,4-dihydro-3-(3-hydroxypropyl)-N-[4-(5-methyl-2benzothiazolyl)phenyl)-, (2R, 3R, 4S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

394253-51-1 CAPLUS

2H-Pyran-6-carboxamide, 4-(3-benzofuranyl)-3,4-dihydro-2-[[4-(hydroxymethyl)phenyl]methoxy]-N-[4-(6-methyl-2-benzothiazolyl)phenyl)-, (2S, 4S) - (9CI) (CA INDEX NAME)

L10 ANSWER 20 OF 74 CAPLUS COPYRIGHT 2002 ACS

92-36-4

RL: CRT (Combinatorial reactant); RCT (Reactant); CMBI (Combinatorial study); RACT (Reactant or reagent)

(chloroarom, diazoketone tags and stock solns, in prepn. and decoding and deconvolution of combinatorial libraries on macrobeads and use in prepn. of nonracemic dihydropyrancarboxamide combinatorial library)

92-36-4 CAPLUS

Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 22 OF 74 CAPLUS COPYRIGHT 2002 ACS

134:4933

2000:824248 CAPLUS

ACCESSION NUMBER:

DOCUMENT NUMBER:

L10 ANSWER 21 OF 74 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC. ACCESSION NUMBER: 2001:468803 BIOSIS DOCUMENT NUMBER: PREV200100468803 Antitumor benzothiazole: A novel ligand for aryl TITLE: hydrocarbon receptor (AhR. Loaiza-Perez, Andrea (1); Singh, Sheo; Bell, David; AUTHOR (S): Trapani, Valentina; Trepel, Jane; Roy, Krishnendu; Bradshaw, Tracey; Stevens, Malcolm; Sausville, Edward CORPORATE SOURCE: (1) National Cancer Institute, Bethesda, MD USA SOURCE: Proceedings of the American Association for Cancer Research Annual Meeting, (March, 2001) Vol. 42, pp. 511. print. Meeting Info.: 92nd Annual Meeting of the American Association for Cancer Research New Orleans, LA, USA March 24-28, 2001

ISSN: 0197 016X.

Conterence

English

English

DOCUMENT TYPE:

SUMMARY LANGUAGE:

LANGUAGE:

L10 ANSWER 22 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)
CN 1H-Pyrazole-3-carboxamide, 5-methyl-N-[4-(6-methyl 2 benzothiazolyl)phenyl]-1-[3-(trifluoromethyl)phenyl] (9CI) (CA INDEX

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

Preparation of pyrazole carboxamides for the TITLE: treatment of obesity and other disorders Kordik, Cheryl P.; Lovenberg, Timothy W.; Reitz, INVENTOR(S): Allen PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA PCT Int. Appl., 56 pp. SOURCE: CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. APPLICATION NO. DATE KIND DATE WO 2000069849 A1 20001123 WO 2000-US11903 20000502 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG US 6291476 US 2000-563190 20000502 B1 20010918 EP 1177188 A1 20020206 EP 2000-928712 20000502 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO US 2002058816 A1 20020516 US 2001-898420 20010703 PRIORITY APPLN. INFO.: US 1999-133842P P 19990512 US 2000-563190 A1 20000502 WO 2000-US11903 W 20000502 OTHER SOURCE(S): MARPAT 134:4933 AB The title compds. [I; Rl = alkyl, aryl, aralkyl, etc.; R2 = dialkylaminoalkyl, (un) substituted (heteroaryl) alkyl, (un) substituted (heterocycloalkyl)alkyl, etc.; R3 = H, halo, alkyl, etc.; R4 = halo, alkyl, aralkyl, etc.; R5 = H, alkyll which are ligands for the neuropeptide Y, subtype 5 receptor, and therefore useful in the treatment of disorders and diseases assocd, with the NPY receptor subtype Y5, were prepd. and formulated. E.g., a 3-step synthesis of the pyrazole I [R1 = 3-F3CC6H4; R2 = 5-isoquinolinyl; R3, R5 = H; R4 = Me] which showed IC50 80 nM against human NPY Y5 binding, was given. 308337-73-7P RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (prepn. of pyrazole carboxamides for the treatment of obesity and disorders) 308337-73-7 CAPLUS

```
Peripherally active anti hyperalgesic opiates
TITLE:
INVENTOR (S):
                        Yaksh, Tony L., San Diego, CA, United States
PATENT ASSIGNEE(S):
                        Regents of the Univ. of California, Oakland, CA,
United
                        States (U.S. corporation)
                             NUMBER
                                          KIND
                                                  DATE
PATENT INFORMATION:
                        US 6166039
                                                20001226
APPLICATION INFO .:
                        US 1998-199873
                                                19981124 (9)
                        Continuation of Ser. No. US 1995-528510, filed on 12
RELATED APPLN. INFO. :
                        Sep 1995, now patented, Pat. No. US 5849761
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        Granted
                        Spivack, Phyllis G.
PRIMARY EXAMINER:
LEGAL REPRESENTATIVE:
                        Seidman, Stephanie L. Heller Ehrman White and McAuliffe
                        LLP
NUMBER OF CLAIMS:
                        22
EXEMPLARY CLAIM:
LINE COUNT:
                        3758
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Methods for treatment of peripheral hyperalgesia are provided,
       comprising administering compositions containing an anti-hyperalgesia
       effective amount of one or more compounds that directly or indirectly
       interact with peripheral opiate receptors, but that do not, upon
topical
       or local administration, elicit central nervous system side effects.
The
       anti-diarrheal compound 4-(.rho.-chlorophenyl)-4-hydroxy-N-N-dimethyl-
       .alpha.,.alpha.-diphenyl-1-piperidinebutyramide hydrochloride is
       preferred for use in the methods.
IT 15599-36-7. Halethazole
        (peripherally active anti-hyperalgesic opiates)
    15599-36-7 USPATFULL
     Ethanamine, 2-{4-(5-chloro-2-benzothiazolyl)phenoxy}-N,N-diethyl- (9CI)
       (CA INDEX NAME)
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2000:174665 USPATFULL

L10 ANSWER 23 OF 74 USPATFULL

ACCESSION NUMBER:

```
L10 ANSWER 24 OF 74 USPATFULL
ACCESSION NUMBER:
                        2000:161028 USPATFULL
TITLE:
                        Compositions and methods for treating bone deficit
INVENTOR(S):
                        Petrie, Charles, Woodinville, WA, United States
                        Orme, Mark W., Seattle, WA, United States
                        Baindur, Nand, Edmonds, WA, United States
                        Robbins, Kirk G., Renton, WA, United States
                        Mundy, Gregory R., San Antonio, TX, United States
PATENT ASSIGNEE(S):
                        ZymoGenetics, Inc., Seattle, WA, United States (U.S.
                        corporation)
                             NUMBER
                                          KIND
                                                  DATE
PATENT INFORMATION:
                        US 6153631
                                                20001128
APPLICATION INFO. :
                        US 1997 806768
                                                19970226 (8)
RELATED APPLN. INFO.:
                        Continuation of Ser. No. US 1996 736221, filed on 23
                        Oct 1996, now abandoned
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        Granted
PRIMARY EXAMINER:
                        Fay, Zohreh
LEGAL REPRESENTATIVE:
                        Morrison & Foerster, LLP
NUMBER OF CLAIMS:
                        13
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        91 Drawing Figure(s); 91 Drawing Page(s)
LINE COUNT:
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compounds containing two aromatic systems covalently linked through a
       linker containing one or more atoms, or "linker" defined as including a
       covalent bond per se so as to space the aromatic systems at a distance
       1.5 15 .ANG., are effective in treating conditions associated with bone
       deficits. The compounds can be administered to vertebrate subjects
alone
       or in combination with additional agents that promote bone growth or
       that inhibit bone resorption. They can be screened for activity prior
to
       administration by assessing their ability to effect the transcription
of
       a reporter gene coupled to a promoter associated with a bone
       morphogenetic protein and/or their ability to stimulate calvarial
growth
       in model animal systems.
IT 206983-13-3 206983-19-9 206983-20-2
      206983-21-3 206983-23-5 206983-25-7
      206983-27-9 206983-28-0 206983-29-1
      206983-30-4 206983-31-5 206983-32-6
      206983-33-7 206983-34-8 206983-35-9
        (prepn. and/or use of linked arom. and heteroarom. compds. for
treating
        bone deficit conditions)
    206983 13·3 USPATFULL
     Benzoic acid,
2 [[[[4:(6:methyl 2-benzoth:azolyl)phenyl]amino]carbonyl]ami
       nol., methyl ester (9CI) (CA INDEX NAME)
```

L10 ANSWER 24 OF 74 USPATFULL

206983 19 9 USPATFULL 6 Octenamide, 3,7 dimethyl N [4 (6 methyl 2 benzothiazolyl)phenyl] , CN

(Continued)

(9CI) (CA INDEX NAME) Absolute stereochemistry.

206983 20 2 USPATFULL Carbonic acid, 2,6 dimethoxy 4 [[[4 (6-methyl-2 benzothiazolyl)phenyl]amino]carbonyl]phenyl ethyl ester (9CI) (CA INDEX NAME)

206983 21 3 USPATFULL Benzamide,

2 [(benzoyloxy)methyl] N · [4 · (6 · methyl - 2 · benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 24 OF 74 USPATFULL

206983-23-5 USPATFULL

Butanediamide, 2,3-bis(benzoyloxy) N,N-dimethyl-N'-[4-(6-methyl-2-

benzothiazolyl)phenyll-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983-25-7 USPATFULL

3 Pyridinecarboxamide, 2-methyl N-{4-(6-methyl-2-benzothiazolyl)phenyl}-(9C1) (CA INDEX NAME)

206983 27 - 9 USPATFULL

(CA INDEX NAME)

1-Naphthaleneacetamide, N-[4 (6-methyl 2 benzothiazolyl)phenyl] (9CI)

L10 ANSWER 24 OF 74 USPATFULL (Continued)

206983-28-0 USPATFULL

CN Gibb-3-ene-1-carboxylic acid, 2,4a,7-trihydroxy-1-methyl-10-[[[4-(6-methyl-

2-benzothiazolyl)phenyl]amino]carbonyl]-8-methylene-, .gamma.-lactone, (1.alpha., 2.beta., 4a.alpha., 4b.beta., 10.beta.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

(Continued) L10 ANSWER 24 OF 74 USPATFULL

PAGE 2 A

206983 29 1 USPATFULL

1,2 Benzenedicarboxamide, N [4 [(acetylamino)sulfonyl]phenyl] N' [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

206983 30 4 USPATFULL

Benzamide, 4 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 3,5 dinitro (9CI) (CA INDEX NAME)

L10 ANSWER 24 OF 74 USPATFULL (Continued)

trimethoxyphenyl) , (2E) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

206983 35 9 USPATFULL RN

CN Benzamide, 2 [bis(4 hydroxyphenyl)methyl] N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

## IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9

190436-62-5

(prepn. of (hetero)arom. compds. for treating bone deficit conditions) 2390 54 7 USPATFULL

Benzothiazolium, 2 [4 (dimethylamino)phenyl] 3,6 dimethyl , chloride (9CI)

(CA INDEX NAME)

L10 ANSWER 24 OF 74 USPATFULL (Continued)

RN 206983 31 5 USPATFULL

Acetamide, 2 [2,3 dichloro 4 (2 methylene 1 oxobutyl)phenoxy] N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

206983 32 6 USPATFULL

1,8 Naphthyridine 3 carboxamide, 1 ethyl 1,4 dihydro 7 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 4 oxo (9CI) (CA INDEX NAME)

206983 33 7 USPATFULL

4 Thiazoleacetamide, 2 [(chloroacetyl)amino] .alpha. (methoxyimino) N [4 (6 methyl 2 benzothiazolyl)phenyl] , (.alpha.Z) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

206983 34 8 USPATFULL

2 Propenamide, 2 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 3 (2,4,5

L10 ANSWER 24 OF 74 USPATFULL (Continued)

10205 62 6 USPATFULL

Benzenamine, N,N dimethyl 4 (6 methyl 2 benzothiazolyl) (9CI) (CA INDEX

RN 10360 31 3 USPATFULL

[2,6' Bibenzothiazole] 7 sulfonic acid, 2' (4 aminophenyl) 6 methyl , monosodium salt (9CI) (CA INDEX NAME)

RN 190436 44 3 USPATFULL

Butanamide, 2 (acetylamino) 3 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

190436 47 6 USPATFULL

9H Fluorene 9 acetamide, N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

09/935,767 Page 40

2000:74315 USPATFULL

L10 ANSWER 25 OF 74 USPATFULL

ACCESSION NUMBER:

L10 ANSWER 24 OF 74 USPATFULL (Continued)

RN 190436 58 9 USPATFULL CN L Galactonic acid, 6 deoxy-6 [[4 (6-methyl 2-benzothiazolyl)phenyl]amino] 6 oxo , .gamma.·lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190436-62-5 USPATFULL
CN Benzenepropanamide, .alpha.-(acetylamino) 4 methyl-N [4-(6 methyl 2 benzothiazolyl)phenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 25 OF 74 USPATFULL (Continued)

PAGE 1-A

2 Na

PAGE 1 B

Compound for inhibiting HIV infectivity TITLE: INVENTOR(S): Singh, Shyam K., Natick, MA, United States Patch, Raymond J., Framingham, MA, United States Pallai, Peter V., Westwood, MA, United States Neidhardt, Edith A., Boxford, MA, United States Palace, Gerard P., Framingham, MA, United States Willis, Kevin J., Newton, MA, United States Sampo, Theresa M., Watertown, MA, United States McDonald, Kevin W., Merrimack, NH, United States Shi, Zhan, Waltham, MA, United States Procept, Inc., Cambridge, MA, United States (U.S. PATENT ASSIGNEE(S): corporation) KIND NUMBER DATE PATENT INFORMATION: US 6075050 20000613 APPLICATION INFO .: US 1995 467728 19950606 (8) RELATED APPLN. INFO.: Continuation in part of Ser. No. US 1994 245619, filed on 19 May 1994, now patented, Pat. No. US 5614559 which is a continuation in-part of Ser. No. US 1993-156443, filed on 23 Nov 1993, now abandoned DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: O'Sullivan, Peter LEGAL REPRESENTATIVE: Hamilton, Brook, Smith & Reynolds, P.C. NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 33 Drawing Figure(s); 18 Drawing Page(s) LINE COUNT: 1719 CAS INDEXING IS AVAILABLE FOR THIS PATENT. This invention pertains to the discovery that condensation polymers of an aldehyde and aromatic sulfonic acids and fractions thereof, such as formaldehyde naphthalenesulfonic acid condensation polymers, can abrogate HIV gp120 binding to CD4, as demonstrated in CD4/gp120 binding assays. In addition to gp120 binding inhibition, the compounds have been shown to inhibit HIV-induced syncytia formation and infectivity of CD+ cells. The use of this compound has been shown to be non-cytotoxic and non-inhibitory to antigen induced T lymphocyte proliferation. Based on these findings, these compounds can be used as a therapeutic agent for the treatment of acquired immunodeficiency syndrome (AIDS), as well as AIDS related complex (ARC), AIDS-related dementia and non-symptomatic HIV infection. The compounds can also be used to treat blood preparations. IT 6537-66-2, Direct yellow 29 (aldehyde-arom, sulfonic acid condensation polymers for inhibiting HIV infectivity) 6537-66-2 USPATFULL [2,6'-Bibenzothiazole]-7-sulfonic acid, 2',2''' (azodi-4,1-phenylene)bis[6-

L10 ANSWER 26 OF 74 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 2001:14548 CAPLUS

DOCUMENT NUMBER: 135:200287
TITLE: Combined of

TITLE: Combined effect of pH control with surfactants or complexants on 2-(4'-amino-3'-methylphenyl) benzothiazole (NSC-674495) solubilization

AUTHOR(S): El-Sayed, Mohamed M.; Tabibi, S. Esmail; Yalkowsky,

Samuel H.

methyl-, disodium salt (9CI) (CA INDEX NAME)

CORPORATE SOURCE: Dept.of Pharmaceutics, Faculty of Pharmacy, Suez Canal

University, Ismailia, Egypt

SOURCE: Bulletin of the Faculty of Pharmacy (Cairo

University)

(2000), 38(2), 51-56 CODEN: BEPHAR: ISSN: 1110-0931

CODEN: BFPHA8; ISSN: 1110-0931
PUBLISHER: Cairo University, Faculty of Pharmacy

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Complexation, micellization, and pH control are among the most common

approaches used for increasing drug soly. While each of these approaches can be effective alone, the combination of pH control with either of the others produces a synergistic effect. The 2-(4-amino-3-methylphenyl) deriv. of benzothiazole (AMBP) is currently under development for cancer treatment. It has an aq. soly, of only 0.54 .mu.g/mL at neutral pH. Its low basic pKa (.apprx.2.8) provides a soly, of only 44 .mu.g/mL at pH

However the use of either a surfactant or a complexing ligand in combination with a low pH enables a significantly greater increase in soly., on the order of milligrams per mL.

T 178804-04-1

RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combined effects of pH control with surfactants or complexants

NSC-674495 solubilization) N 178804-04-1 CAPLUS

CN Benzenamine, 4-(2-benzothiazolyl)-2-methyl- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE REFORMAT

```
ACCESSION NUMBER:
                        1999:339497 CAPLUS
DOCUMENT NUMBER:
                        130:359611
                        Fluorescent liquid crystalline charge transfer
TITLE:
                        materials
                        Hanna, Junichi; Kogo, Kyoko; Kafuku, Komei
INVENTOR (S):
PATENT ASSIGNEE(S):
                        Dai Nippon Printing Co., Ltd., Japan
SOURCE:
                        Eur. Pat. Appl., 67 pp.
                        CODEN: EPXXDW
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
    PATENT NO.
                     KIND DATE
                                          APPLICATION NO. DATE
    EP 915144
                      A1 19990512
                                          EP 1998 120668 19981104
        R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
            IE, SI, LT, LV, FI, RO
                      A2 19990528
                                          JP 1997 316654 19971104
    JP 11144525
     JP 11144526
                      A2
                         19990528
                                          JP 1997 316656
                                                           19971104
    US 2001004107
                                          US 1998 183947
                      A1 20010621
                                                           19981102
PRIORITY APPLN. INFO.:
                                       JP 1997 316654 A 19971104
                                       JP 1997 316656 A 19971104
                        MARPAT 130:359611
   Liq. crystal charge transfer materials are described by the general
    formulas R1 X1 Z X2 Y or R2·X1 Z X2·R3 (R1, which may directly be
    with Z without interposing X1, and R2 and R3, which may directly be
    combined with Y without interposing Xland/or X2, = (un)satd. linear,
    branched, or cyclic C1-22 hydrocarbon group; and X1 and X2 = 0, S, C0,
    OCO, COO, N:CH, CONH, NH, NHCO or CH2 groups; Y = a fluorescent group
    which may be liq. cryst., and Z = a liq. crystal core). Y may be
selected
    from radicals of metal chelate compds., polycyclically condensed
    or conjugated arom. hydrocarbons, diphenylethylene derivs.,
triphenylamine
    derivs., diaminocarbazole derivs., bistyryl derivs., benzothiazole
    derivs., benzoxazole derivs., arom. diamine derivs., quinacridone
compds.,
    perylene compds., oxadiazole derivs., coumarin compds., and anthracene
    derivs. Electroluminescent elements, optical sensors, photoconductors,
    displays, spatial optical modulators, and thin film transistors employing
     the materials are also described.
IT 188754-25-8
    RL: DEV (Device component use); USES (Uses)
       (fluorescent liq. cryst. charge transfer materials and devices using
    188754 25 8 CAPLUS
    Benzothiazole, 6 dodecyl 2 · [4 · (heptyloxy)phenyl) (9CI) (CA INDEX NAME)
```

L10 ANSWER 27 OF 74 CAPLUS COPYRIGHT 2002 ACS

```
L10 ANSWER 28 OF 74 USPATFULL
ACCESSION NUMBER:
                        1999:170600 USPATFULL
TITLE:
                        Compositions and methods for treating bone deficit
                        conditions
INVENTOR(S):
                        Petrie, Charles, Woodinville, WA, United States
                        Orme, Mark W., Seattle, WA, United States
                        Baindur, Nand, Edmonds, WA, United States
                        Robbins, Kirk G., Renton, WA, United States
                        Harris, Scott M., Seattle, WA, United States
                        Kontoyianni, Maria, Seattle, WA, United States
                        Mundy, Gregory R., San Antonio, TX, United States
PATENT ASSIGNEE(S):
                        OsteoScreen, Inc., San Antonio, TX, United States
(U.S.
                        corporation)
                        ZymoGenetics Corporation, Seattle, WA, United States
                        (U.S. corporation)
                                          KIND
                             NUMBER
                                                 DATE
PATENT INFORMATION:
                        US 6008208
                                                19991228
APPLICATION INFO.:
                        US 1997-878868
                                                19970619 (8)
RELATED APPLN. INFO.:
                        Continuation of Ser. No. US 1996-735875, filed on 23
                        Oct 1996, now abandoned
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        Granted
PRIMARY EXAMINER:
                        Powers, Fiona T.
LEGAL REPRESENTATIVE:
                        Morrison & Foerster LLP
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        50 Drawing Figure(s); 50 Drawing Page(s)
LINE COUNT:
                        1364
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compounds containing two aromatic systems covalently linked through a
       linker containing one or more atoms, or "linker" defined as including a
       covalent bond per se so as to space the aromatic systems at a distance
       1.5 \cdot 15 .ANG., are effective in treating conditions associated with bone
       deficits. The compounds can be administered to vertebrate subjects
alone
       or in combination with additional agents that promote bone growth or
       that inhibit bone resorption. They can be screened for activity prior
to
       administration by assessing their ability to effect the transcription
of
       a reporter gene coupled to a promoter associated with a bone
       morphogenetic protein and/or their ability to stimulate calvarial
growth
       in model animal systems.
IT 2390-54-7 10205-62-6 10360-31-3
      190436-44-3 190436-47-6 190436-58-9
      190436-62-5
        (prepn. of (hetero)arom. compds. for treating bone deficit conditions)
    2390-54 7 USPATFULL
     Benzothiazolium, 2 [4 (dimethylamino)phenyl] 3,6 dimethyl , chloride
         (CA INDEX NAME)
```

L10 ANSWER 27 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

$$Me^{-(CH_2)_{11}}$$

REFERENCE COUNT:

10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR

RECORD. ALL CITATIONS AVAILABLE IN THE RE

FORMAT

L10 ANSWER 28 OF 74 USPATFULL (Continued)

• C1 ·

RN 10205 62-6 USPATFULL

CN Benzenamine, N,N-dimethyl-4-(6 methyl-2 benzothiazolyl)- (9CI) (CA INDEX NAME)

RN 10360 31 3 USPATFULL

• Na

N 190436 44-3 USPATFULL

CN Butanamide, 2-(acetylamino)-3 methyl N [4-{6 methyl 2benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 74 USPATFULL (Continued)

190436-47-6 USPATFULL

9H-Fluorene 9 acetamide, N [4 (6-methyl 2-benzothiazolyl)phenyl] [9CI] (CA INDEX NAME)

190436-58-9 USPATFULL

L-Galactonic acid,

6-deoxy-6-[[4-(6-methyl-2 benzothiazolyl)phenyl]amino] 6-oxo., .gamma..lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

190436-62-5 USPATFULL

Benzenepropanamide, .alpha.-(acetylamino)-4 methyl N-{4-(6-methyl-2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 74 USPATFULL ACCESSION NUMBER: 1999:155741 USPATFULL

TITLE: Compositions and methods for treating bone deficit conditions

INVENTOR(S):

Petrie, Charles, Woodinville, WA, United States Orme, Mark W., Seattle, WA, United States Baindur, Nand, Edmonds, WA, United States Robbins, Kirk G., Renton, WA, United States

Kontoyianni, Maria, Seattle, WA, United States Mundy, Gregory R., San Antonio, TX, United States

PATENT ASSIGNEE(S): ZymoGenetics, Inc., Seattle, WA, United States (U.S.

corporation)

Osteoscreen, Inc., San Antonio, TX, United States

corporation)

NUMBER KIND DATE PATENT INFORMATION: US 5994358 19991130 APPLICATION INFO.: 19970228 (8) US 1997-808744

RELATED APPLN. INFO.: Continuation of Ser. No. US 1996-736319, filed on 23 Oct 1996, now abandoned

DOCUMENT TYPE: Utility

FILE SEGMENT: Granted

PRIMARY EXAMINER: Criares, Theodore J. LEGAL REPRESENTATIVE: Morrison & Foerster, LLP

NUMBER OF CLAIMS: EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 4 Drawing Figure(s); 91 Drawing Page(s)

LINE COUNT: 973

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds containing two aromatic systems covalently linked through a linker containing one or more atoms, or "linker" defined as including a covalent bond per se so as to space the aromatic systems at a distance 1.5-15 .ANG., are effective in treating conditions associated with bone deficits. The compounds can be administered to vertebrate subjects

alone or in combination with additional agents that promote bone growth or

that inhibit bone resorption. They can be screened for activity prior to

administration by assessing their ability to effect the transcription of

a reporter gene coupled to a promoter associated with a bone morphogenetic protein and/or their ability to stimulate calvarial growth

in model animal systems. IT 206983-13-3 206983-19-9 206983-20-2

206983-21-3 206983-23-5 206983-25-7 206983-27-9 206983-28-0 206983-29-1

206983-30-4 206983-31-5 206983-32-6 206983-33-7 206983-34-8 206983-35-9

(prepn. and/or use of linked arom. and heteroarom. compds. for treating

bone deficit conditions)

206983-13 3 USPATFULL

Benzoic acid,

2-[[[[4-(6-methyl-2-benzoth:azolyl)phenyl]amino]carbonyl]ami no)-, methyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 28 OF 74 USPATFULL

L10 ANSWER 29 OF 74 USPATFULL (Continued)

206983-19-9 USPATFULL

CN 6-Octenamide, 3,7-dimethyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-,

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983-20-2 USPATFULL

Carbonic acid, 2,6-dimethoxy-4-[[[4-(6-methyl-2benzothiazolyl)phenyl]amino]carbonyl)phenyl ethyl ester (9CI) (CA

INDEX

206983-21-3 USPATFULL

Benzamide, 2-((benzoyloxy)methyl]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]

(9C1) (CA INDEX NAME)

L10 ANSWER 29 OF 74 USPATFULL (Continued)

RN 206983-23 5 USPATFULL

N Butanediamide, 2,3 bis(benzoyloxy)·N,N dimethyl N'-{4 (6 methyl 2 benzothiazolyl)phenyl]·, (2R,3S)· (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 206983 25-7 USPATFULL

CN 3-Pyridinecarboxamide, 2-methyl-N-[4 (6 methyl-2-benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

RN 206983-27-9 USPATFULL

CN 1-Naphthaleneacetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 74 USPATFULL (Continued)

RN 206983 28-0 USPATFULL

CN Gibb-3 ene-1 carboxylic acid, 2,4a,7 trihydroxy 1-methyl-10 {[[4 (6-methyl-

2-benzothiazolyl)phenyl]amino]carbonyl]-8-methylene-, .gamma. lactone, (1.alpha.,2.beta.,4a.alpha.,4b.beta.,10.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L10 ANSWER 29 OF 74 USPATFULL (Continued)

N 206983-29-1 USPATFULL

CN 1,2-Benzenedicarboxamide, N-[4-{(acetylamino)sulfonyl}phenyl]-N'-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 206983-30-4 USPATFULL

CN Benzamide, 4-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl}-3,5-dinitro-(9CI) (CA INDEX NAME)

RN 206983-31-5 USPATFULL

CN Acetamide, 2-[2,3-dichloro-4-(2-methylene-1-oxobutyl)phenoxy)-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 74 USPATFULL (Continued)

$$\begin{array}{c|c} O & CH_2 \\ \parallel & \parallel \\ C-C-Et \end{array}$$

RN 206983-32-6 USPATFULL

N 1,8-Naphthyridine-3-carboxamide, 1-ethyl-1,4-dihydro-7-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

RN 206983-33-7 USPATFULL

N 4-Thiazoleacetamide, 2-[(chloroacetyl)amino]-.alpha.-(methoxyimino)-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-, (.alpha.Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 206983-34-8 USPATFULL

CN 2-Propenamide, 2 methyl-N-[4-(6 methyl-2-benzothiazolyl)phenyl]-3-(2,4,5-trimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 29 OF 74 USPATFULL (Continued)

RN 206983-35 9 USPATFULL CN Benzamide, 2-[bis(4-hydroxyphenyl)methyl]-N [4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9 190436-62-5

L10 ANSWER 29 OF 74 USPATFULL (Continued)

RN 190436-47-6 USPATFULL CN 9H-Fluorene-9-acetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 190436-58-9 USPATFULL
CN L-Galactonic acid,
6-deoxy-6-[(4-(6-methyl-2-benzothiazolyl)phenyl]amino]6-oxo-, .gamma.-lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190436-62-5 USPATFULL

CN Benzenepropanamide, .alpha.-(acetylamino)-4-methyl-N-{4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 74 USPATFULL (Continued)

• c1

RN 10205-62-6 USPATFULL

IN Benzenamine, N,N dimethyl 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

RN 10360-31-3 USPATFULL

● Na

RN 190436-44-3 USPATFULL

CN Butanamide, 2-(acetylamino)-3-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 29 OF 74 USPATFULL (Continued)

```
L10 ANSWER 30 OF 74 USPATFULL
ACCESSION NUMBER:
                        1999:151272 USPATFULL
TITLE:
                        Compositions and methods for treating bone deficit
                        Petrie, Charles, Woodinville, WA, United States
INVENTOR(S):
                        Orme, Mark W., Seattle, WA, United States
                        Baindur, Nand, Edmonds, WA, United States
                        Robbins, Kirk G., Renton, WA, United States
                        Harris, Scott M., Seattle, WA, United States
                        Mundy, Gregory R., San Antonio, TX, United States
PATENT ASSIGNEE(S):
                        ZymoGenetics, Inc., Seattle, WA, United States (U.S.
                        corporation)
                        Osteoscreen, Inc., San Antonio, TX, United States
(U.S.
                        corporation)
                             NUMBER
                                          KIND
                                                  DATE
PATENT INFORMATION:
                        US 5990169
                                                19991123
APPLICATION INFO.:
                        US 1997-806771
                                                19970226 (8)
RELATED APPLN. INFO.:
                        Continuation of Ser. No. US 1996 736228, filed on 23
                        Oct 1996, now abandoned
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        Granted
PRIMARY EXAMINER:
                        Craires, Theodore J.
LEGAL REPRESENTATIVE:
                        Morrison & Foerster, LLP
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        4 Drawing Figure(s); 91 Drawing Page(s)
LINE COUNT:
                        1040
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
      Compounds containing two aromatic systems covalently linked through a
      linker containing one or more atoms, or "linker" defined as including a
      covalent bond per se so as to space the aromatic systems at a distance
      1.5 15 .ANG., are effective in treating conditions associated with bone
      deficits. The compounds can be administered to vertebrate subjects
alone
      or in combination with additional agents that promote bone growth or
      that inhibit bone resorption. They can be screened for activity prior
to
      administration by assessing their ability to effect the transcription
of
      a reporter gene coupled to a promoter associated with a bone
      morphogenetic protein and/or their ability to stimulate calvarial
growth
      in model animal systems.
1T 206983-13-3 206983-19-9 206983-20-2
      206983-21-3 206983-23-5 206983-25-7
      206983-27-9 206983-28-0 206983-29-1
      206983-30-4 206983-31-5 206983-32-6
      206983-33-7 206983-34-8 206983-35-9
        (prepn. and/or use of linked arom, and heteroarom, compds. for
        bone deficit conditions)
    206983-13-3 USPATFULL
    Benzoic acid,
2 [[[[4 (6 methyl-2 benzothiazolyl)phenyl]amino]carbonyl]ami
```

L10 ANSWER 30 OF 74 USPATFULL (Continued)

206983-23-5 USPATFULL

Butanediamide, 2,3-bis(benzoyloxy) N,N-dimethyl-N'-[4-(6-methyl-2

benzothiazolyl)phenyl]., (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983 · 25 · 7 USPATFULL

3 Pyridinecarboxamide, 2-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-(9CI) (CA INDEX NAME)

206983 27 9 USPATFULL

1-Naphthaleneacetamide, N [4-(6 methyl-2-benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 74 USPATFULL (Continued) no) , methyl ester (9CI) (CA INDEX NAME)

206983 19 9 USPATFULL 6 Octenamide, 3,7 dimethyl N [4 (6 methyl 2 benzothiazolyl)phenyl] , (3R) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983 20 2 USPATFULL Carbonic acid, 2,6.dimethoxy 4-[[[4-(6-methyl-2 benzothiazolyl)phenyl]amino]carbonyl]phenyl ethyl ester (9CI) (CA INDEX

206983 21-3 USPATFULL

Benzamide,

2 [(benzoyloxy)methyl]-N [4 · (6-methyl · 2-benzothiazolyl)phenyl]-(9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 74 USPATFULL

206983-28-0 USPATFULL

Gibb-3-ene-1-carboxylic acid,

2,4a,7-trihydroxy-1-methyl-10-[[[4-(6-methyl-2 benzothiazolyl)phenyl]amino]carbonyl]-8 methylene-, .gamma.-lactone,

(1.alpha.,2.beta.,4a.alpha.,4b.beta.,10.beta.)- (9CI) (CA INDEX NAME)

(Continued)

Absolute stereochemistry.

PAGE 1 · A

L10 ANSWER 30 OF 74 USPATFULL (Continued)

PAGE 2 A

206983 29-1 USPATFULL

1,2 Benzenedicarboxamide, N [4 [(acetylamino)sultonyl]phenyl] N' [4 (6 methyl-2 benzothiazolyl)phenyl] (9C1) (CA INDEX NAME)

206983-30-4 USPATFULL

Benzamide, 4-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3,5-dinitro-(9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 74 USPATFULL (Continued) trimethoxyphenyl) -, (2E) - (9C1) (CA INDEX NAME)

Double bond geometry as shown.

RN 206983-35-9 USPATFULL

CN Benzamide, 2-[bis(4-hydroxyphenyl)methyl]-N-[4-(6-methyl-2benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9

(prepn. of (hetero)arom. compds. for treating bone deficit conditions)

2390-54-7 USPATFULL

190436-62-5

Benzothiazolium, 2-[4-{dimethylamino}phenyl]-3,6-dimethyl-, chloride (9CI)

(CA INDEX NAME)

L10 ANSWER 30 OF 74 USPATFULL (Continued)

RN 206983-31-5 USPATFULL

Acetamide, 2-[2,3 dichloro-4-(2-methylene-1-oxobutyl)phenoxy]-N-[4-(6 methyl 2-benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

Me NH-C-CH<sub>2</sub>-O-C1

$$C = C + 2$$
 $C = C - E + C$ 
 $C = C + C$ 
 $C = C + C$ 

206983 32.6 USPATFULL

1,8-Naphthyridine 3 carboxamide, 1-ethyl-1,4-dihydro 7-methyl-N-{4 (6methyl-2 benzothiazolyl)phenyl]-4 oxo (9CI) (CA INDEX NAME)

206983-33-7 USPATFULL

4-Thiazoleacetamide, 2-[(chloroacetyl)amino]-.alpha.-(methoxyimino)-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-, (.alpha.Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

206983-34-8 USPATFULL

2-Propenamide, 2-methyl-N-{4-(6-methyl-2-benzothiazolyl)phenyl]-3-{2,4,5-

L10 ANSWER 30 OF 74 USPATFULL (Continued)

10205-62-6 USPATFULL

Benzenamine, N,N-dimethyl-4 (6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX

RN 10360-31-3 USPATFULL

[2,6'-Bibenzothiazole]-7-sulfonic acid, 2'-(4-aminophenyl)-6-methyl-, monosodium salt (9CI) (CA INDEX NAME)

190436-44-3 USPATFULL

Butanamide, 2-(acetylamino)-3-methyl-N-[4-(6-methyl-2benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

190436-47-6 USPATFULL

CN 9H-Fluorene-9-acetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 30 OF 74 USPATFULL (Continued)

RN 190436-58-9 USPATFULL CN L-Galactonic acid,

6-deoxy-6-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino] 6-oxo-, .gamma.-lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 190436-62-5 USPATFULL

CN Benzenepropanamide, .alpha. (acetylamino) 4-methyl N [4 (6-methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 31 OF 74 USPATFULL (Continued) no]-, methyl ester (9CI) (CA INDEX NAME)

RN 206983-19-9 USPATFULL

CN 6-Octenamide, 3,7-dimethyl-N-{4-(6-methyl-2-benzothiazolyl)phenyl}-, (3R)-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 206983-20-2 USPATFULL

CN Carbonic acid, 2,6-dimethoxy-4-[[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]carbonyl]phenyl ethyl ester (9CI) (CA

INDEX

RN 206983-21-3 USPATFULL

CN Benzamide,

2-[(benzoyloxy)methyl]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]
(9CI) (CA INDEX NAME)

L10 ANSWER 31 OF 74 USPATFULL

TITLE:

ACCESSION NUMBER: 1999:124909 USPATFULL

Compositions and methods for treating bone deficit conditions

INVENTOR(S): Petrie, Charles, Woodinville, WA, United States Orme, Mark W., Seattle, WA, United States

Baindur, Nand, Edmonds, WA, United States Robbins, Kirk G., Renton, WA, United States Kontoyianni, Maria, Seattle, WA, United States

Mundy, Gregory R., San Antonio, TX, United States

Page 47

PATENT ASSIGNEE(S): Zymogenetics, Inc., Seattle, WA, United States (U.S. corporation)

corporation)
Osteoscreen, Inc., San Antonio, TX, United States

(U.S.

NUMBER KIND DATE

PATENT INFORMATION: US 5965573 19991012

corporation)

APPLICATION INFO.: US 1997-812141 19970306 (8)
RELATED APPLN. INFO.: Continuation of Ser. No. US 1996-735874, filed on 23

Oct 1996, now abandoned

DOCUMENT TYPE: Utility
FILE SEGMENT: Granted

PRIMARY EXAMINER: Criares, Theodore J.

LEGAL REPRESENTATIVE: Morrison & Foerster LLP

NUMBER OF CLAIMS: 15 EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 91 Drawing Figure(B); 91 Drawing Page(B)

LINE COUNT: 1038

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

AB Compounds containing two aromatic systems covalently linked through a linker containing one or more atoms, or "linker" defined as including a covalent bond per se so as to space the aromatic systems at a distance 1.5-15 .ANG., are effective in treating conditions associated with bone deficits. The compounds can be administered to vertebrate subjects

alone
or in combination with additional agents that promote bone growth or

that inhibit bone resorption. They can be screened for activity prior to administration by assessing their ability to effect the transcription

of
a reporter gene coupled to a promoter associated with a bone

a reporter gene coupled to a promoter associated with a bone morphogenetic protein and/or their ability to stimulate calvarial growth

in model animal systems.

IT 206983-13-3 206983-19-9 206983-20-2
206983-21-3 206983-23-5 206983-25-7
206983-27-9 206983-28-0 206983-29-1
206983-30-4 206983-31-5 206983-32-6

206983-33-7 206983-34-8 206983-35-9 (prepn. and/or use of linked arom. and heteroarom. compds. for

treating bone deficit conditions)

RN 206983-13-3 USPATFULL

CN Benzoic acid,

2-[[[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]carbonyl]ami

L10 ANSWER 31 OF 74 USPATFULL (Continued)

RN 206983-23-5 USPATFULL

N Butanediamide, 2,3-bis(benzoyloxy)-N,N-dimethyl-N'-[4-(6-methyl-2-benzothiazolyl)phenyl]-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 206983-25-7 USPATFULL

N 206983-27-9 USPATFULL

CN 1-Naphthaleneacetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 31 OF 74 USPATFULL (Continued)

RN 206983-28-0 USPATFULL

CN Gibb-3-ene-1-carboxylic acid,

2,4a,7-tr:hydroxy-1-methyl-10-[[[4:(6:methyl-

2-benzothiazolyl)phenyl]amino]carbonyl)-8-methylene , .gamma.-lactone, (1.alpha.,2.beta.,4a.alpha.,4b.beta.,10.beta.)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1 A

L10 ANSWER 31 OF 74 USPATFULL (Continued)

RN 206983-31-5 USPATFULL

CN Acetamide, 2-[2,3-dichloro-4-(2-methylene-1-oxobutyl)phenoxy] N [4-(6 methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 206983-32-6 USPATFULL

CN 1,8-Naphthyridine-3-carboxamide, 1-ethyl-1,4-dihydro-7-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

Me NH S Me

RN 206983-33-7 USPATFULL

CN 4-Thiazoleacetamide, 2-[(chloroacetyl)amino]-.alpha.-(methoxyimino)-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-, (.alpha.Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

RN 206983-34-8 USPATFULL

CN 2-Propenamide, 2-methyl-N-{4-(6-methyl-2-benzothiazolyl)phenyl]-3-(2,4,5trimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 31 OF 74 USPATFULL (Continued)

PAGE 2 A

RN 206983-29-1 USPATFULL

1,2 Benzenedicarboxamide, N-(4 [(acetylamino)sulfonyl]phenyl] N'-[4-(6
methyl-2-benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

N 206983-30-4 USPATFULL

CN Benzamide, 4-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3,5-dinitro-(9CI) (CA INDEX NAME)

L10 ANSWER 31 OF 74 USPATFULL (Continued)

RN 206983-35-9 USPATFULL

CN Benzamide, 2-[bis(4-hydroxyphenyl)methyl]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

## IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9 190436-62-5

(prepn. of (hetero)arom. compds. for treating bone deficit conditions) RN 2390-54-7 USPATFULL

RN 2390-54-7 USPATFULL
CN Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride

(9CI) (CA INDEX NAME)

• c1 -

N 10205-62-6 USPATFULL

CN Benzenamine, N,N-dimethyl-4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 31 OF 74 USPATFULL (Continued)

10360 31 3 USPATFULL

[2,6' Bibenzothiazole] 7 sulfonic acid, 2' (4 aminophenyl) 6 methyl ,

monosodium salt (9CI) (CA INDEX NAME)

190436 44 3 USPATFULL

Butanamide, 2 (acetylamino) 3 methyl-N [4-(6-methyl 2benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

190436-47-6 USPATFULL

9H-Fluorene-9-acetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl] (9CI)

(CA INDEX NAME)

L10 ANSWER 32 OF 74 USPATFULL

ACCESSION NUMBER: 1999:106452 USPATFULL

TITLE: Compositions and methods for treating bone deficit conditions

INVENTOR (S):

Petrie, Charles, Woodinville, WA, United States Orme, Mark W., Seattle, WA, United States Baindur, Nand, Edmonds, WA, United States Robbins, Kirk G., Renton, WA, United States

Mundy, Gregory R., San Antonio, TX, United States PATENT ASSIGNEE(S):

Zymogenetic, Inc., Seattle, WA, United States (U.S. corporation)

Osteoscreen, Inc., San Antonio, TX, United States

(U.S. corporation)

> NUMBER KIND DATE -----

PATENT INFORMATION: US 5948776 19990907 APPLICATION INFO.: US 1997-808739

19970228 (8) RELATED APPLN. INFO.: Continuation of Ser. No. US 1996-736318, filed on 23

Oct 1996, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Reamer, James H. LEGAL REPRESENTATIVE:

Murashige, Kate H.Morrison & Foerster, LLP NUMBER OF CLAIMS: 23

EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 91 Drawing Figure(s); 91 Drawing Page(s) LINE COUNT: 1056

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Compounds containing two aromatic systems covalently linked through a linker containing one or more atoms, or "linker" defined as including a covalent bond per se so as to space the aromatic systems at a distance 1.5-15.ANG., are effective in treating conditions associated with bone

deficits. The compounds can be administered to vertebrate subjects alone

or in combination with additional agents that promote bone growth or

administration by assessing their ability to effect the transcription

that inhibit bone resorption. They can be acceened for activity prior to

οf a reporter gene coupled to a promoter associated with a bone

morphogenetic protein and/or their ability to stimulate calvarial growth

in model animal systems. IT 206983-13-3 206983-19-9 206983-20-2

206983-21-3 206983-23-5 206983-25-7 206983-27-9 206983-28-0 206983-29-1

206983-30-4 206983-31-5 206983-32-6

206983-33-7 206983-34-8 206983-35-9

(prepn. and/or use of linked arom, and heteroarom, compds. for

treating

bone deficit conditions)

RN 206983-13-3 USPATFULL Benzoic acid,

2-([[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]carbonyl]ami

nol-, methyl ester (9CI) (CA INDEX NAME)

L10 ANSWER 31 OF 74 USPATFULL (Continued)

190436 58 9 USPATFULL

L Galactonic acid,

6 deoxy-6-[[4 (6-methyl 2 benzothiazolyl)phenyl]amino]-6 oxo , .gamma. lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

190436-62-5 USPATFULL

Benzenepropanamide, .alpha. (acetylamino) 4-methyl-N-[4-(6 methyl-2benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

L10 ANSWER 32 OF 74 USPATFULL

206983-19-9 USPATFULL

6-Octenamide, 3,7-dimethyl-N-{4-(6-methyl-2-benzothiazolyl)phenyl}-, CN (3R) -

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983-20-2 USPATFULL

Carbonic acid, 2,6 dimethoxy-4-[[[4-(6 methyl 2-

benzothiazolyl)phenyl]amino]carbonyl]phenyl ethyl ester (9CI) (CA INDEX

RN 206983-21-3 USPATFULL

Benzamide, 2-[(benzoyloxy)methyl]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-

(9CI) (CA INDEX NAME)

L10 ANSWER 32 OF 74 USPATFULL (Continued)

206983 · 23 5 USPATFULL

Butanediamide, 2,3 bis(benzoyloxy) N,N dimethyl N' [4 (6 methyl 2 CN benzothiazolyl)phenyl] , (2R,3S) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983 · 25 - 7 USPATFULL

3-Pyridinecarboxamide, 2-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-(9CI) (CA INDEX NAME)

206983-27-9 USPATFULL

1-Naphthaleneacetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

L10 ANSWER 32 OF 74 USPATFULL (Continued)

PAGE 2 A

206983-29-1 USPATFULL

1,2-Benzenedicarboxamide, N-[4-[(acetylamino)sulfonyl]phenyl]-N'-[4-(6methy1-2-benzothiazolyl)phenyl)- (9CI) (CA INDEX NAME)

O S NHAC

206983-30-4 USPATFULL

Benzamide, 4-methyl-N-(4-(6-methyl-2-benzothiazolyl)phenyl]-3,5-dinitro (9CI) (CA INDEX NAME)

206983-31-5 USPATFULL

CNAcetamide, 2-{2,3-dichloro-4-(2-methylene-1-oxobutyl)phenoxy]-N-{4-(6-

methyl-2-benzothiazolyl)phenyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 32 OF 74 USPATFULL (Continued)

206983 28 0 USPATFULL

Gibb 3 ene 1 carboxylic acid, 2,4a,7 trihydroxy 1 methyl 10 [[[4 (6 methyl

2 benzothiazolyl)phenyl]amino[carbonyl] 8 methylene, .gamma. lactone, (1.alpha., 2.beta., 4a.alpha., 4b.beta., 10.beta.) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1 - A

L10 ANSWER 32 OF 74 USPATFULL (Continued)

$$\begin{array}{c|c} & & & \\ &$$

206983-32-6 USPATFULL

1,8-Naphthyridine-3-carboxamide, 1-ethyl-1,4-dihydro-7-methyl-N-[4-(6methyl-2-benzothiazolyl)phenyl)-4-oxo- (9CI) (CA INDEX NAME)

206983-33-7 USPATFULL

4-Thiazoleacetamide, 2-[(chloroacetyl)amino]-.alpha. (methoxyimino)-N-[4-(6-methyl-2-benzothiazolyl)phenyl] (.alpha.Z) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

206983-34-8 USPATFULL

2-Propenamide, 2-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl)-3-(2,4,5 trimethoxyphenyl)-, (2E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 32 OF 74 USPATFULL (Continued)

RN 206983 35 9 USPATFULL CN Benzamide, 2 [bis(4 hydroxyphenyl)methyl] N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9 190436-62-5

(prepn. of (hetero)arom. compds. for treating bone deficit conditions)
RN 2390 54 7 USPATFULL
CN Benzothiazolium, 2 [4 (dimethylamino)phenyl] 3,6 dimethyl , chloride
(9CI)
(CA INDEX NAME)

S N Me

Na

L10 ANSWER 32 OF 74 USPATFULL

10205 62 6 USPATFULL

10360 31 3 USPATFULL

(Continued)

Benzenamine, N,N dimethyl 4 (6 methyl 2 benzothiazolyl) (9CI) (CA INDEX

[2,6' Bibenzothiazole] 7 sulfonic acid, 2' (4 aminophenyl) 6 methyl ,

RN 190436 44 3 USPATFULL
CN Butanamide, 2 (acetylamino) 3 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

monosodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 32 OF 74 USPATFULL (Continued)

RN 190436 47 6 USPATFULL CN 9H Fluorene 9 acetamide, N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

RN 190436 58 9 USPATFULL CN L Galactonic acid, 6 deoxy 6 [[4 (6 methyl 2 benzothiazolyl)phenyl]amino] 6 oxo , .gamma. lactone (9C1) (CA INDEX NAME)

Absolute stereochemistry.

RN 190436 62 5 USPATFULL CN Benzenepropanamide, .alpha. (acetylamino) 4 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl) (9CI) (CA INDEX NAME) L10 ANSWER 32 OF 74 USPATFULL (Continued)

```
L10 ANSWER 33 OF 74 USPATFULL
                        1999:78758 USPATFULL
ACCESSION NUMBER:
TITLE:
                        Methods for treating bone deficit conditions with
                        benzothiazole
INVENTOR(S):
                        Petrie, Charles, Woodinville, WA, United States
                        Orme, Mark W., Seattle, WA, United States
                        Baindur, Nand, Edmonds, WA, United States
                        Robbins, Kirk G., Renton, WA, United States
                        Hurley, Laurence H., Austin, TX, United States
                        Kerwin, Sean M., Round Rock, TX, United States
                        Mundy, Gregory R., San Antonio, TX, United States
PATENT ASSIGNEE(S):
                        Zymogenetics, Inc., Seattle, WA, United States (U.S.
                        OsteoScreen, Inc., San Antonio, TX, United States
(U.S.
                        corporation)
                        University of Texas at Austin, Austin, TX, United
                        States (U.S. corporation)
                                          KIND
                             NUMBER
                                                 DATE
PATENT INFORMATION:
                                                19990713
                        US 5922753
APPLICATION INFO.:
                        US 1997-808742
                                                19970228 (8)
RELATED APPLN. INFO.:
                        Continuation of Ser. No. US 1996.735881, filed on 23
                        Oct 1996, now abandoned
DOCUMENT TYPE:
                        Utility
FILE SEGMENT:
                        Granted
PRIMARY EXAMINER:
                        Criares, Theodore J.
LEGAL REPRESENTATIVE:
                        Morrison & Foerster LLP
NUMBER OF CLAIMS:
EXEMPLARY CLAIM:
NUMBER OF DRAWINGS:
                        4 Drawing Figure(a); 91 Drawing Page(a)
LINE COUNT:
                        965
CAS INDEXING IS AVAILABLE FOR THIS PATENT.
       Compounds containing two aromatic systems covalently linked through a
       linker containing one or more atoms, or "linker" defined as including a
       covalent bond per se so as to space the aromatic systems at a distance
       1.5-15 .ANG., are effective in treating conditions associated with bone
       deficits. The compounds can be administered to vertebrate subjects
alone
       or in combination with additional agents that promote bone growth or
       that inhibit bone resorption. They can be screened for activity prior
to
       administration by assessing their ability to effect the transcription
of
       a reporter gene coupled to a promoter associated with a bone
       morphogenetic protein and/or their ability to stimulate calvarial
growth
       in model animal systems.
IT 206983-13-3 206983-19-9 206983-20-2
      206983-21-3 206983-23-5 206983-25-7
      206983-27-9 206983-28-0 206983-29-1
      206983-30-4 206983-31-5 206983-32-6
      206983-33-7 206983-34-8 206983-35-9
        (prepn. and/or use of linked arom. and heteroarom. compds. for
treating
        bone deficit conditions)
```

L10 ANSWER 33 OF 74 USPATFULL (Continued)

206983-23-5 USPATFULL

Butanediamide, 2,3-bis(benzoyloxy)-N,N-dimethy1-N'-[4-(6-methy1-2-

benzothiazolyl)phenyl]-, (2R,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983-25-7 USPATFULL

3-Pyridinecarboxamide, 2-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-(9CI) (CA INDEX NAME)

206983-27-9 USPATFULL

1-Naphthaleneacetamide, N-[4-(6-methyl-2-benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 33 OF 74 USPATFULL (Continued) 206983 13-3 USPATFULL Benzoic acid, 2-[[{[4-(6-methyl-2-benzothiazolyl)phenyl]amino]carbonyl]ami no]-, methyl ester (9CI) (CA INDEX NAME)

206983 19 9 USPATFULL 6 Octenamide, 3,7 dimethyl N-[4 (6 methyl-2-benzothiazolyl)phenyl]. (3R) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983 - 20 - 2 USPATFULL

Carbonic acid, 2,6-dimethoxy 4-[[[4-(6 methyl-2-

benzothiazolyl)phenyl]amino[carbonyl]phenyl ethyl ester (9CI) (CA INDEX

NAME)

206983-21-3 USPATFULL RN

Benzamide,

2-[(benzoyloxy)methyl]-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-

(9CI) (CA INDEX NAME)

L10 ANSWER 33 OF 74 USPATFULL (Continued)

206983 28 0 USPATFULL

CN Gibb-3-ene-1-carboxylic acid, 2,4a,7-trihydroxy-1-methyl-10-{[[4-(6-methyl-

2-benzothiazolyl)phenyl]amino]carbonyl)-8-methylene-, .gamma.-lactone, (1.alpha., 2.beta., 4a.alpha., 4b.beta., 10.beta.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

PAGE 2-A

206983-29-1 USPATFULL 1,2-Benzenedicarboxamide, N [4-[(acetylamino)sulfonyl]phenyl]-N'-[4-(6-

methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

(Continued) L10 ANSWER 33 OF 74 USPATFULL

206983 30 4 USPATFULL Benzamide, 4 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 3,5 dinitro (9CI) (CA INDEX NAME)

206983 31 5 USPATFULL Acetamide, 2 [2,3 dichloro 4 (2 methylene 1 oxobuty1)phenoxy] N [4 (6 methyl 2 benzothiazolyl)phenyl) (9CI) (CA INDEX NAME)

Me NH-C-CH<sub>2</sub>-O-C1 
$$C1$$

206983 32 6 USPATFULL 1,8 Naphthyridine 3 carboxamide, 1 ethyl 1,4 dihydro 7 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 4 oxo (9CI) (CA INDEX NAME)

L10 ANSWER 33 OF 74 USPATFULL (Continued) 206983 35 9 USPATFULL Benzamide, 2 [bis(4-hydroxyphenyl)methyl] N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9 190436-62-5

(prepn. of (hetero)arom. compds. for treating bone deficit conditions) RN 2390-54-7 USPATFULL Benzothiazolium, 2 [4 (dimethylamino)phenyl) 3,6 dimethyl , chloride (9CI) (CA INDEX NAME)

● C1

10205 62 6 USPATFULL

Benzenamine, N,N dimethyl 4 (6 methyl 2 benzothiazolyl) (9CI) (CA INDEX

10360 31 3 USPATFULL [2,6' Bibenzothiazole] 7 sulfonic acid, 2' (4 aminophenyl) 6 methyl, monosodium salt (9C1) (CA INDEX NAME)

L10 ANSWER 33 OF 74 USPATFULL (Continued)

206983 33 7 USPATFULL

4 Thiazoleacetamide, 2 [(chloroacetyl)amino] .alpha. (methoxyimino) N [4 (6 methyl 2 benzothiazolyl)phenyl), (.alpha.Z) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

206983 34 8 USPATFULL

2 Propenamide, 2 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl] 3 (2,4,5 trimethoxyphenyl) , (2E) (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 33 OF 74 USPATFULL (Continued)

190436 44 3 USPATFULL

Butanamide, 2 (acetylamino) 3 methyl-N (4 (6 methyl-2benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

190436 47 6 USPATFULL

9H-Fluorene 9 acetamide, N [4 (6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

190436-58 9 USPATFULL

L Galactonic acid,

6 deoxy 6 [[4 (6 methyl-2 benzothiazolyl)phenyl]amino} 6 oxo , .gamma. lactone (9CI) (CA INDEX NAME)

L10 ANSWER 33 OF 74 USPATFULL (Continued)

Absolute stereochemistry.

190436 62 5 USPATFULL Benzenepropanamide, .alpha. (acetylamino) 4 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl) (9CI) (CA INDEX NAME)

L10 ANSWER 34 OF 74 USPATFULL (Continued) no) , methyl ester (9CI) (CA INDEX NAME)

206983-19-9 USPATFULL

6 Octenamide, 3,7 dimethyl N {4-(6 methyl 2 benzothiazolyl)phenyl] , (3R)

(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 206983 20 2 USPATFULL

CN Carbonic acid, 2,6 dimethoxy 4 [[[4 (6 methyl 2 benzothiazolyl)phenyl]amino]carbonyl]phenyl ethyl ester (9CI) (CA

206983 21 3 USPATFULL Benzamide,

2 [(benzoyloxy)methyl] N [4-(6 methyl 2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

Compositions and methods for treating bone deficit TITLE: INVENTOR(S): Petrie, Charles, Woodinville, WA, United States Orme, Mark W., Seattle, WA, United States Baindur, Nand, Edmonds, WA, United States Robbins, Kirk G., Renton, WA, United States Kontoyianni, Maria, Seattle, WA, United States Mundy, Gregory R., San Antonio, TX, United States  $\label{eq:constraints} \mbox{Zymogenetics, Inc., Seattle, WA, United States $(U,S)$.}$ PATENT ASSIGNEE(S): Osteoscreen, Inc., San Antonio, TX, United States (U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: US 5919808 19990706 APPLICATION INFO .: US 1997 808743 19970228 (8) Continuation of Ser. No. US 1996 735876, filed on 23 RELATED APPLN. INFO.: Oct 1996, now abandoned DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Criares, Theodore J. LEGAL REPRESENTATIVE: Morrison & Foerster LLP NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 91 Drawing Figure(s); 91 Drawing Page(s) LINE COUNT: 975 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Compounds containing two aromatic systems covalently linked through a linker containing one or more atoms, or "linker" defined as including a covalent bond per se so as to space the aromatic systems at a distance 1.5 15.ANG., are effective in treating conditions associated with bone deficits. The compounds can be administered to vertebrate subjects alone or in combination with additional agents that promote bone growth or that inhibit bone resorption. They can be screened for activity prior to administration by assessing their ability to effect the transcription of a reporter gene coupled to a promoter associated with a bone morphogenetic protein and/or their ability to stimulate calvarial

1999:75664 USPATFULL

in model animal systems. 1T 206983-13-3 206983-19-9 206983-20-2 206983-21-3 206983-23-5 206983-25-7 206983-27-9 206983-28-0 206983-29-1 206983-30-4 206983-31-5 206983-32-6 206983-33-7 206983-34-8 206983-35-9 (prepn. and/or use of linked arom. and heteroarom. compds. for treating bone deficit conditions)

206983 13 3 USPATFULL

Benzoic acid,

L10 ANSWER 34 OF 74 USPATFULL

ACCESSION NUMBER:

2 [[[[4 (6 methyl 2 benzothiazolyl)phenyl]amino]carbonyl]ami

L10 ANSWER 34 OF 74 USPATFULL

206983-23-5 USPATFULL

Butanediamide, 2,3 bis(benzoyloxy) N,N dimethyl N' [4 (6 methyl 2 benzothiazolyl)phenyl) , (2R,3S) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

206983 25 7 USPATFULL

3 Pyridinecarboxamide, 2 methyl N [4 (6 methyl 2 benzothiazolyl)phenyl) (9CI) (CA INDEX NAME)

206983 27 9 USPATFULL

1 Naphthaleneacetamide, N [4:(6 methyl:2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 34 OF 74 USPATFULL (Continued)

206983 28-0 USPATFULL

Gibb-3-ene-1-carboxylic acid,

2,4a,7 trihydroxy-1-methyl-10-[[[4-(6-methyl-

2 benzothiazolyl)phenyl]amino]carbonyl]-8-methylene-, .gamma.-lactone, (1.alpha., 2.beta., 4a.alpha., 4b.beta., 10.beta.) - (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

L10 ANSWER 34 OF 74 USPATFULL (Continued) 206983-31-5 USPATFULL

Acetamide, 2-[2,3-dichloro-4-(2-methylene-1-oxobutyl)phenoxy]-N-[4-(6methyl-2-benzothiazolyl)phenyl)- (9CI) (CA INDEX NAME)

RN 206983-32-6 USPATFULL

CN 1,8-Naphthyridine-3-carboxamide, 1-ethyl-1,4-dihydro-7-methyl-N-[4-(6methyl-2-benzothiazolyl)phenyl]-4-oxo- (9CI) (CA INDEX NAME)

206983-33-7 USPATFULL

4-Thiazoleacetamide, 2-{(chloroacetyl)amino}-.alpha.-(methoxyimino)-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-, (.alpha.Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

206983-34-8 USPATFULL

2-Propenamide, 2-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3-(2,4,5trimethoxyphenyl) -, (2E) - (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L10 ANSWER 34 OF 74 USPATFULL (Continued)

206983-29-1 USPATFULL

1,2-Benzenedicarboxamide, N-[4-[(acetylamino)sulfonyl]phenyl]-N'-[4-(6methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

206983-30-4 USPATFULL

Benzamide, 4-methyl-N-[4-(6-methyl-2-benzothiazolyl)phenyl]-3,5-dinitro-(9CI) (CA INDEX NAME)

L10 ANSWER 34 OF 74 USPATFULL (Continued)

RN 206983-35-9 USPATFULL

Benzamide, 2-{bis(4-hydroxyphenyl)methyl}-N-[4-(6-methyl-2benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

IT 2390-54-7 10205-62-6 10360-31-3 190436-44-3 190436-47-6 190436-58-9 190436-62-5

(prepn. of (hetero)arom. compds. for treating bone deficit conditions) 2390-54-7 USPATFULL

Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride CN (9CI)

(CA INDEX NAME)

● c1 -

10205-62-6 USPATFULL

Benzenamine, N,N-dimethyl-4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX

L10 ANSWER 34 OF 74 USPATFULL (Continued)

10360 31 3 USPATFULL

[2,6' Bibenzothiazole] 7 sulfonic acid, 2' (4 aminophenyl) 6 methyl ,

monosodium malt (9CI) (CA INDEX NAME)

190436-44 3 USPATFULL

Butanamide, 2 (acetylamino) - 3 - methyl N [4 (6 methyl 2 benzothiazolyl)phenyl) (9CI) (CA INDEX NAME)

190436 47-6 USPATFULL RN CN 9H Fluorene-9-acetamide, N-[4-(6-methyl-2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 35 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1998:402799 CAPLUS DOCUMENT NUMBER: 129:137362

TITLE: Iron borates as base generators and curable compositions containing them and cured products

therefrom

INVENTOR(S): Toba, Yasumasa PATENT ASSIGNEE(S):

Toyo Ink Mfg. Co., Ltd., Japan Jpn. Kokai Tokkyo Koho, 18 pp. SOURCE:

CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE ----------JP 10168092 A2 19980623 JP 1996-328066 19961209 OTHER SOURCE(S): MARPAT 129:137362 AB The curable compns. comprise (A) LnFe3+.3BAr3R- [1; L = ligand from NH3, pyridine, imidazole, ethylenediamine, trimethylenediamine, tetraethylenediamine, hexamethylenediamine, propylenediamine, 1,2-cyclohexanediamine, N,N-diethylethylenediamine, and/or diethylenetriamine; n = 2-6; Ar = C6-18 monocyclic or polycyclic aryl group optionally substituted with F, Cl, Br, OH, carboxy, mercapto, cyano,

nitro, azido groups; R = C1-18 linear, branched, or cyclic alkyl groups optionally substituted with F, Cl. Br, OH, carboxy, mercapto, cyano, nitro, or azido groups] as base generators, (B) sensitizers, and (C) base-curable compds. or (D) radically polymerizable compds. and are useful

for coatings, polymer moldings, sealants, inks, and photoresists. Thus, 1.38 parts hexaammineiron (III) chloride was treated with 5.0 parts Li butyltriphenyl borate to give I (L = NH3, n = 6, Ar = Ph, R = Bu), 3 parts

of which were mixed with 100 parts pentaerythritol triacrylate and 0.5 part 4.4'-diethylaminobenzophenone, applied to Fe plate, and cured by UV rays to give a coating exhibiting no corrosion on exposure of the coated plate to outdoors for 1 mo. 2390-54-7, Setoflavin T

RL: CAT (Catalyst use); USES (Uses)

(photosensitizer; iron borates as base generators for curable compns.)

2390 · 54 · 7 CAPLUS Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl, chloride

(9CI) (CA INDEX NAME)

L10 ANSWER 34 OF 74 USPATFULL (Continued)

RN 190436 58 9 USPATFULL

CN L Galactonic acid,

6 deoxy 6 [[4 (6 methyl 2 benzothiazolyl)phenyl]amino] 6 oxo., .gamma. lactone (9CI) (CA INDEX NAME)

Absolute stereochemistry.

190436 62 5 USPATFULL

Benzenepropanamide, .alpha. (acetylamino) 4 methyl-N-{4 (6 methyl-2 benzothiazolyl)phenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 35 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) 09/935,767 Page 57

L10 ANSWER 37 OF 74 USPATFULL

L10 ANSWER 36 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1998:361038 CAPLUS DOCUMENT NUMBER: 129:123884 TITLE: Base generators and curable compositions and cured products using the same INVENTOR(S): Toba, Yasumasa PATENT ASSIGNEE(S): Toyo Ink Mfg. Co., Ltd., Japan SOURCE: Jpn. Kokai Tokkyo Koho, 18 pp. CODEN: JKXXAF DOCUMENT TYPE: Patent Japanese LANGUAGE: FAMILY ACC. NUM. COUNT: PATENT INFORMATION: PATENT NO. KIND DATE APPLICATION NO. DATE -----JP 10152548 A2 19980609 JP 1996-313288 19961125 MARPAT 129:123884 OTHER SOURCE(S): The title base generators having excellent soly,, stability and energy beam sensitivity are LnCo3+.3BAr3R- [L = ligand(s) chosen from ammonia, pyridine, imidazole, ethylenediamine, trimethylenediamine, tetramethylenediamine, hexamethylenediamine, propylenediamine, 1,2-cyclohexanediamine, N,N-diethylethylenediamine, and diethylenetriamine; n = 2.6; Ar = C6-18 mono- or condensed polynuclear

1,2-cyclohexanediamine, N,N-diethylethylenediamine, and
diethylenetriamine; n = 2-6; Ar = C6-18 mono- or condensed polynuclear
aryl group with or without substituent(s) chosen from F, Cl, Br, OH,
carboxy, SH, cyano, nitro, azido group; R = C1-18 linear, branched, or
cycloalkyl group with or without substituent(s) chosen from F, Cl, Br,
H,
carboxy, SH, cyano, nitro, azido group]. A compn. from 3 parts

pentaerythritol triacrylate was coated on an iron plate and UV-irradiated

to give an anticorrosive coating. IT 2390-54-7, Setoflavin T

RL: CAT (Catalyst use); USES (Uses)

(base generators and curable compns. and cured products using the same) RN=2390-54-7 CAPLUS

CN Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride (9CI)

hexamminecobalt(III)tris(triphenylbutylborate) and 100 parts

(CA INDEX NAME)

• c1 -

L10 ANSWER 37 OF 74 USPATFULL (Continued)

●2 Na

ACCESSION NUMBER: 1998:159920 USPATFULL TITLE: Nonpeptide insulin receptor agonists INVENTOR(S): Sportsman, Richard, San Francisco, CA, United States Villar, Hugo O., Newark, CA, United States Kauvar, Lawrence M., San Francisco, CA, United States Spevak, Wayne R., Albany, CA, United States Terrapin Technologies, Inc., South San Francisco, CA, PATENT ASSIGNEE(S): United States (U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: US 5851988 19981222 APPLICATION INFO .: US 1997-784854 19970115 (8) DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Fitzgerald, David L. ASSISTANT EXAMINER: Pak, Michael NUMBER OF CLAIMS: 25 EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 16 Drawing Figure(s); 9 Drawing Page(s) LINE COUNT: 731 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Modulation of the activity of the insulin receptor, enhancement of glucose uptake by cells, and other effects significant in the control and management of diabetes are accomplished using compounds of the formula ##STR1## wherein each A is independently a proton-accepting substituent; each R is independently a noninterfering substituent; n is 0, 1, or 2; and each linker is independently an isostere of --NHCONH-- or of - · N · dbd · N - or of --NHCO--, Compounds in the genus of Formula (1) can also be used for structure activity studies to identify features responsible for the relevant activities. IT 10190-68-8P, TER 3938 (modulators of insulin receptor activity, screening, and therapeutic RN 10190-68-8 USPATFULL CN 7-Benzothiazolesulfonic acid, 2-[4-[[1-[[(2-methoxyphenyl)amino]carbonyl]-2-oxopropyl]azo]-3-sulfophenyl]-6-methyl-, disodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 38 OF 74 USPATFULL ACCESSION NUMBER: 1998:159683 USPATFULL TITLE: Color-developing agent, silver halide photographic light-sensitive material and image-forming method INVENTOR (S) : Okawa, Atsuhiro, Minami-ashigara, Japan Makuta, Toshiyuki, Minami-ashigara, Japan Taguchi, Toshiki, Minami-ashigara, Japan PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Kanagawa-ken, Japan (non-U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: US 5851749 19981222 APPLICATION INFO.: US 1996-757730 19961126 (8) NUMBER DATE PRIORITY INFORMATION: JP 1995-334183 19951130 DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Le, Hoa Van LEGAL REPRESENTATIVE: Birch, Stewart, Kolasch & Birch, LLP NUMBER OF CLAIMS: 26 EXEMPLARY CLAIM: 1 LINE COUNT: 3627 CAS INDEXING IS AVAILABLE FOR THIS PATENT. There is disclosed novel color-developing agents of the formula (I). There is also disclosed silver halide photographic light-sensitive materials and image-forming methods, using the color-developing agent. The color-developing agent is excellent in color-forming property, and the image obtained from the color-developing agent is good in stability of hue and image. ##STR1## wherein Z.sup.1 represents an acyl group, a carbamoyl group, an alkoxycarbonyl group, an aryloxycarbonyl group, a sulfonyl group, or a carbonimidoyl group, O.sup.1 represents a group of atoms required to form a 5- or 6-membered aromatic ring together with the C, Q.sup.2 represents a heterocyclic residue, Y.sup.1 represents a group capable of substitution onto the aromatic ring, m is 1 or 2, and is an integer of 0 to 3. IT 194790-72-2P (N-(heterocyclylaryl)hydrazine derivs. for principal color developers, silver halide photog. light-sensitive material, and imaging method) 194790-72-2 USPATFULL Benzothiazole, 2-[4-hydrazino-3-[(1-methylethyl)sulfonyl]phenyl]- (9CI) (CA INDEX NAME)

IT 194790-62-0P

(photog. color developer; N-(heterocyclylaryl)hydrazine derivs, for principal color developers, silver halide photog. light-sensitive

L10 ANSWER 38 OF 74 USPATFULL (Continued) material, and imaging method) 194790-62-0 USPATFULL RN Hydrazinecarboxamide, 2-[4-(2-benzothiazolyl)-2-[(1methylethyl)sulfonyl]phenyl]-N $\cdot$ [3 $\cdot$ [2,4-bis(1,1 $\cdot$ dimethylpropyl)phenoxy[propyl] - (9CI) (CA INDEX NAME)

L10 ANSWER 40 OF 74 USPATFULL

1998:135063 USPATFULL

NUMBER

Weddington, Kevin E.

Morrison & Foerster LLP

each A is independently a proton-accepting substituent;

each linker is independently an isostere of --CH.sub.2 --,

each R is independently a noninterfering substituent;

US 5830918

Utility

Granted

672

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

US 1997-784857

Nonpeptide insulin receptor agonists

United States (U.S. corporation)

Villar, Hugo O., Newark, CA, United States

KIND

14 Drawing Figure(s); 10 Drawing Page(s)

Modulation of the activity of the insulin receptor, enhancement of

and management of diabetes are accomplished using compounds of the

structure activity studies to identify features responsible for the

2-oxopropyl]azo]-3-sulfophenyl]-6-methyl-, disodium salt (9CI) (CA

(modulators of insulin receptor activity, screening, and therapeutic

DATE

19981103

19970115

ACCESSION NUMBER:

PATENT ASSIGNEE(S):

PATENT INFORMATION:

APPLICATION INFO .:

PRIMARY EXAMINER:

NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS:

LEGAL REPRESENTATIVE:

m is 0 or 1; n is 4-6; and

IT 10190-68-8P, TER 3938

relevant activities.

7-Benzothiazolesulfonic acid,

2-[4-[[1-[[(2-methoxyphenyl)amino]carbonyl]-

10190-68-8 USPATFULL

DOCUMENT TYPE:

FILE SEGMENT:

LINE COUNT:

TITLE: INVENTOR(S):

Sportsman, Richard, San Francisco, CA, United States Kauvar, Lawrence M., San Francisco, CA, United States Terrapin Technologies, Inc., South San Francisco, CA, glucose uptake by cells, and other effects significant in the control formula ##STR1## wherein each Ar is independently an aromatic moiety; or --NCHO--. Compounds in the genus of Formula (1) can also be used for ACCESSION NUMBER: 1998:157363 USPATFULL TITLE: Peripherally active anti-hyperalgesic opiates INVENTOR(S): Yaksh, Tony L., San Diego, CA, United States Regents of the University of California, Oakland, CA, PATENT ASSIGNEE(S): United States (U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: US 5849761 19981215 APPLICATION INFO.: US 1995-528510 19950912 (8) DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Spivack, Phyllis G. Seidman, Stephanie L.Heller Ehrman White & McAuliffe LEGAL REPRESENTATIVE: NUMBER OF CLAIMS: EXEMPLARY CLAIM: LINE COUNT: 3472 CAS INDEXING IS AVAILABLE FOR THIS PATENT. Methods using compositions for the treatment of peripheral hyperalgesia are provided. The compositions contain an anti-hyperalgesia effective amount of one or more compounds that directly or indirectly interact with peripheral opiate receptors, but that do not, upon topical or local administration, elicit central nervous system side effects. The anti-diarrheal compound 4-(p-chlorophenyl)-4-hydroxy-N-N-dimethyl-.alpha.,.alpha.-diphenyl-1-piperidinebutyramide hydrochloride is preferred for use in the compositions of the claimed methods. IT 15599-36-7, Halethazole (peripherally active anti-hyperalgesic opiates) 15599-36-7 USPATFULL Ethanamine, 2-[4-(5-chloro-2-benzothiazolyl)phenoxy]-N, N-diethyl- (9CI) (CA INDEX NAME) O-CH2-CH2-NEt2

L10 ANSWER 39 OF 74 USPATFULL

L10 ANSWER 40 OF 74 USPATFULL (Continued)

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L10 ANSWER 41 OF 74 USPATFULL
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1998:45062 USPATFULL ACCESSION NUMBER:

Quenching reagents and assays for enzyme mediated TITLE:

luminescence

Sherf, Bruce A., Waunakee, WI, United States INVENTOR(S) Wood, Keith V., Madison, WI, United States Schenborn, Elaine T., Middleton, WI, United States

PATENT ASSIGNEE(S): Promega Corporation, Madison, WI, United States (U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 5744320 19980428 APPLICATION INFO.: US 1995 472546 19950607 (8)

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Leary, Louise LEGAL REPRESENTATIVE: DeWitt Ross & Stevens SC

NUMBER OF CLAIMS:

EXEMPLARY CLAIM:

to

NUMBER OF DRAWINGS: 6 Drawing Figure(s); 6 Drawing Page(s)

LINE COUNT: 1907

CAS INDEXING IS AVAILABLE FOR THIS PATENT. The present invention relates to single and dual reporter luminescence

assays utilizing general and specific reagents to quench

enzyme mediated reactions. In one embodiment of the invention, a reagent is added to the

assay which non specifically quenches enzyme mediated luminescent reactions. In another embodiment of the invention, a reagent is added

the assay which simultaneously quenches one enzyme mediated luminescent reaction while activating another distinct enzyme mediated luminescent reaction. An assay kit containing specific quench reagents, and the

reagents themselves are also disclosed. IT 92-36-4, 2 (4 Aminophenyl) 6 methylbenzothiazole

(quenching reagents and assays for enzyme mediated luminescence) 92 36 4 USPATFULL

Benzenamine, 4 (6 methyl 2 benzothiazolyl) (9CI) (CA INDEX NAME)

L10 ANSWER 43 OF 74 USPATFULL

ACCESSION NUMBER: 97:90977 USPATFULL Process for dyeing paper TITLE:

INVENTOR(S): Kaser, Adolf, Bottmingen, Switzerland

PATENT ASSIGNEE(S): Ciba Geigy Corporation, Tarrytown, NY, United States

(U.S. corporation)

NUMBER KIND DATE

PATENT INFORMATION US 5674299 19971007 APPLICATION INFO.: US 1995 500654 19950712 (8)

NUMBER . . . . . . . . . . . 19940715

PRIORITY INFORMATION: CH 1994 2269 DOCUMENT TYPE: Utility

FILE SEGMENT: Granted PRIMARY EXAMINER: Einsmann, Margaret

LEGAL REPRESENTATIVE: Mansfield, Kevin T., Dohmann, George R. NUMBER OF CLAIMS:

16 EXEMPLARY CLAIM: LINE COUNT: 837

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The cationic or basic dyes of formulae (1) and (2) cited in claim 1 are

particularly suitable for dyeing paper.

These dyes dye paper in a yellow, orange or brown shade having good fastness properties.

IT 92-36-4, Dehydrothio p toluidine

(diazo component; prepn. of cationic azo dyes for paper)

92 36 4 USPATFULL

Benzenamine, 4 (6 methyl 2 benzothiazolyl) (9CI) (CA INDEX NAME)

IT 174898-30-7P

(orange; process and dyes for dyeing of paper)

174898 30 7 USPATFULL

Pyridinium, 1 [2 [ethyl[3 methyl 4 [[4 (6 methyl 2

benzothiazolyl)phenyl]azo]phenyl]amino]ethyl] , chloride (9CI) (CA

L10 ANSWER 42 OF 74 CAPLUS COPYRIGHT 2002 ACS 1998:318363 CAPLUS

ACCESSION NUMBER: 129:74315

DOCUMENT NUMBER:

Metallomesogens: synthesis and properties TITLE. AUTHOR (S): Meyer, Emerson; Zucco, Cesar; Gallardo, Hugo CORPORATE SOURCE: Department of Chemistry, Universidade Federal de

Santa Catarina, Florianopolis, Brazil

Journal of Materials Chemistry (1998), 8(6), SOURCE: 1351 1354

CODEN: JMACEP; ISSN: 0959 9428 PUBLISHER: Royal Society of Chemistry

DOCUMENT TYPE: Journal English

LANGUAGE: The synthesis, characterization and mesogenic behavior of the Cu(II) and oxovanadium(IV) complexes derived from phenyltetrazole and benzothiazole and their corresponding ligands are reported. The

ligands did not exhibit mesomorphism, whereas the complexes form monotropic smectic A and smectic C mesophases. The mesophases were identified according to their textures by optical microscopy.

209112-11-8P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(prepn. and reactant in copper and vanadyl (hydroxydecyloxyphenyl)benzothiazole complex formation)

209112 11 8 CAPLUS Phenol, 2 (2 benzothiazolyl) 5 (decyloxy) (9CI) (CA INDEX NAME)

L10 ANSWER 43 OF 74 USPATFULL (Continued)

♣ C1 ·

L10 ANSWER 44 OF 74 USPATFULL

ACCESSION NUMBER: 97:7804 USPATFULL

Covalent cyanine dye oligonucleotide conjugates TITLE: INVENTOR(S): Linn, C. Preston, Durham, NC, United States Pitner, J. Bruce, Durham, NC, United States Mize, Pat D., Durham, NC, United States

PATENT ASSIGNEE(S): Becton Dickinson and Company, Franklin Lakes, NJ,

United States (U.S. corporation)

KIND

DATE

PATENT INFORMATION: US 5597696 19970128 APPLICATION INFO.: US 1994 276238 19940718 (8) DOCUMENT TYPE: Utility

NUMBER

FILE SEGMENT: Granted PRIMARY EXAMINER: Houtteman, Scott W.

LEGAL REPRESENTATIVE: Highet, Esq., David W.

NUMBER OF CLAIMS: 10

EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s)

LINE COUNT: 381

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates to conjugates of a cyanine dye and an oligonucleotide. When these conjugates hybridize or bind to a target, a detectable increase in fluorescence intensity or change in fluorescence polarization is observed.

IT 1829-00-1DP, Thiazole yellow, oligonucleotide conjugates

(prepn. of oligonucleotide directly linked with cyanine dye, conjugate fluorescence, and labeled oligonucleotide use as anal. reagent)

1829-00-1 USPATFULL

7 Benzothiazolesulfonic acid, 2,2' (1-triazene 1,3 diyldi 4,1 phenylene)bis[6 methyl-, disodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 46 OF 74 USPATFULL

ACCESSION NUMBER: 96:38732 USPATFULL

TITLE: Method for obtaining improved image contrast in

migration imaging members INVENTOR (S):

Limburg, William W., Penfield, NY, United States Mammino, Joseph, Penfield, NY, United States Liebermann, George, Mississauga, Canada

Griffiths, Clifford H., Pittsford, NY, United States Shahin, Michael M., Pittsford, NY, United States Malhotra, Shadi L., Mississauga, Canada

Chen, Liqin, Mississauga, Canada Perron, Marie-Eve, Mississauga, Canada

PATENT ASSIGNEE(S): Xerox Corporation, Stamford, CT, United States (U.S.

corporation)

NUMBER KIND DATE -----PATENT INFORMATION: US 5514505 19960507 APPLICATION INFO.: US 1995-441360 19950515 (8)

DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Martin, Roland LEGAL REPRESENTATIVE: Byorick, Judith L.

NUMBER OF CLAIMS: 44 EXEMPLARY CLAIM:

NUMBER OF DRAWINGS: 12 Drawing Figure(s); 5 Drawing Page(s)

LINE COUNT: 7686

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A8 Disclosed is a process which comprises (a) providing a migration

member comprising (1) a substrate and (2) a softenable layer comprising a softenable material and a photosensitive migration marking material present in the softenable layer as a monolayer of particles situated at or near the surface of the softenable layer spaced from the substrate; (b) uniformly charging the imaging member; (3) imagewise exposing the charged imaging member to activating radiation at a wavelength to which

the migration marking material is sensitive; (d) subsequent to step causing the softenable material to soften and enabling a first portion of the migration marking material to migrate through the softenable material toward the substrate in an imagewise pattern while a second portion of the migration marking material remains substantially unmigrated within the softenable layer; and (e) contacting the second

portion of the migration marking material with a transparentizing agent which transparentizes migration marking material.

IT 179990-25-1 (transparentizing agent for electrophotog, migration imaging members) 179990-25-1 USPATFULL

Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3-ethyl-6-methyl-, bromide (9CI) (CA INDEX NAME)

L10 ANSWER 45 OF 74 USPATFULL

PATENT ASSIGNEE(S):

ACCESSION NUMBER: 96:50429 USPATFULL

TITLE: Process for the dyeing of cellulose containing fibre

materials with reactive dyes INVENTOR (S): Landre, Jean Francois, Riedisheim, France

Tzikas, Athanassios, Pratteln, Switzerland

Luttringer, Jean P., Rixheim, France Ciba-Geigy Corporation, Tarrytown, NY, United States

(U.S. corporation)

NUMBER KIND DATE PATENT INFORMATION: US 5525124 19960611 WO 9318224 19930916 APPLICATION INFO. : US 1994 295765 19940902 (8) WO 1993 EP426 19930224

19940902 PCT 371 date 19940902 PCT 102(e) date

NUMBER DATE

PRIORITY INFORMATION: CH 1992 714 19920306 CH 1992-715 19920306

18

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Einsmann, Margaret LEGAL REPRESENTATIVE: Mansfield, Kevin T. NUMBER OF CLAIMS:

EXEMPLARY CLAIM: LINE COUNT: 1417

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

IT 156202-64-1

(dyeing of cotton by, in presence of low amts. of mineral acid salts) 156202 64 1 USPATFULL

7 Benzothiazolesulfonic acid, 6 methyl-2-[4-{{2-phenyl-4,6 bis{[3-[2-

(sulfooxy)ethyl]sulfonyl]propyl]amino].5-pyrimidinyl]azo].3-sulfophenyl] (9CI) (CA INDEX NAME)

L10 ANSWER 46 OF 74 USPATFULL (Continued)

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L10 ANSWER 47 OF 74 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER:
                         1995:633021 CAPLUS
DOCUMENT NUMBER:
                         123:217135
TITLE:
                         Reactions of inorganic ions with organic reagents on
                         microcrystalline cellulose and silica gel thin
layers.
                         Soljic, Z.; Hrestak, Z.; Eskinja, I.
AUTHOR (S):
CORPORATE SOURCE
                         Faculty Chemical Engineering Technology, University
                         Zagreb, Croatia
SOURCE:
                         Kemija u Industriji (1995), 44(5), 219 34
                         CODEN: KJUIAR; ISSN: 0022 9830
                         Hrvatsko Drustvo Kemijskih Inzenjera i Tehnologa
PUBLISHER:
DOCUMENT TYPE:
                         Journal
LANGUAGE:
                         Serbo Croatian
    The formation of colored spots by reaction between inorg, cations and
org
     reagents on microcryst. cellulose and silica gel GF254 thin layers was
     studied. Thin layers were prepd. from aq. suspensions of the sorbents
     (cellulose:water = 1:1 and silica gel:water = 1:2.5); layers were dried
     room temp.; solns. of salts (chlorides and nitrates) with concis. of
     cations 1 5 mg/mL were used as samples; reagents were dissolved in org.
     solvents, most frequently in ethanol, usually 0.1 g reagent in 100 mL
     solvent. One drop of cation soln, was spotted on cellulose layer and one
     on silica gel layer, spots were dried and both sprayed with the same
     reagent soln., and exposed to NH3 vapor (and sometimes to UV light). The
     results are presented in tables. Some reagents form colored spots with
     many cations, while others react only with a few. Differences between
     reactions on cellulose and silica gel layers are were obsd.; most
     frequently, more colored spots were formed on the cellulose layer,
     although some reagents react conversely (e.g., bromothymol blue). The
     color of the spot on cellulose is often different from the color on
Bilica
    gel. These phenomena show the active role of the sorbent in the
reactions
     between inorg, ions and org, reagents. Some reactions were very
     sensitive, giving intense colors. Sometimes the spots were visible
     without being exposed to ammonia vapor, some spots could be perceived
only
     under UV light (as with 8 hydroxyquinoline), colors of others disappeared
     after some time or could be changed, etc. Results of parallel behavior
of
     inorg, ions on cellulose and silica gel thin layer can be applied in
     identification of ions (qual. anal.), in choosing suitable detection
    reagents in planar chromatog., esp. for direct quant. detn. on thin
layers
     (the colors for all reagents are also given in the tables), and for
    choosing favorable reagents for detn. of ions by spectrophotometry. The
     results highlight the effect of cellulose and silica gel layers on the
     spot colors; the sorbent share in the of chromophore electron
     configuration is the result of interactions between cation and sorbent,
     reagent and cation, and reagent and sorbent.
    1829-00-1, Titan yellow
     RL: ARG (Analytical reagent use); RCT (Reactant); ANST (Analytical
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L10 ANSWER 48 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1994:239672 CAPLUS DOCUMENT NUMBER: 120:239672 Immunological detection using two detectable labels TITLE: INVENTOR(S): Abuknesha, Ramadan Arbi PATENT ASSIGNEE(S): GEC Marconi Ltd., UK SOURCE: PCT Int. Appl., 61 pp. CODEN: PIXXD2 DOCUMENT TYPE: Patent LANGUAGE: English FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO.		DATE	APPLICATION NO.	
	A1		WO 1993-GB1628	
RW: AT, BE,	CH, DE	, DK, ES,	FR, GB, GR, IE, IT, LU,	MC, NL, PT, SE
			GB 1992 19743	
GB 2260609	A1	19930421	GB 1992 21578	19921014
GB 2260609	B2	19960522		
GB 2261948	A1	19930602	GB 1992-24897	19921127
GB 2261949	A1	19930602	GB 1992-24898	19921127
EP 660935	A1	19950705	EP 1993·917968	19930802
EP 660935	B1	20000524		
R: DE, FR				
		19980303	US 1995-381826	19950227
PRIORITY APPLN. INFO	. :		GB 1992-16465 A	19920803
			GB 1992 19743 A	19920918
			GB 1992 20722 A	19921001
			GB 1992-21578 A	19921014
			GB 1992 24897 A	19921127
			GB 1992 24898 A	19921127
			GB 1991 22180 A	19911018
			GB 1991 25204 A	19911127
			GB 1991 25218 A	19911127
			WO 1993 GB1628 W	19930802

AB A method of detection, sensor, and test kit for immunoassays are described

which involve ratiometric detection of 2 detectable species which are detectable independently of one another and are influenced independently by the analyte, use an auxiliary ligand (e.g. an auxiliary antigen) and a binder (e.g. antibody) for the auxiliary ligand for ratiometric detection of 2 detectable species. This improves the accuracy and precision of measurement of a signal by avoiding abs. measurements, e.g. where one of the detectable species is influenced by the presence of the analyte while the other is not, and the 2 detectable species can be detected independently. Thus, in an immunoassay for L thyroxine, an antibody to thyroxine was conjugated with 5(6) carboxyfluorescein N-hydroxysuccinimide ester. A 2nd antibody directed to 2 phenyl 4 quinolinecarboxylic acid was conjugated with thyroxine N amidoglutaric acid N hydroxysuccinimide ester and with 7 amino 4 methylcoumarin 3 propionic acid N hydroxysuccinimide ester. Polystyrene assay tubes coated with a 2 phenyl 4 quinolinecarboxylic acid ovalbumin conjugate received std. solns, or samples contq. thyroxine and fluorescein labeled primary antibody and then the 2nd antibody conjugate. After incubation and washing, the fluorescence bound to the tubes was measured at 510 nm (fluorescein) and 450 nm (7 amino 4 methylcoumarin). The fluorescence intensity for fluorescein increased with increasing thyroxine concn., whereas that for the coumarin remained relatively const. The ratios of the 2 fluorescence intensities was

●2 Na

Pentanoic acid, 5 [[4 (6 methyl 2 benzothiazolyl)phenyl]amino] 5 oxo

(9CI) (CA INDEX NAME)

09/935,767 Page 62

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L10 ANSWER 49 OF 74 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER:
                         1994:293590 CAPLUS
DOCUMENT NUMBER:
                         120:293590
TITLE:
                         Separation method with auxiliary ligand
                         binder pairs in immunological detection of multiple
                         analytes
INVENTOR(S):
                         Abuknesha, Ramadan Arbi
                         GEC-Marconi Ltd., UK
PATENT ASSIGNEE(S):
SOURCE:
                         PCT Int. Appl., 71 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
     PATENT NO.
                     KIND DATE
                                          APPLICATION NO.
                                                           DATE
                                           WO 9403807
                      Αl
                           19940217
                                          WO 1993-GB1627
                                                           19930802
        W: CA, JP, US
         RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
    GB 2270976
                      A 1
                           19940330
                                          GB 1992-19743
                                                           19920918
    GB 2261948
                      A 1
                           19930602
                                          GB 1992-24897
                                                           19921127
    GB 2261949
                           19930602
                                          GB 1992-24898
                                                           19921127
     EP 653065
                           19950517
                                          EP 1993-917967
                                                           19930802
        R: DE, FR
PRIORITY APPLN. INFO.:
                                       GB 1992-16450
                                                        A 19920803
                                       GB 1992-16683
                                                        A 19920806
                                       GB 1992-19743
                                                       A 19920918
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GB 1992-24898 A 19921127 GB 1991-25204 A 19911127 GB 1991-25218 A 19911127 W 19930802 WO 1993-GB1627 A sepn. method which finds application in immunol. detection, a method suitable for use in detection, a sensor, and a test kit are disclosed. The invention provides a sepn. method suitable for use in an immunol. method for the detection of >1 species, which includes the use of >1 auxiliary ligand-binder pairs, the auxiliary ligand of each of the plurality of auxiliary ligand-binder pairs being provided on a support material. The invention also provides a sepn. method which includes the use of a plurality of auxiliary ligand -binder pairs, an auxiliary ligand of one auxiliary ligand-binder pair being provided on a support material and a binder of another auxiliary ligand-binder pair, which pair comprises an auxiliary ligand-auxiliary binder pair, being

GB 1992-20722

GB 1992-24897

19921001

A 19921127

ligand-binder pair being provided on a support material and a binder of another auxiliary ligand-binder pair, which pair comprises an auxiliary ligand-auxiliary binder pair, being provided on a support material. The invention is useful for detection of multiple analytes. 17.beta.-Estradiol, progesterone and L-thyroxine were selected as analytes to illustrate the use of >1 auxiliary ligand -auxiliary binder pairs in sepns. of multiple analytes for immunol. detection. The auxiliary ligands used were 7-hydroxy-4-methylcoumarin-3propionic acid, 2-(4-aminophenyl)-6-methylthiazole hemiglutarate, and 2-phenyl-4-quinoline carboxylic acid; auxiliary

binders

were antibodies to these ligands.

IT 154821-25-7

L10 ANSWER 50 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1994:168154 CAPLUS

DOCUMENT NUMBER: 120:168154

RL: ANST (Analytical study)

TITLE: Asbestos fibers modified with organic dyes INVENTOR(S): Habashi, Fathi; Awadalla, Farouk; Page, Michel

PATENT ASSIGNEE(S): Universite Laval, Can. SOURCE: Can., 16 pp.

CODEN: CAXXA4

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE

CA 1319470 A1 19930629 CA 1988-556279 19880112

AB In order to reduce the hemolytic and cytotoxicity properties of chrysotile

asbestos fibers, Mg ions in the fiber are chelated with 0.2-6 wt.% of org. dye. The dye is selected from hydroxyquinolines, acridines, azines, phenanthroline, phthalocyanine, anthraquinones, azo dyes, triphenylmethane, nitronaphthols, oximes, and diketones.

IT 1829-00-1, Thiazol yellow
RL: RCT (Reactant): RACT (Re

RL: RCT (Reactant); RACT (Reactant or reagent)
 (chelation of, with magnesium ions in chrysotile asbestos
 fiber, for hemolytic and cytotoxicity properties redn.)
1829-00-1 CAPLUS

CN 7-Benzothiazolesulfonic acid, 2,2'-(1-triazene-1,3-diyldi-4,1-phenylene)bis(6-methyl-, disodium salt (9CI) (CA INDEX NAME)

•2 Na

L10 ANSWER 49 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) (as auxiliary ligand, antibody as auxiliary binder to, in sepn. in multiple analyte immunol. detection) 154821 25 7 CAPLUS Pentanedioic acid, compd. with 4-(6-methyl-2-benzothiazolyl)benzenamine (1:2) (9CI) (CA INDEX NAME) CM 1 CRN 110-94-1 CMF C5 HB O4  $HO_2C - (CH_2)_3 - CO_2H$ CM 2 CRN 92-36-4 CMF C14 H12 N2 S 92-36-4D, ovalbumin conjugates RL: ANST (Analytical study) (for estradiol-progesterone-thyroxine immunoassay with auxiliary ligand-binder pairs) 92-36-4 CAPLUS Benzenamine, 4-(6-methyl-2-benzothiazolyl) (9CI) (CA INDEX NAME)

NUMBER KIND DATE PATENT INFORMATION: US 5149755 19920922 APPLICATION INFO .: US 1991-726437 19910705 (7) DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Anderson, Harold D. LEGAL REPRESENTATIVE: Walker, Robert Luke NUMBER OF CLAIMS: 20 EXEMPLARY CLAIM: 1

(U.S. corporation)

LINE COUNT: 866 CAS INDEXING IS AVAILABLE FOR THIS PATENT. A method for the preparation of poly(benzoxazole)s, poly(benzimidazoles)s, and poly(benzthiazole)s. In the presence of solvent and catalyst, reacting carbon monoxide, an aromatic halide reactant having the general formula X.sup.1 -- Ar.sup.1 -- 2.sup.1 and an aromatic amine reactant having the general formula Z.sup.2 --Ar.sup.2 --M.sup.1, wherein X.sup.1 and Z.sup.1 are non-ortho, Z.sup.2 and M.sup.1 are non-ortho, one of Z.sup.1 and Z.sup.2 is X.sup.2 and the other one is M.sup.2, --Ar.sup.1 -- and --Ar.sup.2 -- are each independently selected from the group consisting of aromatic and heteroaromatic moieties having a total of ring carbons and heteroatoms of from 6 to about 20, X.sup.1 and X.sup.2 are each independently selected from the group consisting of -- I and -- Br, and M.sup.1 and M.sup.2 are each independently selected from moieties having an

--NH.sub.2 radical and, ortho to the --NH.sub.2 radical, a radical selected from the group consisting of --NH.sub.2, --OH, and --SH.

IT 108389-04-4P 146185-39-9P (prepd. of, cured, catalysts for)

N 108389-04-4 USPATFULL

N Poly(benzo(1,2-d:4,5-d')bisthiazole-2,6-diyl-1,4-phenyleneoxy-1,4-phenylene) (9CI) (CA INDEX NAME)

N 146185-39-9 USPATFULL

Poly(benzo(1,2-d:4,5-d')bisthiazole-2,6-diyl-1,4-phenylenesulfonyl-1,4-phenylene) (9CI) (CA INDEX NAME)

09/935,767 Page 63

L10 ANSWER 51 OF 74 USPATFULL (Continued)

L10 ANSWER 52 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

L10 ANSWER 52 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER 1992:419395 CAPLUS DOCUMENT NUMBER: 117:19395 Silica gel modified with titan yellow as a sorbent TITLE . for separation and preconcentration of trace amounts of heavy metals from alkaline earth or alkali metal galts AUTHOR (S) Kocjan, Ryszard CORPORATE SOURCE Dep. Inorg. Anal. Chem., Med. Acad , Lublin, 20 081, Analyst (Cambridge, United Kingdom) (1992), 117(4), SOURCE 741 4 CODEN ANALAO, ISSN: 0003 2654 DOCUMENT TYPE Journal LANGUAGE English AB Sorption of 12 metal ions (Ca, Mg, Al, Cu, Fe(III), Ni, Co, Cd, Zn, Pb, HgII and CrIII) on silica gel impregnated with a mixt. of Aliquat 336 and Titan Yellow was investigated in the pH range 1 9. All these metals were retained from alk., neutral or slightly acidic aq. solns. except calcium and magnesium, which were retained only from alk. solns. All the metals can be eluted with dil. solns. of HC104 (>0.05 mol L 1) or HC1(>0.5 mol L 1) without elution of the chelating reagent from the sorbent, which makes possible the use of the same column for a no. of sorption elution processes. The rate of sorption of Cu, Fe, Cr, Al, Zn, Ca dna Mg was also studied and it was found that relatively high flow rates (up to 4 mL min 1) could be used for solns, passing through the column. The sorbent was applied for the sepn. of solns. of anal. reagent sodium, potassium, calcium, magnesium and ammonium chloride, used as supporting electrolytes in anodic stripping voltammetry, from traces of Cu, Pb, Cd and Zn, and for sepn. of some metal ion mixts, by column extn. chromatog. 1829-00-1, Titan Yellow RL: ANST (Analytical study) (silica gel modified with, as stationary phase for sepn. and preconcn. of trace heavy metals from alk. earth or alkalı metal salts)

7 Benzothiazolesulfonic acid, 2,2' (1 triazene 1,3 diyldi 4,1 phenylene)bis(6 methyl , disodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 53 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1993:40794 CAPLUS

DOCUMENT NUMBER: 118:40794

1829 00 1 CAPLUS

Well defined colloidal pigments. II. Monodispersed TITLE. inorganic spherical particles containing organic dyes AUTHOR(S): Hsu, Wan Peter; Yu, Rongchi; Matijevic, Egon CORPORATE SOURCE: Cent. Adv. Mater. Process., Clarkson Univ., Potsdam,

NY, 13699 5814, USA Dyes and Pigments (1992), 19(3), 179 201 SOURCE:

CODEN: DYPIDX; ISSN: 0143 7208

Journal DOCUMENT TYPE: LANGUAGE: English

AΒ Colloidal pigments of well defined characteristics were obtained by using inorg, particles as carriers in which org, dyes were either incorporated or adsorbed. The copptn. of inorg. salts with water sol. anionic dyes

affected by the chelating ability of the latter, the valence of the metal, and the reaction parameters during the particle formation.

dye retention in the core was similar to that in the mordant process of

dyeing. Optical studies of the pigments made by using Y(OH)CO3 as a core showed good color properties (purity, lightness) in a variety of hues. The amt. of cationic dyes adsorbed on silica particles depended on the mol. structure of the dyes and on the pH of the solns., which influenced the surface charge of the SiO2.

IT 2390-54-7, Thioflavine T RL: PRP (Properties)

(pigments, on inorg. hydrosol carriers) 2390 54 7 CAPLUS

Benzothiazolium, 2 [4 (dimethylamino)phenyl] 3,6 dimethyl , chloride (9CI)

(CA INDEX NAME)

09/935,767

L10 ANSWER 54 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1992:652432 CAPLUS

DOCUMENT NUMBER: 117:252432

Chelate complex-forming agents for the TITLE:

deactivation of copper in photocrosslinked LDPE AUTHOR (S): Schipschack, Klaus; Berger, Joerg; Neumann, Renate;

Wagner, Harald CORPORATE SOURCE:

Zentralinst. Festkoerperphys. Werkstofforsch., Dresden, O-8027, Germany

Angewandte Makromolekulare Chemie (1992), 199, 103 17 SOURCE: CODEN: ANMCBO; ISSN: 0003-3146

DOCUMENT TYPE: Journal LANGUAGE:

German Complex-forming agents for Cu, of interest as reagents in anal. chem. and for liq.-liq. extn., were tested with regard to their effectiveness as Cu deactivators in crosslinked low-d. polyethylene (XLDPE) by detg. the induction period in the O uptake of XLDPE/Cu/XLDPE-sandwiches at 165.degree.. Besides acylated hydrazines, a class of substances already known for efficient metal deactivation, several groups of chelating agents were investigated. Above all, the 2-(2-hydroxyphenyl)imidazoles turned out to be efficient Cu deactivators

in XLDPE. Compared to them, the 2-(2-hydroxyphenyl)oxazole, -thiazole, and -oxadiazole with very similar chem. structure but without mobile H at the 5-membered ring are ineffective.

88016-72-2

RL: PROC (Process)

(evaluation of, for copper deactivation in crosslinked low-d.

polyethylene) 88016-72-2 CAPLUS

Phenol, 5-butoxy-2-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 55 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

L10 ANSWER 55 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1993:640346 CAPLUS

DOCUMENT NUMBER: 119:240346 Magneto, spectral and thermal studies of some mixed-TITLE:

ligand cyanonitrosyl chromium (CrNO)5

Page 64

complexes involving benzothiazole derivatives AUTHOR (S): Maurya, R. C.; Mishra, D. D.; Khan, I. B.; Awasthi,

CORPORATE SOURCE: Dep. P.G. Stud. Res. Chem., R.D. Univ., Jabalpur, 482

001, India Journal of the Institution of Chemists (India) SOURCE:

(1992),

64(1), 7-8 CODEN: JOICA7; ISSN: 0020-3254

DOCUMENT TYPE: Journal

LANGUAGE: English

[Cr(NO)(CN)2L2(H2O)) (L = 2-amino-6-ethoxy-, 2-amino-4-chloro-, 2-amino-6 nitro-, 2-amino-5,6-dimethyl-, 2-(2-tolyl)-,

2-(4-aminophenyl)-6-methylbenzothiazoles) were prepd. and characterized by

elemental anal., magnetic, molar conductance, TGA, and IR and ESR

spectral methods. The benzothiazoles act as monodentate ligands

coordinating through tertiary N.

151007-63-5P RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 151007-63-5 CAPLUS

Chromium, aquabis(cyano-C)bis(4-(6-methyl-2-benzothiazolyl)benzenamine-

N4]nitrosyl- (9C1) (CA INDEX NAME)

92-36-4, 2-(4-Aminophenyl)-6-methylbenzothiazole RL: RCT (Reactant); RACT (Reactant or reagent)

(reaction of, with chromium cyano nitrosyl complex anion)

Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 56 OF 74 BIOSIS COPYRIGHT 2002 BIOLOGICAL ABSTRACTS INC.

ACCESSION NUMBER: 1991:223612 BIOSIS

DOCUMENT NUMBER: BA91:115072

TITLE: DEMONSTRATION OF ELASTIC FIBERS WITH REAGENTS FOR DETECTION

OF MAGNESIUM.

AUTHOR (S): MUELLER W; FIRSCHING R

CORPORATE SOURCE: GULDENWEG 15, D-5000 KOELN 40, FRG. SOURCE: J ANAT, (1991) 175 (0), 195-202. CODEN: JOANAY. ISSN: 0021-8782.

FILE SEGMENT: BA; OLD

LANGUAGE: English

AB The e bers in various human and animal tissues investigated with the reagents quinalizarin, magneson II, and titan yellow for the

detection

associated with the elastic property of the fibers.

of magnesium revealed instantly striking positive results. On the supposition of sufficient amount of magnesium in elastic fibers for histochemical detection it is speculated that the marked chelate -forming ability of magnesium or its antagonistic function to calcium is 09/935,767 Page 65

L10 ANSWER 58 OF 74 CAPLUS COPYRIGHT 2002 ACS

L10 ANSWER 57 OF 74 USPATFULL

ACCESSION NUMBER: 90:21538 USPATFULL TITLE: Heat sensitive recording material

Satake, Toshimi, Tokyo, Japan INVENTOR (S): Minami, Toshiaki, Tokyo, Japan Nagai, Tomoaki, Tokyo, Japan Fujimura, Fumio, Tokyo, Japan

PATENT ASSIGNEE(S): Jujo Paper Co., Ltd., Tokyo, Japan (non U.S.

corporation)

NUMBER KIND DATE

PATENT INFORMATION: US 4910185 19900320 APPLICATION INFO. : US 1988 158544 19880222 (7)

> NUMBER DATE

PRIORITY INFORMATION: JP 1987 42424 19870225 DOCUMENT TYPE: Utility

FILE SEGMENT: Granted PRIMARY EXAMINER: Hess, Bruce H. LEGAL REPRESENTATIVE: Koda & Androlia NUMBER OF CLAIMS:

EXEMPLARY CLAIM: LINE COUNT:

470 CAS INDEXING IS AVAILABLE FOR THIS PATENT.

A heat sensitive recording material including a support and a color developing layer comprising an electron doner, an electron acceptor and a fluorescence dyestuff and/or pigment. The heat sensitive recording material is superior in both readability in an irradiation of UV ray and optical readability in near infrared region.

IT 2390-54-7 (thermal recording material contg.) 2390 54 7 USPATFULL

CN Benzothiazolium, 2 [4 (dimethylamino)phenyl] 3,6 dimethyl , chloride (9CI)

(CA INDEX NAME)

L10 ANSWER 58 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

ACCESSION NUMBER: 1990:469991 CAPLUS DOCUMENT NUMBER: 113:69991 Oxo bridged complexes of iron(III) derived from TITLE: 2 (2' hydroxyphenyl)benzothiazole and 2 (2' hydroxyphenyl)benzimidazole ligands Wahlgren, Curtis G.; Addison, Anthony W.; Burman, AUTHOR(S): Sudhir; Thompson, Laurence K.; Sinn, Ekkehard; Rowe, Theresa M. CORPORATE SOURCE: Chem. Dep., Drexel Univ., Philadelphia, PA, 19104, USA SOURCE: Inorganica Chimica Acta (1989), 166(1), 59 69

CODEN: ICHAA3; ISSN: 0020 1693 DOCUMENT TYPE: Journal

LANGUAGE: English

Fe(III) complexes of substituted 2 (2' hydroxyphenyl)benzothiazole (PBT) and 2 (2' hydroxyphenyl)benzimidazole (PBI) ligands were prepd. These have mostly been characterized as oxo bridged compds. by their magnetic susceptibility and ESR behavior with a general formula [Fe(L)2]20. Most of the compds. have limited soly., with the methoxy

dimethylamino substituted analogs being somewhat more sol. Diffuse reflectance spectra and soln, optical spectra indicate some effect of ligand basicity on the position of the phenolate to Fe(III) charge transfer band with electron releasing substituents on the ligands shifting this band to lower energy. In the benzimidazole complex this band was shifted to higher energy relative to its benzothiazole counterpart. Electrochem. studies show irreversible electron transfer and indicate a stabilization of the Fe(III) oxidn.

relative to Fe(II) by electron releasing substituents on the ligand. Temp. dependent magnetic susceptibility reveals that most of the compds. are strongly antiferromagnetically coupled. 90481-41-7P 127941-93-9P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) 90481 41 7 CAPLUS

Phenol, 2 (2 benzothiazolyl) 5 (dimethylamino) (9CI) (CA INDEX NAME)

Phenol, 6 (2 benzothiazolyl) 2,3 dimethoxy (9CI) (CA INDEX NAME)

L10 ANSWER 59 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1988:433838 CAPLUS

DOCUMENT NUMBER: 109:33838

TITLE:

Model for competitive adsorption of organic cations

AUTHOR (S): Margulies, L.; Rozen, H.; Nir, S. CORPORATE SOURCE:

Fac. Agric., Hebrew Univ., Rehovot, 76 100, Israel Clays and Clay Minerals (1988), 36(3), 270-6 SOURCE:

CODEN: CLCMAB; ISSN: 0009 8604

DOCUMENT TYPE: Journal LANGUAGE: English

AB With ostabilizing photolabile pesticides by coadsorption on a clay surface with an org. cation acting as energy acceptor, the adsorption on Na montmorillonite of 2 monovalent org. cations, methylene blue (MB) and thioflavin T (TFT), was studied in 4 different situations: (1) sep. adsorption of MB or TFT; (2) competitive adsorption of TFT and Cs; (3) competitive adsorption of the 2 org. cations from their equimolar solns.; and (4) adsorption of TFT on a clay whose cation-exchange

(CEC) had been previously satd. with MB. MB and TFT adsorbed to as much as 120% and 140% of the CEC, resp. Cs did not appear to compete with TFT for the adsorption sites of the clay. TFT mols. adsorbed more strongly than those of MB and displaced them from the clay surface. A model was developed to evaluate the strength of the clay org. cation interactions. The specific binding of the cations to the neg, charged surface, detd. by solving the electrostatic equations, appears to account for adsorption exceeding the CEC and formation of pos. charged complexes, which are due to noncoulombic interactions between the org. ligands. The charge reversal predicted by the model beyond the CEC of the clay was confirmed by microelectrophoretic expts. Particles in a sample of montmorillonite loaded with 50 mequiv TFT/100 g clay moved to the pos. electrode, whereas in samples contg. the 2 dyes, MB and TFT, coadsorbed

a total concn. of 100 120 mequiv/100 g clay, the particles moved to the neg. electrode. Binding coeffs, describing the formation of neutral and charged complexes of TFT and the clay were larger than those for MB and the clay, thereby explaining the preferential adsorption of TFT obsd. exptl. The binding coeffs, for the formation of neutral complexes of either MB and TFT and the clay were more than 6 orders of magnitude

than those previously reported for inorg, monovalent cations.

2390-54-7 RL: PEP (Physical, engineering or chemical process); PROC (Process) (adsorption of, on montmorillonite, pesticide photostabilization in relation to)

RN 2390.54 7 CAPLUS Benzothiazolium, 2 [4 (dimethylamino)phenyl] 3,6 dimethyl , chloride (9CI)

(CA INDEX NAME)

L10 ANSWER 60 OF 74 USPATFULL

ACCESSION NUMBER:

INVENTOR(S):

TITLE:

L10 ANSWER 59 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

● C1

Pallmer, Michael, Holland, MI, United States King, Jr., William L., Holland, MI, United States PATENT ASSIGNEE(S): Bell & Howell Company, Chicago, IL, United States (U S. corporation) DATE NUMBER KIND PATENT INFORMATION: 19871117 US 4707297 APPLICATION INFO : US 1986 857729 19860429 (6) DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Demers, Arthur P. LEGAL REPRESENTATIVE: Mason, Kolehmainen, Rathburn & Wyss NUMBER OF CLAIMS: 29 EXEMPLARY CLAIM: LINE COUNT: 892 CAS INDEXING IS AVAILABLE FOR THIS PATENT. An aqueous guidepath coating composition includes a fluorescent dye, AB an acrylic ionomer, and is particularly useful in positional control of and positional detection by stimulated emission guided Automated Guidance Vehicles (AGV). This coating, used to mark the actual position of the guidance track to be followed by the AGV, affords unexpected improvements over previous guidepath compositions in removal and reapplication characteristics, in post application durability and in substrate aesthetics. IT 6265-56-1 55489-32-2 90481-41-7 90481-46-2 (guidepath compns. contg. reversibly crosslinked ionomers and, for automated guidance vehicles) 6265 56 1 USPATFULL 1,3 Benzenediol, 4 (2 benzothiazolyl) (9CI) (CA INDEX NAME)

87:79587 USPATFULL

Removable guidepath for automated guidance Vehicles Paske, Jr., Richard, Holland, MI, United States

Page 66

N S OH

L10 ANSWER 61 OF 74 USPATFULL

ACCESSION NUMBER:

RN 55489 32 2 USPATFULL CN Phenol, 2 (2 benzothiazolyl) 5 (diethylamino) (9CI) (CA INDEX NAME)

87:34075 USPATFULL

L10 ANSWER 60 OF 74 USPATFULL (Continued)

RN 90481 41.7 USPATFULL CN Phenol, 2.(2 benzothiazolyl) 5 (dimethylamino) (9CI) (CA INDEX NAME)

RN 90481 46 2 USPATFULL CN Phenol, 2 (2 benzothiazolyl) 5 methoxy (9CI) (CA INDEX NAME)

Fluorescent gram stain TITLE: Mansour, James D., Raleigh, NC, United States INVENTOR(S): PATENT ASSIGNEE(S): Becton, Dickinson and Company, Franklin Lakes, NJ, United States (U.S. corporation) KIND NUMBER DATE US 4665024 PATENT INFORMATION: 19870512 APPLICATION INFO .: US 1984 656627 19841001 (6) DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Warden, Robert J. ASSISTANT EXAMINER: Krawczewicz, L. LEGAL REPRESENTATIVE: Brown, Richard E. NUMBER OF CLAIMS: EXEMPLARY CLAIM: NUMBER OF DRAWINGS: 1 Drawing Figure(s); 1 Drawing Page(s) LINE COUNT: 490 CAS INDEXING IS AVAILABLE FOR THIS PATENT. A method to determine the Gram sign of microorganisms includes staining the microorganisms with a plurality of fluorescent dyes, applying excitation energy to the stained microorganisms, and observing the of the fluorescence emission of the stained microorganisms. Gram positive and Gram negative microorganisms stain different colors, and assignment of the Gram sign may be made on the basis of the color of the stained microorganisms. IT 2390-54-7, Thioflavin T (microorganism staining with, for gram sign detn.) 2390 54 7 USPATFULL CN Benzothiazolium, 2 [4 (dimethylamino)phenyl] 3,6 dimethyl , chloride (9CI)

(CA INDEX NAME)

L10 ANSWER 62 OF 74 USPATFULL ACCESSION NUMBER: 86:71530 USPATFULL TITLE: Test system and procedure for the determination of NAD INVENTOR (S): Limbach, Berthold, Seeheim, Germany, Federal Republic Helger, Roland, Darmstadt, Germany, Federal Republic PATENT ASSIGNEE(S): Merck Patent Gesellschaft mit beschrankter Haftung, Darmstadt, Germany, Federal Republic of (non-U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: US 4629697 19861216 APPLICATION INFO.: US 1983-564866 19831223 (6) NUMBER DATE PRIORITY INFORMATION: DE 1982:3247894 19821224 DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Marantz, Sidney ASSISTANT EXAMINER: Foulke, Cynthia Lee LEGAL REPRESENTATIVE: Millen & White NUMBER OF CLAIMS 20 EXEMPLARY CLAIM: 1,15 NUMBER OF DRAWINGS: 2 Drawing Figure(s); 2 Drawing Page(s) LINE COUNT: 470 CAS INDEXING IS AVAILABLE FOR THIS PATENT. A test system having an extended range of measurement and an appropriate procedure for the determination of NAD(P)H or of substrates or enzymes which react to form or consume NAD(P)H in fluids is provided. The test system contains, at one and the same time, several substances acting independently of one another as electron acceptors with respect to NAD(P)H and having different electrochemical potentials. Addition of the test system to the sample solution gives rise to different end products which can be analytically differentiated and which are evaluated visually or by other techniques of measurement. IT 1829-00-1 (in detn. of NAD(P)H and NAD(P)H-utilizing enzymes and their substrates)

7-Benzothiazolesulfonic acid, 2,2'-(1-triazene-1,3-diyldi-4,1phenylene)bis[6-methyl-, disodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 63 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1985:428147 CAPLUS DOCUMENT NUMBER: 103:28147 TITLE: Complexes with organic ligands. Stability constants of copper(II), cobalt(II), nickel(II), and zinc(II) chelate compounds of hydroxy-substituted 2-arylbenzazoles and 2-arylimidazopyridines AUTHOR(S): El'tsov, A. V.; Rudaya, L. I.; Samartseva, E. D.; Kvitko, I. Ya.; Tret'yakov, A. V. CORPORATE SOURCE: SOURCE: Deposited Doc. (1984), VINITI 3295-84, 10 pp. Avail.: VINITI DOCUMENT TYPE: Report Russian Acid dissoon, and metal complexation consts, were detd, for 4 2-(2-hydroxyphenyl)benzimidazoles, 4 (2-(2-hydroxyphenyl)benzthiazoles, 4 2-(2-hydroxyphenyl)imidazo(4,5-c]pyridimes, and 2 2-(2hydroxyphenyl)imidazo[4,5-b]pyridines in aq. dioxane. Complex stabilities follow the Irving-Williams trend (Co2+ < Ni2+ < Cu2+ < Zn2+). Substituent effects on complex stabilities are discussed. 6265-56-1DP, transition metal complexes RL: FORM (Formation, nonpreparative); PREP (Preparation) (formation of, in aq. dioxane) 6265-56-1 CAPLUS 1,3-Benzenediol, 4-(2-benzothiazolyl)- (9CI) (CA INDEX NAME)

1829-00-1 USPATFULL

6265-56-1

RL: PEP (Physical, engineering or chemical process); PROC (Process) (ionization of, in aq. dioxane)

6265-56-1 CAPLUS

1,3-Benzenediol, 4-(2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 62 OF 74 USPATFULL (Continued)

ACCESSION NUMBER: 1985:132013 CAPLUS DOCUMENT NUMBER: 102:132013 TITLE: Synthetic macrocyclic ligands. VI. Lithium ion-selective fluorescent emission with crowned benzoand naphthothiazolylphenols AUTHOR (5): Tanigawa, Isamu; Tsuemoto, Kiyoka; Kaneda, Takahiro; Misumi, Soichi CORPORATE SOURCE: Inst. Sci. Ind. Res., Osaka Univ., Osaka, 567, Japan SOURCE: Tetrahedron Lett. (1984), 25(46), 5327-30 CODEN: TELEAY; ISSN: 0040-4039 DOCUMENT TYPE: Journal LANGUAGE: English The fluorescent crowned benzo- and naphthothiazolylphenols I (R = AB 2-benzothiazolyl naphtho[1,2-d]thiazol-2-yl; n = 1-4} ion-selective fluorescent emission is obsd. under certain conditions. 93675-98-0P 95538-80-0P 95538-81-1P 95538-82-2P 95538-83-3P 95538-84-4P 95538-86-6P 95538-87-7P RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. and complexation of, with lithium salts, fluorescence in) 93675-98-0 CAPLUS 3,6,9,12-Tetraoxabicyclo[12.3.1]octadeca-1(18),14,16-trien-18-ol,

95538-80-0 CAPLUS 3,6,9-Trioxabicyclo[9.3.1]pentadeca-1(15),11,13-trien-15-ol,

16-(2-benzothiazolyl)- (9CI) (CA INDEX NAME)

13-(2-benzothiazolyl)- (9CI) (CA INDEX NAME)

L10 ANSWER 64 OF 74 CAPLUS COPYRIGHT 2002 ACS

95538-81-1 CAPLUS 3,6,9,12,15-Pentaoxabicyclo[15.3.1]heneicosa-1(21),17,19-trien-21-01, 19-(2-benzothiazolyl) - (9CI) (CA INDEX NAME)

L10 ANSWER 64 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued) RN 95538 82 2 CAPLUS

CN 3,6,9,12,15,18 Hexaoxabicyclo[18.3.1]tetracosa 1(24),20,22 trien 24 ol, 22 (2 benzothiazolyl) (9CI) (CA INDEX NAME)

RN 95538 83 3 CAPLUS

N 3,6,9 Trioxabicyclo[9.3.1]pentadeca 1(15),11,13 trien 15 ol,
13 naphtho[1,2 d]thiazol 2 yl (9CI) (CA INDEX NAME)

RN 95538 84 4 CAPLUS

CN 3,6,9,12 Tetraoxabicyclo[12.3.1]octadeca 1(18),14,16 trien 18 ol,
16 naphtho[1,2 d]thiazol 2 yl (9CI) (CA INDEX NAME)

RN 95538 86 6 CAPLUS

CN 3,6,9,12,15,18 Hexaoxabicyclo[18.3.1]tetracosa 1(24),20,22 trien 24 ol, 22 naphtho[1,2 d]thiazol·2 yl (9CI) (CA INDEX NAME)

L10 ANSWER 64 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 95538 75 3 CAPLUS

CN Benzothiazole,

2 (24 methoxy 3,6,9,12,15,18-hexaoxabicyclo{18.3.1}tetracos a 1(24),20,22 trien 22 yl) (9CI) (CA INDEX NAME)

RN 95538 76-4 CAPLUS

CN Naphtho[1,2 d]thiazole,

2 (15 methoxy 3,6,9 trioxabicyclo[9,3.1]pentadeca 1(15),11,13 trien-13 yl) (9CI) (CA INDEX NAME)

RN 95538 77 5 CAPLUS

CN Naphtho[1,2 d]thiazole, 2 (18 methoxy 3,6,9,12 tetraoxabicyclo[12.3.1]octadeca 1(18),14,16 trien 16 yl) (9CI) (CA

NDEX NAME)

RN 95538 78 6 CAPLUS

N Naphtho[1,2 d]thiazole, 2 (21 methoxy-3,6,9,12,15 pentaoxabicyclo[15.3.1]heneicosa-1(21),17,19 trien 19 yl) (9CI) (CA INDEX NAME)

L10 ANSWER 64 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 95538 87 7 CAPLUS

CN Phenol, 4 (2 benzothiazolyl) 2,6 bis(methoxymethyl) (9CI) (CA INDEX NAME)

1T 95538-72-0P 95538-73-1P 95538-74-2P 95538-75-3P 95538-76-4P 95538-77-5P

95538-78-6P 95538-79-7P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and demethylation of)

RN 95538 72 0 CAPLUS
CN Benzothiazole, 2 (15 methoxy 3,6,9 trioxabicyclo{9.3.1}pentadeca

1(15),11,13 trien 13 yl) (9CI) (CA INDEX NAME)

RN 95538 73 1 CAPLUS

CN Benzothiazole, 2 (18 methoxy 3,6,9,12 tetraoxabicyclo[12.3.1]octadeca
1(18),14,16 trien 16 yl) (9CI) (CA INDEX NAME)

RN 95538 74 2 CAPLUS

CN Benzothiazole,

L10 ANSWER 64 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

RN 95538-79 7 CAPLUS

CN Naphtho(1,2 d)thiazole, 2 (24 methoxy 3,6,9,12,15,18

hexaoxabicyclo(18.3.1]tetracosa 1(24),20,22 trien 22 yl) - (9CI) (CA INDEX NAME)

IT 95538-85-5P

RL: SPN (Synthetic preparation); PREP (Preparation)

(prepn. of) RN 95538 85 5 CAPLUS

N 3,6,9,12,15 Pentaoxabicyclo[15.3.1]heneicosa 1(21),17,19 trien 21 ol, 19 naphtho[1,2 d]thiazol-2 yl (9CI) (CA INDEX NAME)

09/935,767 Page 69

(Continued)

L10 ANSWER 65 OF 74 USPATFULL

L10 ANSWER 67 OF 74 USPATFULL

ACCESSION NUMBER:

of

L10 ANSWER 65 OF 74 USPATFULL

ACCESSION NUMBER: 82:27670 USPATFULL

Continuous release of reagent in an analytical element TITLE:

to reduce assay interference INVENTOR(S):

Sanford, Karl J., Rochester, NY, United States Eikenberry, Jon N., Rochester, NY, United States PATENT ASSIGNEE(S): Eastman Kodak Company, Rochester, NY, United States

(U.S. corporation)

NUMBER KIND DATE ----- ----- ..... . . . . . . PATENT INFORMATION: US 4333733 19820608 APPLICATION INFO .: US 1980-169704 19800717 (6) DOCUMENT TYPE: Utility

FILE SEGMENT: Granted PRIMARY EXAMINER. Turk, Arnold

LEGAL REPRESENTATIVE: Hawley, J. Jeffrey NUMBER OF CLAIMS: 27 EXEMPLARY CLAIM: 25

LINE COUNT: 1021

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

Analytical elements and methods for the selective determination of an analyte in aqueous fluids containing the analyte. These elements and methods feature means for continuously releasing chromogenic indicator reagent from a reagent zone to a reaction zone. The continuous release means is responsive to the application of a sample of the fluid to continuously release reagent into the reaction zone at a rate producing color response corresponding to interaction of the indicator with the analyte and reduced interaction of the indicator with interferents. In preferred embodiments, albumin is determined in the presence of interfering proteins such as globulins using buffered chromogenic indicator reagent. In such embodiments, when protein interferents are present, their interference can be substantially eliminated for up to three minutes, during which time color response is substantially only from the interaction of albumin and reagent. The determination of albumin follows from such color response.

IT 1829-00-1

(as indicator, in multilayered test elements for body fluid anal.) 1829-00-1 USPATFULL

7-Benzothiazolesulfonic acid, 2,2'-(1-triazene-1,3-diyldi-4,1phenylene)bis[6-methyl-, disodium salt (9CI) (CA INDEX NAME)

$$N = N - NH$$

L10 ANSWER 66 OF 74 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 1980:23084 CAPLUS

DOCUMENT NUMBER: 92:23084

TITLE: Study of IR spectra of poly(hydroxyphenylbenzazole terephthalamides) and their complexes with metals AUTHOR(S): Litovchenko, G. D.; Kolot, V. N.; Kudryavtsev, G. I.

CORPORATE SOURCE: SOURCE: Khim. Volokna (1979), (4), 24-6

CODEN: KVLKA4; ISSN: 0023-1118

DOCUMENT TYPE:

LANGUAGE: Russian

Changes in the IR spectra of heterocyclic polyamides confirm their crystn.

during heating and the formation of internal complexes on

chelation with transition metals. 72401-24-2

RL: USES (Uses)

(IR of, heat and chelation effect on)

72401-24-2 CAPLUS

1,4-Benzenedicarboxylic acid, polymer with 5-amino-2-(5-amino-2benzothiazolyl)phenol (9CI) (CA INDEX NAME)

CRN 72401-23-1 CMF C13 H11 N3 O S

CRN 100-21-0

CMF C8 H6 O4

TITLE: Process for the preparation of printing forms INVENTOR (S): Lind, Erwin, Auringen, Germany, Federal Republic of Freimuth, Franz, Wiesbaden-Biebrich, Germany, Federal Republic of PATENT ASSIGNEE(S): Hoechst Aktiengesellschaft, Germany, Federal Republic of (non-U.S. corporation) NUMBER KIND DATE PATENT INFORMATION: 19780103 US 4066453 APPLICATION INFO .: US 1976-692154 19760602 RELATED APPLN. INFO.: Continuation of Ser. No. US 1974-466069, filed on 1 1974, now abandoned NUMBER DATE PRIORITY INFORMATION: DE 1973-2322047 19730502 DOCUMENT TYPE: Utility FILE SEGMENT: Granted PRIMARY EXAMINER: Martin, Jr., Roland E. Bryan, James E. LEGAL REPRESENTATIVE: NUMBER OF CLAIMS: 24 EXEMPLARY CLAIM: LINE COUNT: 564 CAS INDEXING IS AVAILABLE FOR THIS PATENT. This invention relates to an improvement in the process for the preparation of printing forms or metallic etchings from electrophotographic or electrographic reproduction materials composed a support with a photoconductive or high-ohmic layer thereon, by charging and image-wise exposure, or by image-wise charging, of the electrostatic image with a finely-divided toner, fixing, and removal of the layer in the image-free areas by means of a decoating solution, the improvement comprising developing the electrostatic image with a developer which reacts at least superficially with the image areas at room temperature, thereby simultaneously effecting development and resistance to the decoating solution, or developing the electrostatic image with a developer which reacts with the decoating solution and thereby deactivates it in the image areas. IT 56765-01-6

(electrophotog. compns. contg., for developing images with reactive

Benzothiazolesulfonamide, 2-[4-(dimethylamino)phenyl]-N,N,6-trimethyl-

toners for printing plates)

56765-01-6 USPATFULL

(9CI) (CA INDEX NAME)

78:732 USPATFULL

L10 ANSWER 67 OF 74 USPATFULL (Continued)

P.; Savenko, G. I.; Malakhova, N. M. Odess. Univ., Odessa, USSR CORPORATE SOURCE: Vopr Stereokhim '1978!, 7, 62 7 SOURCE CODEN: VSTKB9; ISSN: 0372 6762 DOCUMENT TYPE Journal LANGUAGE: Ruseian I, II, III and the corresponding 1 substituted 2 naphthols and 6 substituted 3 Et2NC6H4OH analogs existed in 2 tautomeric forms, as by IR and MO calons. With metals the compds, acted as tridentate ligands and formed 2 rings IT 55489-32-2 RL: PRP (Properties) (tautomerization and complexing properties of, IR and MO calcns. in relation to) 55489 32 2 CAPLUS Phenol, 2 (2 benzothiazolyl) 5 (diethylamino) (9CI) (CA INDEX NAME) NEt<sub>2</sub>

1979:438673 CAPLUS

IR spectra and calculation of the pi. electron

Olenovich, N. L.; Tantsyura, G. F.; Lozitskaya, E.

structure of some thiazolylazo compounds

91.38673

L10 ANSWER 68 OF 74 CAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER:

DOCUMENT NUMBER

TITLE

AUTHOR (S)

L10 ANSWER 69 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1977:576993 CAPLUS DOCUMENT NUMBER: 87:176993 TITLE:

Use of diphenyl guanidine as a component of complexes

with different ligands of Group II and III

elements AUTHOR (S):

Beschetnova, E. T.; Anisimova, L. G.; Tataev, O. A.; Malinovskaya, L. N.

CORPORATE SOURCE: Dagest. Gos. Univ., Makhachkala, USSR

SOURCE: Fiz. Khim. Metody Anal. Kontrolya Proizvod., Mezhvuz.

Sb. (1976), 2, 40 7 CODEN: FKMSD6

DOCUMENT TYPE: Journal LANGUAGE: Russian

AB The sensitivity of spectrophotometric detn. of many Group II and III

metals with colored reagents increased 2 3 fold by addn. of diphenylguanidine as a 2nd ligand and measuring the absorbance of the ternary complexes extd. into BuOH. The optimum complexation pH metal ligand ratios, absorption max., and molar absorptivities are given for complexes of Be, Cd, Hg, Al, Ga, In, Tl, Sc, Y, and La with Xylenol Orange, Methylthymol Blue, Glycinecresol Red, glycine thymol

blue, chromazurol, bromopyrogallol red, Alizarin Red, Acid Chrome Dark Blue,

and

Titan Yellow. 1829-00-1 ΙT

RL: ANST (Analytical study)

(in detn. of mercury by extn. and spectrophometry)

1829 00 · 1 CAPLUS

7 Benzothiazolesulfonic acid, 2,2' (1 triazene 1,3 diyldi 4,1 phenylene)bis(6 methyl , disodium salt (9CI) (CA INDEX NAME)

1829-00-1D, mercury complexes

RL: PRP (Properties)

(spectra of) 1829 00 1 CAPLUS RN

7 Benzothiazolesulfonic acid, 2,2' (1 triazene 1,3 diyldi 4,1 phenylene)bis[6 methyl , disodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 69 OF 74 CAPLUS COPYRIGHT 2002 ACS

●2 Na

L10 ANSWER 70 OF 74 CAPLUS COPYRIGHT 2002 ACS 1975:539863 CAPLUS ACCESSION NUMBER: DOCUMENT NUMBER: 83:139863 TITLE: Printing plate development INVENTOR(S): Lind, Erwin; Freimuth, Franz Kalle A. G., Ger. PATENT ASSIGNEE(S): SOURCE: Ger. Offen., 26 pp. CODEN: GWXXBX DOCUMENT TYPE: Patent

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

	PAT		KIND	DATE	APPLICATION NO.	DATE
	DE	2322047	A1	19741107	DE 1973 2322047	19730502
		2322047	B2	19770414	22 17/3 232201/	17,30304
		7404998	A	19741105	NL 1974-4998	19740411
		397011	В	19771010	SE 1974 · 5643	19740426
		814363	A1	19741029	BE 1974-143762	19740429
		2227953	A1	19741129	FR 1974 14842	19740429
	BR	7403472	AO	19741224	BR 1974-3472	19740429
		3881864	Α	19750506	US 1974-465342	19740429
	ΑU	7468373	A1	19751030	AU 1974-68373	19740429
	ΙT	1011291	Α	19770120	IT 1974 50669	19740429
	AT	7403531	A	19770815	AT 1974-3531	19740429
	CH	590502	Α	19770815	CH 1974-5855	19740429
	CA	1046866	A1	19790123	CA 1974-198311	19740429
	ES	425860	A1	19760616	ES 1974-425860	19740430
	GB	1465927	A	19770302	GB 1974-18901	19740430
	ZA	7402780	A	19750430	ZA 1974-2780	19740501
	ΒE	814459	A1	19740902	BE 1974-143841	19740502
	DE	2421249	A1	19741114	DE 1974-2421249	19740502
	JΡ	50019509	A2	19750301	JP 1974-49664	19740502
	JΡ	59007099	B4	19840216		
	JР	50027806	A2	19750322	JP 1974-48858	19740502
	ΑU	7468506	Al	19751106	AU 1974-68506	19740502
	ΙT	1018650	A	19771020	IT 1974-50752	19740502
	NL	7405949	A	19741105	NL 1974-5949	19740503
	FR	2228206	Al	19741129	FR 1974-15412	19740503
	ES	425932	A1	19760701	ES 1974-425932	19740503
	US	4066453	Α	19780103	US 1976-692154	19760602
	ΑT	7605222	A	19771015	AT 1976-5222	19760715
		343149	В	19780510	AT 1976-5223	19760715
I OF	RIT)	APPLN. INFO.:			DE 1973-2322047	19730502
					GB 1973-21104	19730503
					SE 1974-5663	19740426
					AT 1974-3531	19740429
					US 1974-466069	19740501
	$T \sim$	evoid the seed	of h	ast Fivetion	and thus minimize	1

AB To avoid the need of heat-fixation and thus minimize dimensional changes of electrostatic images for offset and gravure plates, a toner is used which forms a salt, chelate, or other complex with a constituent of the recording material or with the stripping agent, thus rendering the image hydrophobic and insol. in the liq. developers. The suitable toners include polyvalent metal salts for acid polymers, triphenylmethane dyes or diazonium salts for phenolic resins, benzoquinone for oxazole photoconductors and H3BO3 for alk. stripping solns. Thus, for a grained

L10 ANSWER 71 OF 74 CAPLUS COPYRIGHT 2002 ACS
ACCESSION NUMBER: 1974:97596 CAPLUS
DOCUMENT NUMBER: 80:97596

TITLE: Sorption material for removing metals from aqueous solutions

INVENTOR(S): Ziegler, Max
PATENT ASSIGNEE(S): Riedel-de Haen A.-G.
SOURCE: Ger. Offen., 23 pp.
CODEN: GWXXBX

DOCUMENT TYPE: Patent LANGUAGE: German FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
		<b></b> -	<b></b>		
	DE 2213381	A1	19731004	DE 1972-2213381	19720320
	DE 2213381	B2	19760429		
	DE 2213381	C3	19761216		
	IT 981461	A	19741010	IT 1973-21775	19730316
	FR 2176859	<b>A</b> 1	19731102	FR 1973-9701	19730319
	GB 1392023	A	19750423	GB 1973-13053	19730319
	CH 596240	A	19780315	CH 1973-4040	19730320
PRIO	RITY APPLN. II	NFO.:		DE 1972-2213381	19720320
AB	The title mat	terial with	n high apec	ificity and reproduci	
_					-1

AB The title material with high specificity and reproducibility was prepd. by

treatment of DEAE-cellulose [9013-34-7] or TEAE-cellulose (I) [9083-71-0] with Tiron [149-45-1], Beryllon II [2-[(3,6-disodiosulfo-8-hydroxy-1-naphthyl)azo]-1, 8-dihydroxy-3,6-disodiosulfonaphthalene] [51053-00-0], Titan Yellow [1829-00-1], or ammonium chloride

[12125-02-9]-carminic acid [476-39-1] mixt. Thus, 1.0 g I was mixed with 20 ml 0.1% Tiron at pH 6.2 (NH40Ac-HOAc buffer) several min which was stirred in water with cellulose powder to give a material that eliminated iron [7439-89-6] and fluoride [16984-48-8] in water contg. 0.6-6ppm Fe

and .leq.9000 ppm F in the form of hexafluroferrate (III) ions.

IT 1829-00-1 RL: USES (Uses)

(cellulose aminoethyl ethers modified by, for sp. adsorption of

metals) RN 1829-00-1 CAPLUS

N 7-Benzothiazolesulfonic acid, 2,2'-(1-triazene-1,3-diyldi-4,1-phenylene)bis[6-methyl-, disodium salt (9CI) (CA INDEX NAME)

L10 ANSWER 70 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)
100 .mu. Al plate coated with 2,5-bis(4-diethylaminophenyl)-1,3,4oxadiazole as photoconductor and a styrene-maleic anhydride copolymer as binder, a dispersion of 3 g MgSO4 in 1200 ml of an isoparaffin contg. 7.5 g of a pentaerythritol ester resin was used as the developer.

IT 56765-01-6
RL: USES (Uses)

(electrophotog. compns. contg., for developing images with reactive toners for printing plates)

RN 56765:01:6 CAPLUS

CN Benzothiazolesulfonamide, 2 [4 (dimethylamino)phenyl] N,N,6-trimethyl (9CI) (CA INDEX NAME)

L10 ANSWER 72 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1972:572219 CAPLUS

DOCUMENT NUMBER: 77:172219

TITLE: Chromatography of metal chelates. IV.

Analytical application of 4,4diphenylthiosemicarbazones of 1,2-diketones

AUTHOR(S): Niederschulte, U.; Ballschmiter, K.
CORPORATE SOURCE: Inst. Anorg. Chem. Kernchem., Univ. Mainz, Mainz,
Ger.

SOURCE: Fresenius' Z. Anal. Chem. (1972), 261(3), 191-7

CODEN: ZACFAU
DOCUMENT TYPE: Journal

LANGUAGE: German

AB The formation of colored chelates between the metals of the 1st and 2nd subgroup, Co, Ni, Mn, Bi, and Pb and R2NHCSNHN:CR1CR1:NNHCSNHR2

(R1 = H, Me; R2 = cyclohexyl, Ph, C6H4NO2-p, C6H4CF3-m, C6H3-(CF3)2-3.5

(R1 = H, Me; R2 = cyclohexyl, Ph, C6H4NO2-p, C6H4CF3-m, C6H3-(CF3)2-3,5, 1-naphthyl) was studied. The chelates can be used for the photometric detn. of the metals in the ppm range (molar absorptivity 1.0-2.3 .times. 104) after extn. with EtOAc contg. 5% pyridine. The effect of variation of the phenyl substituent on the absorptivity of the Cu, Hg(II), Pb, and Zn chelates and their sepn. by thin-layer

chromatog. on Al203 with EtOAc as solvent was investigated.
IT 38901-34-7 38901-45-0 38901-46-1

38905-69-2

RL: ANST (Analytical study)

(in detn. of transition metals, spectrophotometric)

38901-34-7 CAPLUS

RN 38901-45-0 CAPLUS

CN Hydrazinecarbothioamide, 2,2'-(1,2-ethanediylidene)bis(N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

PAGE 1-B

PAGE 1-A

L10 ANSWER 72 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

38901-46 1 CAPLUS

Hydrazinecarbothioamide, 2,2'-(1,2-dimethyl 1,2-ethanediylidene)bis[N [4 (6-methyl-2 benzothiazolyl)phenyl] - (9CI) (CA INDEX NAME)

PAGE 1-A

PAGE 1 B

NAME)

Benzothiazole, 2-(4-isothiocyanatophenyl)-6-methyl (9CI) (CA INDEX

L10 ANSWER 74 OF 74 CAPLUS COPYRIGHT 2002 ACS ACCESSION NUMBER: 1967:7123 CAPLUS DOCUMENT NUMBER: 66:7123

TITLE: Supersensitized zinc oxide Clausen, Ralph L.; Meyer, Donald K. INVENTOR(S):

PATENT ASSIGNEE(S): Minnesota Mining and Manufg. Co.

SOURCE: U.S.

CODEN: USXXAM

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO. KIND DATE APPLICATION NO. DATE 19660906 US 3712144 US

A method is described for the prepn. of supersensitized ZnO and its use

a photoconductor in the prepn. of improved photoconductor sheets for use in the visible region. Sensitization of ZnO is accomplished when the ZnO surface contains, in addn. to a sensitizing dye, a colorless complex of Zn2+ and a complexing agent such as 2-(4-dimethylaminophenyl)-3,6dimethylbenzothiazolium chloride. E.g., a ZnO dispersion was made by mixing a butadiene-styrene binder (1680 g. of a 30% by wt. toluene soln. of a copolymer consisting of 30 parts by wt. butadiene and 70 parts by

wt. styrene), toluene (1104 g.), and ZnO USP-12 (1915 g.) for 0.5 hr. in a 1-gal. Waring Blendor at 107.degree.F. After standing, the dispersion

filtered through coarsesintered glass filters. The ZnO dispersion (200 g.) was added to vessels contg. varying amts. of sensitizing dyes. Coatings (1.5 mil dry thickness) of the sensitized dispersions in the vessels were placed on Al foil. After storing the vessels in the dark

24 hrs., a 2nd set of photoconductor sheets was prepd. by coating the dispersion again on Al foil. Color prints were made with a spectrograph at a 4 sec. exposure to the light source followed by a 10 sec.

at 30 v., with the application of the plating current. The areas of sensitivity of the photoconductor as evidenced by image development in

sensitized areas were shown to be significantly greater on those sheets treated with dispersion prepd. with the chelating agent.

10274-23-4 13018-00-3 15637-36-2 RL: USES (Uses)

(zinc oxide photoconductor supersensitization by)

10274-23-4 CAPLUS

Benzothiazolium, 2-[p-(dimethylamino)phenyl]-3,6-dimethyl-, p-toluenesulfonate (8CI) (CA INDEX NAME)

CM 1

CMF C17 H19 N2 S

CRN 20096-11-1

L10 ANSWER 73 OF 74 CAPLUS COPYRIGHT 2002 ACS 1970:422068 CAPLUS ACCESSION NUMBER:

DOCUMENT NUMBER: 73:22068

TITLE: Reaction between some dyes and synthetic

hydroxyapatite. 2. Nature of the binding reaction AUTHOR (S):

Speirs, R. L. CORPORATE SOURCE:

Med. Coll., London Hosp., London, Engl. Histochem. J. (1970), 2(1), 67 86 SOURCE:

CODEN: HISJAE DOCUMENT TYPE:

Journal LANGUAGE: English

In order to study the reactions involved in some of the histochem. procedures used for demonstrating Ca in calcified tissues, it was considered appropriate to use well characterized synthetic

Satn. of surface sites was achieved in the adsorption of some dyes and

nature of these sites was investigated by studying (1) competition among several dyes for the surface, (2) the accessibility of surface Ca and P

stained and unstained hydroxyapatite, and (3) the release of 32P from surface-labeled hydroxyapatite during dye adsorption. Most of the dyes adsorbed from 95% ethanol were displaced relatively easily by treatment with 0.5 mM phosphate in ethanol, but those adsorbed from Tris buffer, pH 7.45, were more stable when exposed to phosphate in Tris. Treatment of stained hydroxyapatite with solvents contg. 0.5 mM Ca reduced the rate of elution of the dyes. Convincing evidence for chelation, H

bonding, ion exchange, and phys. adsorption processes as the mechanisms

adsorption was not obtained. 28903-27-7

RL: PEP (Physical, engineering or chemical process); PROC (Process) (adsorption of, by hydroxylapatite, calcium and phosphate in relation

28903-27-7 CAPLUS

L10 ANSWER 74 OF 74 CAPLUS COPYRIGHT 2002 ACS

CRN 16722-51-3 CMF C7 H7 O3 S

13018-00-3 CAPLUS

Benzothiazolium, 2-[p-(diethylamino)phenyl]-3-ethyl-6-methyl-, p-toluenesulfonate (8CI) (CA INDEX NAME)

CRN 47290-32-4 CMF C20 H25 N2 S

CRN 16722-51-3 CMF C7 H7 O3 \$

15637-36-2 CAPLUS

Benzothiazolium, 3-benzyl-2-[p-(dibenzylamino)phenyl]-6-methyl-, bromide (BCI) (CA INDEX NAME)

09/935,767

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L10 ANSWER 74 OF 74 CAPLUS COPYRIGHT 2002 ACS (Continued)

• Br

09/935,767 Page 1

L8 ANSWER 20 OF 26 USPATFULL

ACCESSION NUMBER: 1999:92643 USPATFULL

TITLE: Compositions and methods for stimulating

amyloid removal in amyloidogenic

diseases using advanced glycosylation endproducts

Vitek, Michael P., East Norwich, NY, United States
Cerami, Anthony, Shelter Island, NY, United States

Bucala, Richard J., New York, NY, United States

Ulrich, Peter C., Old Tappan, NJ, United States

Vlassara, Helen, Shelter Island, NJ, United States

Zhang, Xini, Jericho, NJ, United States

PATENT ASSIGNEE(S): The Picower Institute For Medical Research, Manhasset,

NY, United States (U.S. corporation)

	NUM	BER KIND	DATE			
PATENT INFORMATION:	US 59359	27	19990810			
	WO 95209	79	19950810			
APPLICATION INFO.:	US 1996-	501127	19960810	(8)		
	WO 1995-	US1380	19950202			
			19960810	PCT	371 date	
			19960810	PCT	102(e) date	
	<b>~</b>		C C 37			

RELATED APPLN. INFO.: Continuation-in-part of Ser. No. US 1994-311768, filed

on 23 Sep 1994, now abandoned which is a

continuation-in-part of Ser. No. US 1994-191579, filed

on 3 Feb 1994, now abandoned

DOCUMENT TYPE: Utility FILE SEGMENT: Granted

PRIMARY EXAMINER: Duffy, Patricia A. LEGAL REPRESENTATIVE: Klauber & Jackson

NUMBER OF CLAIMS: 9
EXEMPLARY CLAIM: 1

NUMBER OF DRAWINGS: 12 Drawing Figure(s); 8 Drawing Page(s)

LINE COUNT: 2154

CAS INDEXING IS AVAILABLE FOR THIS PATENT.

The present invention relates generally to methods and compositions for ABtreating amyloidogenic diseases such as Alzheimer's disease and the development of type II diabetes, in which deposition of amyloid in organs such as the brain and pancreas interfere with neurological function and insulin release, respectively. The methods and compositions are directed toward increasing the activity of scavenger cells within the body at recognizing and removing amyloid deposits from affected tissues and organs. Scavenger cells may be targeted to amyloid deposits by means of spontaneouslyoccurring chemical modifications called advanced glycosylation endproducts (AGEs). Compositions are described which increase scavenger cell activity towards AGE-modified amyloid. Amyloid removal may also be enhanced by increasing AGE levels in amyloid deposits within the body by administering AGE-modified amyloid targeting agents, which after becoming situated at sites containing amyloid, subsequently attract scavenger cells to degrade attendant amyloid. These methods and associated compositions result in a decrease in the extent of amyloid deposits in tissues, reducing the attendant pathology.

IT 2390-54-7D, Thioflavin, advanced glycosylation end-product conjugates 169553-19-9 169553-21-3

(advanced glycosylation end-products for amyloid removal stimulation in amyloidogenic diseases)

RN 2390-54-7 USPATFULL

CN Benzothiazolium, 2-[4-(dimethylamino)phenyl]-3,6-dimethyl-, chloride (9CI) (CA INDEX NAME)

♣ C1 -

RN 169553-19-9 USPATFULL

CN .beta.-D-Fructopyranose, 1-deoxy-1-[[4-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]butyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 169553-21-3 USPATFULL

CN .beta.-D-Fructopyranose, 1-deoxy-1-[dimethyl[4-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]butyl]ammonio]-, chloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Ocl-

IT 67229-93-0P 169553-13-3P 169553-14-4P 169553-16-6P 169553-17-7P 169553-18-8P 169553-20-2P

(prepn. and reaction; advanced glycosylation end-products for amyloid removal stimulation in amyloidogenic diseases)

RN 67229-93-0 USPATFULL

CN Benzothiazole, 2-(4-isocyanatophenyl)-6-methyl- (9CI) (CA INDEX NAME)

RN 169553-13-3 USPATFULL

CN Urea, N-(6-aminohexyl)-N'-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

RN 169553-14-4 USPATFULL

CN .beta.-D-Fructopyranose, 1-deoxy-1-[[6-[[[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]carbonyl]amino]hexyl]amino]-2,3:4,5-bis-0-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169553-16-6 USPATFULL

CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]butyl]- (9CI) (CA INDEX NAME)

Me 
$$NH-(CH_2)_4-N$$

RN 169553-17-7 USPATFULL

CN 1,4-Butanediamine, N-[4-(6-methyl-2-benzothiazolyl)phenyl]- (9CI) (CA INDEX NAME)

$$\begin{array}{c|c} \text{NH-} (\text{CH}_2)_4 - \text{NH}_2 \\ \\ \text{N} \end{array}$$

RN 169553-18-8 USPATFULL

CN .beta.-D-Fructopyranose, 1-deoxy-1-[[4-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]butyl]amino]-2,3:4,5-bis-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 169553-20-2 USPATFULL

CN .beta.-D-Fructopyranose, 1-deoxy-1-[dimethyl[4-[[4-(6-methyl-2-benzothiazolyl)phenyl]amino]butyl]ammonio]-2,3:4,5-bis-O-(1-methylethylidene)-, iodide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

• I -

RN 92-36-4 USPATFULL

CN Benzenamine, 4-(6-methyl-2-benzothiazolyl)- (9CI) (CA INDEX NAME)

